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Search Results - Record(s) 1 through 8 of 8 returned.

☐ 1. Document ID: EP 1153960 A1 CA 2345498 A1 JP 2002012560 A US 6348264 B1

L6: Entry 1 of 8 File: DWPI Nov 14, 2001

DERWENT-ACC-NO: 2002-141501
DERWENT-WEEK: 200221

TITLE: Aqueous composition useful in e.g. the production of a solid shaped form or a coated solid form, comprises an optionally chemically derivatized or optionally hydrogenated low dextrose equivalent starch hydrolysate

INVENTOR: ABOU-NEMEH, I; TRIPODI, M A ; TRIPODIL, M A

PRIORITY-DATA: 2000US-0567315 (May 9, 2000), 1998US-0066651 (April 27, 1998), 1998US-0221902 (December 28, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 1153960 A1	November 14, 2001	E	025	C08J005/00
CA 2345498 A1	November 9, 2001	E	000	C08B030/06
JP 2002012560 A	January 15, 2002		021	A61K047/36
US 6348264 B1	February 19, 2002		000	C08B031/00

INT-CL (IPC): A01 C 1/06; A23 K 1/00; A23 L 1/09; A23 L 1/29; A23 L 2/395; A61 K 7/00; A61 K 9/20; A61 K 9/36; A61 K 9/48; A61 K 47/24; A61 K 47/26; A61 K 47/32; A61 K 47/36; A61 K 47/38; A61 K 47/42; C08 B 30/06; C08 B 31/00; C08 J 5/00; C08 L 3/00; C08 L 3/02; C08 L 3:00; C08 L 3:02; C09 D 103/00; C09 D 103/02

ABSTRACTED-PUB-NO: EP 1153960A
BASIC-ABSTRACT:

NOVELTY - An aqueous composition (I) comprising a hydrogenated low dextrose equivalent (DE) starch hydrolysate (a), chemically derivatized (a) or hydrogenated (a) is useful in production of a solid shaped form or a coated solid form. (a) has a DE of less than 25 (preferably 10.9-20) and a polydispersity index of less than 5 (preferably 1.5-3.3).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) the preparation of the solid shaped form, comprises:

(i) forming (I);

(ii) drying (I) to a moisture content of less than 10% to obtain a dry low DE starch hydrolysate composition (II); and

(iii) shaping (II); and

(2) the preparation of the coated solid form, comprises applying (I) to the solid form.

USE - For the production of a solid shaped form such as tablets, caplets, pills, capsules and lozenges; and a coated solid form such as tablets, caplets, pills,

capsules, seeds, lozenges, spherules, granules and particles (claimed), which are useful in e.g. food, beverage, animal food, pharmaceutical, nutraceutical, cosmetic, coloring and fragrance industry. The shaped solid forms and coated shaped solid forms are useful in the food industry as carriers for coloring agents, flavors, fragrances, essences and synthetic sweeteners; spray drying adjuncts for coffee extracts and tea extracts; bulking, bodying and dispersing agents in synthetic creams and coffee whiteners; ingredients promoting a moisture retention in bread, pastry and meats; components of dry soup mixes, bakery mixes, frosting mixes, spice mixes, blends, coverage powders, condiments, gravy mixes, sauce mixes and frozen dairy foods; in fat mimetics; formulation of tabulating compounds useful anti-caking agents, whipped products; protective coatings, agglomeration aids and low or reduced in calorie foods and beverages.

ADVANTAGE - The liquid low DE starch hydrolysates have a low viscosity of 8000 centipoise, and have improved properties of non-retrogradation, microbial stability and haze formation; compared to the prior art acid or enzyme converted starch hydrolysates. The solid and coated solid forms prepared with the starch hydrolysates have superior performance, high degree of plastic deformation, low elastic modulus, good film forming, cohesiveness and adhesiveness properties, and excellent functionality as binder/filler at low concentration and relatively low compression, inertness and chemical stability. The low DE starch hydrolysate possess equal or superior binding ability and excellent plasticizing, with increased tensile strength, reduced capping pressure and decreased tablet friability compared to cellulosic binders, fillers, polymeric, traditional maltodextrin and gum Arabic. Thus these materials are economical viable and functionally attractive binder(s) and filler(s) for the neutraceutical, pharmaceutical, chemical and food industry.
ABSTRACTED-PUB-NO:

US 6348264B EQUIVALENT-ABSTRACTS:

NOVELTY - An aqueous composition (I) comprising a hydrogenated low dextrose equivalent (DE) starch hydrolysate (a), chemically derivatized (a) or hydrogenated (a) is useful in production of a solid shaped form or a coated solid form. (a) has a DE of less than 25 (preferably 10.9-20) and a polydispersity index of less than 5 (preferably 1.5-3.3).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:

(1) the preparation of the solid shaped form, comprises:

(i) forming (I);

(ii) drying (I) to a moisture content of less than 10% to obtain a dry low DE starch hydrolysate composition (II); and

(iii) shaping (II); and

(2) the preparation of the coated solid form, comprises applying (I) to the solid form.

USE - For the production of a solid shaped form such as tablets, caplets, pills, capsules and lozenges; and a coated solid form such as tablets, caplets, pills, capsules, seeds, lozenges, spherules, granules and particles (claimed), which are useful in e.g. food, beverage, animal food, pharmaceutical, nutraceutical, cosmetic, coloring and fragrance industry. The shaped solid forms and coated shaped solid forms are useful in the food industry as carriers for coloring agents, flavors, fragrances, essences and synthetic sweeteners; spray drying adjuncts for coffee extracts and tea extracts; bulking, bodying and dispersing agents in synthetic creams and coffee whiteners; ingredients promoting a moisture retention in bread, pastry and meats; components of dry soup mixes, bakery mixes, frosting mixes, spice mixes, blends, coverage powders, condiments, gravy mixes, sauce mixes and frozen dairy foods; in fat mimetics; formulation of tabulating compounds useful anti-caking agents, whipped products; protective coatings, agglomeration aids and low or reduced in calorie foods and beverages.

ADVANTAGE - The liquid low DE starch hydrolysates have a low viscosity of 8000 centipoise, and have improved properties of non-retrogradation, microbial stability and haze formation; compared to the prior art acid or enzyme converted starch hydrolysates. The solid and coated solid forms prepared with the starch hydrolysates have superior performance, high degree of plastic deformation, low elastic modulus, good film forming, cohesiveness and adhesiveness properties, and excellent functionality as binder/filler at low concentration and relatively low compression, inertness and chemical stability. The low DE starch hydrolysate possess equal or superior binding ability and excellent plasticizing, with increased tensile strength, reduced capping pressure and decreased tablet friability compared to cellulosic binders, fillers, polymeric, traditional maltodextrin and gum Arabic. Thus these materials are economical viable and functionally attractive binder(s) and filler(s) for the neutraceutical, pharmaceutical, chemical and food industry.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Drawi Desc | Image

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☐ 2. Document ID: BR 200001343 A EP 1036510 A2 AU 200022323 A JP 2000281574 A CN 1271579 A

L6: Entry 2 of 8 File: DWPI Aug 14, 2001

DERWENT-ACC-NO: 2000-588947
DERWENT-WEEK: 200154

TITLE: Vitamin E composition compressible into tablets or caplets includes precipitated silica, calcium silicate and microcrystalline cellulose

INVENTOR: BOULOS, A; DESAI, J ; MARTIN, N ; STILLMAN, R ; UDWIN, M

PRIORITY-DATA: 2000US-0512512 (February 24, 2000), 1999US-0271810 (March 18, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
BR 200001343 A	August 14, 2001		000	A61K031/495
EP 1036510 A2	September 20, 2000	E	016	A23L001/302
AU 200022323 A	September 21, 2000		000	A61K031/355
JP 2000281574 A	October 10, 2000		014	A61K031/355
CN 1271579 A	November 1, 2000		000	A61K031/355

INT-CL (IPC): A23 L 1/302; A61 K 9/20; A61 K 31/355; A61 K 31/495; A61 K 33/04; A61 K 33/14; A61 K 33/24; A61 K 45/06; A61 K 47/02; A61 K 47/04; A61 K 47/38; A61 P 3/02; A61 P 9/00

ABSTRACTED-PUB-NO: EP 1036510A
BASIC-ABSTRACT:

NOVELTY - Vitamin E composition compressible into tablets or caplets comprises: (a) vitamin E in an amount such that each tablet or caplet contains at least 200 IU vitamin E; (b) 0.5-10 wt.% precipitated silica; (c) 1-10 wt.% calcium silicate; (d) 5-50 wt.% microcrystalline cellulose; and (e) 0-5 wt.% talc; provided that (b) and (c) total at least 4 wt.% and the ratio of (a) to (b)+(c) is 3-6:1.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a method of providing cardiovascular benefit to a human by administering the vitamin E composition.

ACTIVITY - Cardiant.

MECHANISM OF ACTION - None given.

USE - The composition is useful as a nutritional supplement, especially designed to

benefit cardiovascular health by providing vitamin E and cardioprotective minerals and vitamins in amounts effective to decrease homocysteine levels.

ADVANTAGE - The composition has a high vitamin E content and is compressible into tablets or caplets that do not leach out vitamin E during long-term storage.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 3. Document ID: EP 1109535 A1 WO 200013670 A1 AU 9958104 A

L6: Entry 3 of 8 File: DWPI Jun 27, 2001

DERWENT-ACC-NO: 2000-256839
DERWENT-WEEK: 200137

TITLE: Composition comprising particles of acetaminophen coated on seeds of sugar and starch, is useful for the delivery of acetaminophen for extended release

INVENTOR: ANAEBONAM, A O; CLEMENTE, E ; MENDES, R W

PRIORITY-DATA: 1998US-0146261 (September 3, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 1109535 A1	June 27, 2001	E	000	A61K009/16
WO 200013670 A1	March 16, 2000	E	043	A61K009/16
AU 9958104 A	March 27, 2000		000	A61K009/16

INT-CL (IPC): A61 K 9/16

ABSTRACTED-PUB-NO: WO 200013670A
BASIC-ABSTRACT:

NOVELTY - Extended release acetaminophen (I) composition comprising particles containing (I) coated on sugar/starch seeds and having a specified release profile, is new.

DETAILED DESCRIPTION - Extended release acetaminophen (I) composition comprises particles containing (I) coated on sugar/starch seeds. The particles are present as a blend of an immediate release form and a controlled release form. The composition, when contained within a gelatin capsule and assayed in a USP Apparatus I rotating basket at 50 rpm in 900 ml phosphate buffer at pH 5.8 and 37 deg. C, exhibits 40% (I) dissolution after 30 minutes, 55% (I) dissolution after 1 hour and complete dissolution after 6 hours.

USE - The composition is useful for the delivery of (I) for extended release, particularly to patients who have difficulty swallowing tablets, caplets and capsules e.g. children aged 3 months to 14 years, including febrile children.

ADVANTAGE - The composition avoids the use of wicking agents and erosion promoters, and can be dispersed in food for administration. Long lasting effects are achieved so a patient can sleep all night without having to wake up to take another dose.

Febrile children with initial temperatures of at least 101 deg. F were given either 'Tylenol' (RTM) immediate release elixir or a novel extended release composition. Temperature measurements were recorded regularly for up to 8 hours. After 4 hours, the effectiveness of 'Tylenol' (RTM) decreased and the mean temperature difference was 1.9 deg. F compared with 2.5 deg. F for the extended release composition.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

☐ 4. Document ID: MX 2001002221 A1 WO 200013669 A1 AU 9957027 A US 6254891 B1

L6: Entry 4 of 8 File: DWPI May 1, 2001

DERWENT-ACC-NO: 2000-256838
DERWENT-WEEK: 200227

TITLE: Composition comprising particles of acetaminophen coated on seeds of sugar and starch, is useful for the delivery of acetaminophen for extended release

INVENTOR: CLEMENTE, E; ANAEBONAM, A O ; MENDES, R W

PRIORITY-DATA: 1998US-0146261 (September 3, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
MX 2001002221 A1	May 1, 2001		000	A61K009/16
WO 200013669 A1	March 16, 2000	E	040	A61K009/16
AU 9957027 A	March 27, 2000		000	A61K009/16
US 6254891 B1	July 3, 2001		000	A61K009/16

INT-CL (IPC): A61 K 9/16; A61 K 9/50

ABSTRACTED-PUB-NO: US 6254891B
BASIC-ABSTRACT:

NOVELTY - Extended release acetaminophen (I) composition comprising particles containing (I) coated on sugar/starch seeds and having a specified release profile, is new

DETAILED DESCRIPTION - Extended release acetaminophen (I) composition comprises particles containing (I) coated on sugar/starch seeds. The particles are present as a blend of an immediate release form and a controlled release form. The composition, when contained within a gelatin capsule and assayed in a USP Apparatus I rotating basket at 50 rpm in 900 ml phosphate buffer at pH 5.8 and 37 deg. C, exhibits 40% (I) dissolution after 30 minutes, 55% (I) dissolution after 1 hour and complete dissolution after 6 hours.

USE - The composition is useful for the delivery of (I) for extended release, particularly to patients who have difficulty swallowing tablets, caplets and capsules e.g. children aged 3 months to 14 years, including febrile children.

ADVANTAGE - The composition avoids the use of wicking agents and erosion promoters, and can be dispersed in food for administration. Long lasting effects are achieved so a patient can sleep all night without having to wake up to take another dose.

Febrile children with initial temperatures of at least 101 deg. F were given either 'Tylenol' (RTM) immediate release elixir or a novel extended release composition. Temperature measurements were recorded regularly for up to 8 hours. After 4 hours, the effectiveness of 'Tylenol' (RTM) decreased and the mean temperature difference was 1.9 deg. F compared with 2.5 deg. F for the extended release composition.
ABSTRACTED-PUB-NO:

WO 200013669A EQUIVALENT-ABSTRACTS:

NOVELTY - Extended release acetaminophen (I) composition comprising particles containing (I) coated on sugar/starch seeds and having a specified release profile, is new

DETAILED DESCRIPTION - Extended release acetaminophen (I) composition comprises

particles containing (I) coated on sugar/starch seeds. The particles are present as a blend of an immediate release form and a controlled release form. The composition, when contained within a gelatin capsule and assayed in a USP Apparatus I rotating basket at 50 rpm in 900 ml phosphate buffer at pH 5.8 and 37 deg. C, exhibits 40% (I) dissolution after 30 minutes, 55% (I) dissolution after 1 hour and complete dissolution after 6 hours.

USE - The composition is useful for the delivery of (I) for extended release, particularly to patients who have difficulty swallowing tablets, caplets and capsules e.g. children aged 3 months to 14 years, including febrile children.

ADVANTAGE - The composition avoids the use of wicking agents and erosion promoters, and can be dispersed in food for administration. Long lasting effects are achieved so a patient can sleep all night without having to wake up to take another dose.

Febrile children with initial temperatures of at least 101 deg. F were given either 'Tylenol' (RTM) immediate release elixir or a novel extended release composition. Temperature measurements were recorded regularly for up to 8 hours. After 4 hours, the effectiveness of 'Tylenol' (RTM) decreased and the mean temperature difference was 1.9 deg. F compared with 2.5 deg. F for the extended release composition.

☐ 5. Document ID: US 6036973 A

L6: Entry 5 of 8 File: DWPI Mar 14, 2000

DERWENT-ACC-NO: 2000-246182
DERWENT-WEEK: 200021

TITLE: Oral dosage forms e.g. coated caplets for controlled release of tacrine over twenty four hours, useful for treating neurological diseases, particularly Alzheimer's disease

INVENTOR: CHILDERS, J D; GUITTARD, G V ; GUMUCIO, F E ; KIDNEY, D J ; WONG, P S

PRIORITY-DATA: 1997US-0892995 (July 15, 1997), 1994US-0266045 (June 27, 1994)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 6036973 A	March 14, 2000		016	A61K009/00

INT-CL (IPC): A61 K 9/00; A61 K 31/13; A61 K 31/135

ABSTRACTED-PUB-NO: US 6036973A
BASIC-ABSTRACT:

NOVELTY - Oral dosage forms for treating neurological diseases, particularly Alzheimer's disease, provide pulsed release and extended release of tacrine.

DETAILED DESCRIPTION - Methods of treating a neurological disease comprise oral administration of:

(a) tacrine such that 20-50 mg is administered over 0-2 hours, 60-120 mg over 0-8 hours, 110-170 mg over 0-14 hours and 170-200 mg over 0-24 hours, together with another active agent selected from aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, estrogen, propentofylline, alpha -tocopherol, aminopyridine, cytisine, 1-hydroxy-tacrine and donepezil; or

(b) a pulsed release dose of tacrine, together with selegiline, alpha -tocopherol,

1-hydroxy-tacrine or donepezil, an extended release dose of tacrine with a release pattern of 10-25 % after 0-2 hours, 30-60 % after 0-8 hours, 55-85 % after 0-14 hours and greater than 85 % after 0-24 hours, and an active agent as described in (a).

INDEPENDENT CLAIMS are included for:

(i) a dosage form for treating a neurological disease, comprising tacrine and a salt that is administered instantly or in up to 30 minutes, with one of selegiline, alpha -tocopherol, 1-hydroxy- tacrine or donepezil, and an extended-release dose comprising tacrine and a salt that is administered from 0.5-24 hours and another active ingredient as listed in (a);

(ii) caplets for oral administration in the treatment of Alzheimer's disease comprising a composition (c) of 100 ng to 500 mg tacrine and an active agent listed in (a), an expandable composition that imbibes fluid and increases in volume for displacing (c) from the caplet, a wall, permeable to fluid and impermeable to (c), surrounding the composition and having a passageway for delivery of the composition and a coating on the surface of the caplet comprising selegiline, tacrine, alpha -tocopherol, 1-hydroxy tacrine or donepezil;

(iii) a dosage form for delivery to the gastrointestinal environment in treatment of Alzheimer's disease comprising (c), a wall as described in (ii), but which is permeable to gastrointestinal fluid and a coating as described in (ii), where the dosage is delivered over a 24 hour period;

(iv) an osmotic dosage form for delivering tacrine to the gastrointestinal tract in treatment of Alzheimer's disease comprising a tacrine composition containing 108 mg tacrine hydrochloride, 154.80 mg sodium carboxymethyl cellulose (sodium CMC), 79.20 mg sorbitol, 14.40 mg polyvinyl pyrrolidone (PVP) and 3.60 mg magnesium stearate, a displacement composition containing 84.60 mg sodium CMC, 43.20 mg sodium chloride, 7.20 g hydroxypropylcellulose, 7.20 g hydroxypropylmethylcellul- ose and 0.36 mg magnesium stearate, which develops an osmotic pressure greater than that of the gastrointestinal tract and a wall surrounding the tacrine and displacement compositions comprising an 88:12 by weight mixture of cellulose acetate and polyethyleneglycol, and which has an exit passageway for delivering tacrine over 24 hours;

(v) a dosage form for treatment of Alzheimer's disease comprising a composition of 34 % tacrine, 57 % mannitol, 3 % HPMC, 1 % non-crosslinked PVP, 3 % crosslinked PVP and 1 % magnesium stearate, surrounded by a wall comprising 95 % cellulose acetate and 5 % polyethylene glycol, having an exit and optionally coated with 80 % tacrine, 18 % HPMC and 2 % polyethylene glycol;

(vi) a dosage form for treating Alzheimer's disease comprising (c), 2-60 wt.% of an osmotically active agent, 0.25-15 wt.% of a PVP, 0-20 wt.% of a cellulose ether, and 0.01-10 wt.% of a lubricant and a wall permeable to fluid, coated as in (ii) and with an exit to deliver tacrine over 24 hours; and

(vii) a caplet for treatment of Alzheimer's disease comprising (c) (an additional ingredient is a tacrine salt), a hydrophilic sub coat surrounding (c), a coating as described in (ii) weighing 1-225 mg, a semipermeable wall surrounding the sub coat and a passageway for delivering (c).

ACTIVITY - Nootropic; Neuroprotective.

MECHANISM OF ACTION - None given.

USE - For treating neurological diseases, particularly Alzheimer's disease (claimed).

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 6. Document ID: AU 727271 B EP 891776 A1 CZ 9802221 A3 AU 9875088 A JP 11092387 A CN 1207898 A NZ 330915 A HU 9801615 A2 BR 9802487 A KR 99013918 A ZA 9806338 A US 6103260 A

L6: Entry 6 of 8 File: DWPI Dec 7, 2000

DERWENT-ACC-NO: 1999-083372
DERWENT-WEEK: 200103

TITLE: New antifoam composition comprising simethicone and anhydrous calcium phosphate - formed from a free flowing granular composition for solid oral dosage

INVENTOR: LUBER, J R; MADISON, G ; MCNALLY, G

PRIORITY-DATA: 1997US-0896189 (July 17, 1997)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
AU 727271 B	December 7, 2000		000	A61K031/80
EP 891776 A1	January 20, 1999	E	009	A61K031/80
CZ 9802221 A3	February 17, 1999		000	A61K031/80
AU 9875088 A	January 28, 1999		000	A61K031/80
JP 11092387 A	April 6, 1999		008	A61K031/80
CN 1207898 A	February 17, 1999		000	A61K033/42
NZ 330915 A	June 29, 1999		000	A61K031/695
HU 9801615 A2	July 28, 1999		000	A61K009/10
BR 9802487 A	September 8, 1999		000	A61K031/80
KR 99013918 A	February 25, 1999		000	A61K009/16
ZA 9806338 A	March 29, 2000		020	A61K000/00
US 6103260 A	August 15, 2000		000	A61K009/16

INT-CL (IPC): A61 J 0/00; A61 K 0/00; A61 K 9/00; A61 K 9/10; A61 K 9/16; A61 K 9/20; A61 K 9/28; A61 K 9/48; A61 K 9/50 ; A61 K 31/695; A61 K 31/80; A61 K 33/06; A61 K 33/42; A61 K 47/24; B01 J 0/00; C07 F 7/16

ABSTRACTED-PUB-NO: EP 891776A
BASIC-ABSTRACT:

New antifoam simethicone oral solid dosage preparation formed from a free flowing granular composition, comprises a mixture of: (a) simethicone;

and (b) granular anhydrous tribasic or dibasic calcium phosphate or a mixture thereof. The simethicone/calcium phosphate mixture is a uniform granular composition of not more than 1000 micron particle size. Also claimed are: (1) a free flowing granular composition as above; and (2) a process for producing a free flowing composition of a simethicone antifoam agent for compression into solid oral dosage forms comprising adding the simethicone antifoam agent to granular anhydrous tribasic and/or dibasic calcium phosphate and optionally a scavenger such as silicon dioxide or anhydrous calcium phosphate powder to form a mixture, dry blending until uniform and shearing to assure a uniform free flowing granular composition.

USE - The dosage form is useful in the form of a compressed unit dose swallowable or chewable tablet, caplet, gelcap, capsule, lozenge or fast dissolving wafer (claimed). The compositions are useful as an adjunct in the symptomatic treatment of flatulence, functional gastric bloating and postoperative gas pains due to the antifoam properties of the simethicone.

ADVANTAGE - The composition is more free flowing and more stable therefore is not prone to separation of the simethicone from the substrate. The combination of calcium phosphates and simethicone also produce better anti-foaming activity.
ABSTRACTED-PUB-NO:

US 6103260A EQUIVALENT-ABSTRACTS:

New antifoam simethicone oral solid dosage preparation formed from a free flowing granular composition, comprises a mixture of: (a) simethicone; and (b) granular anhydrous tribasic or dibasic calcium phosphate or a mixture thereof. The simethicone/calcium phosphate mixture is a uniform granular composition of not more than 1000 micron particle size. Also claimed are: (1) a free flowing granular composition as above; and (2) a process for producing a free flowing composition of a simethicone antifoam agent for compression into solid oral dosage forms comprising adding the simethicone antifoam agent to granular anhydrous tribasic and/or dibasic calcium phosphate and optionally a scavenger such as silicon dioxide or anhydrous calcium phosphate powder to form a mixture, dry blending until uniform and shearing to assure a uniform free flowing granular composition.

USE - The dosage form is useful in the form of a compressed unit dose swallowable or chewable tablet, caplet, gelcap, capsule, lozenge or fast dissolving wafer (claimed). The compositions are useful as an adjunct in the symptomatic treatment of flatulence, functional gastric bloating and postoperative gas pains due to the antifoam properties of the simethicone.

ADVANTAGE - The composition is more free flowing and more stable therefore is not prone to separation of the simethicone from the substrate. The combination of calcium phosphates and simethicone also produce better anti-foaming activity.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | IMC | Draw Desc | Image

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☐ 7. Document ID: KR 98700066 A WO 9618387 A1 AU 9646067 A US 5637313 A GB 2310802 A JP 10510817 W

L6: Entry 7 of 8 File: DWPI Mar 30, 1998

DERWENT-ACC-NO: 1996-300370
DERWENT-WEEK: 199901

TITLE: Soft chewable dosage form - comprises matrix of hydrogenated starch hydrolysate, water-soluble and water-insol bulking agents, pref supporting active ingredient

INVENTOR: CHAU, T L; LA BELLA, N A

PRIORITY-DATA: 1994US-0357506 (December 16, 1994)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
KR 98700066 A	March 30, 1998		000	A61K009/20
WO 9618387 A1	June 20, 1996	E	017	A61K009/20
AU 9646067 A	July 3, 1996		000	A61K009/20
US 5637313 A	June 10, 1997		005	A61K009/20
GB 2310802 A	September 10, 1997		000	A61K009/20
JP 10510817 W	October 20, 1998		024	A61K009/20

INT-CL (IPC): A61 K 9/20; A61 K 33/06; A61 K 33/08; A61 K 33/10; A61 K 47/36

ABSTRACTED-PUB-NO: US 5637313A
BASIC-ABSTRACT:

A soft, chewable dosage form comprises a matrix of hydrogenated starch hydrolysate, a water-soluble bulking agent (I) and a water-insolubl e bulking agent (II).

The dosage form pref includes an active ingredient supported on the matrix.a

The matrix includes 7-45 (esp 14-27)wt.% hydrogenated starch hydrolysate, < 90 (esp 30-60) wt% (I) and < 65 (esp 15-40) wt% (II).

(I) is sorbitol, xylitol, sucrose, fructose, dextrose, mannitol, starch, maltodextrin and/or corn syrup solids, esp sorbitol. (II) is aluminium hydroxide, calcium carbonate, magnesium carbonate and/or magnesium hydroxide, esp CaCO3.

The dosage form is a tablet, caplet or pill, and may opt include a flavouring agent, a colourant and/or a humectant e.g. glycerine.

The active ingredient is Mg(OH)2, Al(OH)3, caffeine, simethicone, vitamin A, vitamin B12 and/or vitamin D3.

USE - The form is used for oral delivery of a pharmaceutical, medicinal or other active ingredient.

ADVANTAGE - The prod. is pliable and chewy but dissolves quickly in the mouth. It has a long shelf-life, contains little moisture which improves stability and decreases the tendency for the dosage form to dry out, does not require cooking or heating in its mfr. and is pref. non-nutritive.
ABSTRACTED-PUB-NO:

WO 9618387A EQUIVALENT-ABSTRACTS:

A soft, chewable dosage form, comprises: a matrix comprising 7-45 % by weight hydrogenated starch hydrolysate, up to 90% by weight of a water soluble bulking agent, and up to 65% by weight of a water insoluble bulking agent.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 8. Document ID: MX 200147 B WO 9525521 A1 BR 9507199 A MX 9604298 A1 CA 2184361 C

L6: Entry 8 of 8 File: DWPI Dec 18, 2000

DERWENT-ACC-NO: 1995-351122
DERWENT-WEEK: 200220

TITLE: Swallowable dose form for treating upper gastrointestinal tract distress - contains bismuth sub-salicylate, carbonate, disintegrant, surfactant and microcrystalline cellulose

INVENTOR: BARONE, D L; CHAPURA, F B ; COLACINO, M G

PRIORITY-DATA: 1994US-0217524 (March 24, 1994)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
MX 200147 B	December 18, 2000		000	A61K009/00
WO 9525521 A1	September 28, 1995	E	014	A61K031/60
BR 9507199 A	September 9, 1997		000	A61K031/60
MX 9604298 A1	December 1, 1997		000	A61K031/60
CA 2184361 C	December 5, 2000	E	000	A61K031/60

INT-CL (IPC): A61 K 9/00; A61 K 9/20; A61 K 9/48; A61 K 31/60

ABSTRACTED-PUB-NO: WO 9525521A
BASIC-ABSTRACT:

Swallowable solid dose form for treating upper gastrointestinal tract discomfort comprises (by wt.) 2-25% (bi)carbonate salt (I); 0.5-15% disintegrating agent (II); 5-70% bismuth subsalicylate (III); 0.1-3% anionic or nonionic surfactant (IV) and 15-50% microcrystalline cellulose (V).

(II) is e.g. Na starch glycolate (IIa); crosslinked PVP; croscarmellose sodium; polyacrilin potassium, alginic acid or starch.

(IV) is e.g. a polyethoxylated alkylphenol or 8-18C alkanol; condensate of ethylene oxide with propylene oxide/ethylene diamine reaction products; long chain tert. amine or phosphine oxides, long chain dialkyl sulphoxides contg. one 1-3C short chain of hydroxyalkyl gp. and one long hydrophobic chain.

(III) pref. has particle size 3-10 mum to provide rapid dissolution and (V) is of particle size 80-120 mum.

USE - The compsns. are taken orally for treatment of acid indigestion, heartburn or sour stomach, also diarrhoea or nausea, in humans or animals.

Dosage is esp. 2 'caplets' (capsule-shaped tablets) of 675 mg (contg. 262 mg (III)), taken with water every 0.5-1 hr for a max. of 8 in 24 hr. (for adults).

ADVANTAGE - The swallowable tablets avoid the unpleasant taste of liq. or chewable formulations but provide release just as rapidly.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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Term	Documents
(3 AND 4 AND 5).DWPI.	8
(L5 AND L3 AND L4).DWPI.	8

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L7: Entry 1 of 1 File: USPT Mar 14, 2000

US-PAT-NO: 6036973
DOCUMENT-IDENTIFIER: US 6036973 A

TITLE: Therapy for neurological diseases

DATE-ISSUED: March 14, 2000

INVENTOR-INFORMATION:

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ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
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APPL-NO: 08/ 892995 [PALM]
DATE FILED: July 15, 1997

PARENT-CASE:
CROSS-REFERENCE TO RELATED APPLICATIONS This application is a continuation-in-part application of U.S. Ser. No. 08/266,045, filed Jun. 27, 1994 now U.S. Pat. No. 5,698,224 issued Dec. 16, 1997.

INT-CL: [07] A61 K 9/00, A61 K 31/13, A61 K 31/135

US-CL-ISSUED: 424/457; 424/457, 424/468, 424/471, 424/472, 424/473, 424/479, 424/480, 424/482, 424/486, 424/488
US-CL-CURRENT: 424/457; 424/468, 424/471, 424/472, 424/473, 424/479, 424/480, 424/482, 424/486, 424/488

FIELD-OF-SEARCH: 424/468, 424/471, 424/472, 424/480, 424/439, 424/451, 424/452, 424/457, 424/463, 424/486, 424/488, 424/473, 424/479, 424/482

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

Search Selected Search ALL

	PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
☐	2799241	July 1957	Wurster	118/24
☐	3845770	November 1974	Theeuwes et al.	128/260
☐	3916899	November 1975	Theeuwes et al.	128/260
☐	4063064	December 1977	Saunders et al.	219/121
☐	4077407	March 1978	Theeuwes et al.	128/260
☐	4088864	May 1978	Theeuwes et al.	219/121
☐	4327725	May 1982	Cortese et al.	128/260
☐	4612008	September 1986	Wong et al.	604/892
☐	4765989	August 1988	Wong et al.	424/473
☐	4783337	November 1988	Wong et al.	424/468
☐	4816456	March 1989	Summers	514/255
☐	4857330	August 1989	Stephen et al.	424/424
☐	5698224	December 1997	Guittard et al.	424/468
☐	5916925	June 1999	Higuchi et al.	514/678

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	US-CL
0595 365 A1	May 1994	EP	
WO 92/15285	September 1992	WO	
WO 93/24154	December 1993	WO	
WO 95/03052	February 1995	WO	

OTHER PUBLICATIONS

AR--Preparation of Compressed Tablet Granulations by the Air-Suspension Technique II*, Wurster, Dale E., J. Am. Phar. Assoc., Sci. Ed., vol. 49, pp 82-84 (1960).
AS--Air-Suspension Technique of Coating Drug Particles*, Wurster, Dale E., J. Am. Phar. Assoc., Sci Ed., vol. 48, pp 451-454 (1959).

ART-UNIT: 171

PRIMARY-EXAMINER: Mullis; Jeffrey C.

ABSTRACT:

A dosage form is disclosed for administering 10 ng to 1200 mg tacrine to a patient in need of tacrine therapy.

14 Claims, 6 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

BRIEF SUMMARY:

1 FIELD OF THE INVENTION

2 This invention pertains to therapy indicated for the management of neurological diseases. More particularly, the invention relates to a dosage form that provides a controlled delivery of tacrine over an extended time for the treatment of neurological diseases, including Alzheimer's disease. The invention concerns additionally a therapeutic composition of matter comprising tacrine useful for treating neurological diseases, including Alzheimer's disease. The invention

relates further to a method of administering tacrine to produce a beneficial effect for treating neurological diseases, including Alzheimer's disease.

3 BACKGROUND OF THE INVENTION

4 The drug tacrine is indicated for the treatment of neurological diseases, including Alzheimer's disease. The neurological-Alzheimer's disease is a progressive, irreversible brain disorder that strikes more frequently with advancing age. The common symptoms of this neurological disease generally include memory loss, confusion, impaired judgment, personality changes, and the loss of language skills. There is, during the course of the disease, a dependence on others to assist the patient in performing tasks such as taking medicine. The average length of the illness is seven years, but it can last fifteen or more years. Presently, research indicates the symptoms of Alzheimer's disease are the result of the loss of nerve cell function in distinct areas of the brain. Alzheimer's disease affects an estimated four-million people, and most cases occur after age sixty; however, the disease affects some individuals in their forties and fifties, usually affecting about ten percent of people over sixty-five. Alzheimer's disease affects all people, and the disease is not restricted to any race, gender, or socioeconomic class.

5 The drug tacrine for treating neurological diseases, including Alzheimer's disease, is disclosed in U.S. Pat. No. 4,816,456 issued to Summers. The patent teaches the drug tacrine can be administered by standard noncontrolled tablet, pill, powder, elixir, solution, suppository, ointment, cream and capsule, which are dose-dumping conventional forms. The conventional forms deliver the drug by dose-dumping, and this leads to uneven dosing of drug, to uneven blood levels of the drug characterized by peaks and valleys, and accordingly, this does not provide controlled-rate therapy over an extended period of time. Presently, tacrine is administered many times a day because tacrine has a half-life of about three hours. The prior-art dosing patterns and the half-life characteristics of tacrine dictate of the need for an unique dosage form that can administer tacrine over an extended therapeutic time to provide continuous therapy and beneficial therapy to an Alzheimer's patient. The medical history of Alzheimer's disease is known in Current Therapy, Conn, pp. 831-835 (1994).

6 The prior art provided dosage forms that can administer many drugs for extended-controlled therapy. For example, in U.S. Pat. Nos. 3,845,770 and 3,916,899 issued to Theeuwes and Higuchi, in U.S. Pat. No. 4,327,725 issued to Cortese and Theeuwes, and in U.S. Pat. Nos. 4,612,008, 4,765,989, and 4,783,337 issued to Wong, Barclay, Deters and Theeuwes, a dosage form is disclosed that provides therapy by pressure generated inside the dosage form. The dosage form of these patents operates successfully for delivering a drug that develops a high pressure gradient across a semipermeable membrane. The drug tacrine, however, possesses a low osmotic pressure, which dictates against providing an osmotic dosage form for use in the gastrointestinal tract. The gastrointestinal tract has a high osmotic pressure, and this speaks against an osmotic dosage form comprising tacrine as this environment can adversely affect the delivery of tacrine, from the dosage form in this environment.

7 It is immediately apparent in light of the above presentation that an urgent need exists for a dosage form endowed with the necessary physical-chemical properties for delivering tacrine. The need exists for a dosage form for delivering tacrine at a controlled-rate in a continuous dose in a therapeutic tacrine range governed by the dosage form, while simultaneously providing the beneficial tacrine therapy. It will be appreciated by those versed in the drug dispensing art that if such a dosage form is provided that can administer tacrine in the desired delivery program, the dosage form or a therapeutic composition in the dosage form comprising tacrine would represent an advancement and valuable contribution in Alzheimer therapy.

8 OBJECTS OF THE INVENTION

9 Accordingly, in view of the above presentation, it is an object of this invention to provide a dosage form that delivers tacrine for the management of neurological

diseases, including Alzheimer's disease.

10 Another object of the present invention is to provide a dosage form for orally administering tacrine to a patient in need of tacrine at a controlled-rate, in an extended-therapeutic dose, over an extended period of time.

11 Another object of the invention is to provide tacrine in a rate-controlled, continuous-release dose, to a neurological-disease patient, including an Alzheimer patient, for maintaining a substantially therapeutic tacrine level in the blood as a function of the prolonged-release system.

12 Another object of the present invention is to provide a dosage form that can deliver orally tacrine in the gastrointestinal environment, and concomitantly substantially reduces and/or substantially eliminates the unwanted influence of the gastrointestinal environment of the delivery of tacrine in the gastrointestinal tract.

13 Another object of the present invention is to provide an improvement in a dosage form that administers tacrine, wherein the improvement comprises orally delivering tacrine, in an extended-release dose from the dosage form, for predictable and improved therapy to a patient in need of tacrine therapy.

14 Another object of the invention is to provide a pulsed dose of tacrine, and an extended release dose of tacrine, for the management of neurological diseases, including Alzheimer's disease.

15 Another object of the invention is to provide a method for administering tacrine by orally administering tacrine in a known dose per unit time, over an extended time to a patient in need of tacrine therapy, while simultaneously substantially avoiding a toxic range of tacrine.

16 Another object of the invention is to provide a pulsed release and an extended release of at least two different drugs, which slow the progression of Alzheimer's disease.

17 Another object of the present invention is to provide a therapeutic, solid, orally administrable composition comprising tacrine blended with a tacrine pharmaceutically acceptable, compatible carrier.

18 Another object of the invention is to provide a dosage form that delivers tacrine and is characterized as clinically practical by reducing tacrine dosing frequency, reducing fluctuation in circulating tacrine levels and increases patient compliance to provide a more uniform tacrine pharmacological response.

19 Another object of the present invention is to provide a therapeutic composition comprising tacrine and pharmaceutically acceptable polymers manufactured into a dosage form.

20 Other objects, features and advantages of the invention will be more apparent to those versed in the dispensing art from the accompanying detailed specification, taken in conjunction with the accompanying drawing figures and claims.

DRAWING DESCRIPTION:

BRIEF DESCRIPTION OF THE DRAWINGS

The drawing figures, which are not drawn to scale, are set forth to illustrate various embodiments of the invention. The drawing figures are as follows:

Drawing FIG. 1 is a general view of a dosage form designed, shaped and adapted for the oral administration of tacrine at a controlled-rate to a patient in need of tacrine therapy.

Drawing FIG. 2 is an opened view of drawing FIG. 1, depicting the dosage form comprising a pharmaceutical composition comprising tacrine and means for aiding in the delivery of tacrine from the dosage form.

Drawing FIG. 3 is an opened view of drawing FIG. 1, illustrating the dosage form comprising a pharmaceutical composition comprising tacrine and displacement compositional means for pushing the pharmaceutical composition containing the tacrine from the dosage form.

Drawing FIG. 4 is a view of the dosage form of drawing FIG. 1 that depicts a pulsed coat on the exterior surface of the dosage form, which coat comprises tacrine and provides a pulsed delivery of tacrine.

Drawing FIG. 5 illustrates the dosage form manufactured as a caplet comprising a continuous body with a pair of curved-rounded ends, for increasing the dose of tacrine delivered, and for increasing the swallowability of the dosage form caplet.

Drawing FIG. 6 illustrates the dosage form caplet of drawing FIG. 5 in opened section provided with an outer semipermeable wall and an inner wall, comprising gelatin with the caplet comprising tacrine and means for delivering tacrine from the dosage form.

DETAILED DESCRIPTION:

1 In the drawings and specification, like parts in related figures are identified by like numbers. The terms appearing earlier in the specification and in the description of the drawings, as well as embodiments thereof, are further described in this specification.

2 DETAILED DESCRIPTION OF THE DRAWINGS

3 Turning now to the drawing figures in detail, which drawing figures are examples of dosage forms provided by this invention, and which examples are not to be construed as limiting, one example of a dosage form is seen in drawing FIG. 1. In drawing FIG. 1, a dosage form 10 is seen, comprising a body member 11, which body member 11 comprises a wall 12 that surrounds and forms an internal area, not seen in drawing FIG. 1. Drawing FIG. 1 comprises at least one exit 13 that connects the exterior of dosage form 10 with the interior of dosage form 10. The dosage form 10 of drawing FIG. 1 illustrates a controlled-release dosage form that delivers tacrine over an extended time. The dosage form, comprising controlled-release, extended-release properties, provided by this invention, is successful at maintaining therapeutic tacrine levels in the blood or in body tissues. The dosage form provided by the invention comprises continuous-extended release of tacrine over a prolonged time. The dosage form provides tacrine blood levels and tissue levels within a therapeutic range optionally below side-effect levels, and above ineffective levels over an extended release time. An extended period of time as used for the purpose of this invention, includes a prolonged period of up to thirty hours over that achieved by conventional drug delivery forms, such as conventional nonrate immediate-release tablets and immediate-release capsules.

4 In drawing FIG. 2, dosage form 10 is seen in opened section. In drawing FIG. 2, dosage form 10 comprises a body 11, and a wall 12 that surrounds an internal area or compartment 14. Internal compartment 14 communicates through exit port 13 with the exterior of dosage form 10. Wall 12 of dosage form 10 comprises totally, or in part, a composition that is permeable to the passage of an exterior fluid, such as an aqueous fluid or a biological fluid present in the gastrointestinal tract. Wall 12 is nontoxic, it is inert, and it maintains its physical and chemical integrity during the dispensing time of tacrine. The phrase "maintains its physical and chemical integrity" means wall 12 does not lose its structure, and it does not undergo chemical change during the dispensing of tacrine in the gastrointestinal tract.

5 Wall 12, as used for all the dosage forms of this invention, comprises a composition that does not adversely effect an animal, a human, or the components of the dosage form. Compositions for forming wall 12 comprise a member selected

from the group consisting of a cellulose ester polymer, a cellulose ether polymer, and a cellulose ester-ether polymer. These cellulosic polymers have a degree of substitution, D.S., on the anhydroglucose unit of the cellulose of from greater than 0 up to 3 inclusive. By degree of substitution is meant the average number of hydroxyl groups originally present on the anhydroglucose unit comprising the cellulose polymer that are replaced by a substituting group. Representative of wall-providing polymers comprises a member selected from the group consisting of cellulose acylate, cellulose diacylate, cellulose triacylate, cellulose acetate, cellulose diacetate, cellulose triacetate, mono- di- and tricellulose alkanylates, mono-, di-, and tricellulose alkenylates, mono-, di-, and tricellulose alkinylates, mono-, di-, and tricellulose aroylates. Exemplary polymers include cellulose acetate having a D.S. of up to 1 and an acetyl content of up to 21%, and cellulose acetate having a D.S. of 1 to 2 and an acetyl content of 21 to 35%; and cellulose acetate having a D.S. of 2 to 3 and an acetyl content of 35 to 44.8%. More specific cellulosic polymers include cellulose propionate having a D.S. of 1.8 and a propyl content of 39.2 to 45% and a hydroxyl content of 2.8 to 5.4%; cellulose acetate butyrate having a D.S. of 1.8, an acetyl content of 13 to 15% and a butyryl content of 34 to 39%; cellulose acetate butyrate having an acetyl content of 2 to 29%, a butyryl content of 17 to 53% and a hydroxyl content of 0.5 to 4.7; cellulose tricylates having a D.S. of 2.9 to 3, such as cellulose trivalerate, cellulose trilaurate, cellulose tripalmitate, cellulose trisuccinate; and cellulose trioctanoate; cellulose diacylates having a D.S. of 2.2. to 2.6 such as cellulose disuccinate, cellulose dipalmitate, cellulose dioctanoate, and cellulose dipentanoate; and co-esters of cellulose, such as cellulose acetate butyrate and cellulose acetate propionate.

6 Additional polymers comprising semipermeable properties include acetaldehyde dimethyl cellulose acetate; cellulose acetate ethyl carbamate; cellulose acetate methyl carbamate; cellulose acetate diethyl aminoacetate; semipermeable polyamides; semipermeable polyurethanes; semipermeable sulfonated polystyrenes; semipermeable cross-linked polymers formed by the coprecipitation of a polyanion and polycation as disclosed in U.S. Pat. Nos. 3,173,876, 3,3,276,586 3,541,005, 3,541,006, and 3,546,142; semipermeable polymers, as disclosed by Loeb and Sourirajan in U.S. Pat. No. 3,133,132; semipermeable, lightly cross-linked polystyrene derivatives; semipermeable cross-linked poly(sodium styrene sulfonate); semipermeable cross-linked poly(vinylbenzyltrimethyl ammonium chloride); semipermeable polymers exhibiting a fluid permeability of 2.5.times.10.sup.-8 to 2.5.times.10.sup.-3 (cm.sup.2 /hr.atm) expressed per atmosphere of hydrostatic or osmotic pressure difference across the semipermeable wall. The polymers are known to the art in U.S. Pat. Nos. 3,845,770; 3,916,899 and 4,160,020; and in Handbook of Common Polymers, Scott, J. R. and W. J. Roff, (1971) published by CRC Press, Cleveland, Ohio.

7 In drawing FIG. 2, dosage form 10, in compartment 14, comprises anti-neurological disease, including anti-Alzheimer's disease, drug tacrine 15, which tacrine 15 is present as a member selected from the group consisting of tacrine base, pharmaceutically acceptable organic salt, pharmaceutically acceptable inorganic salt, including the hydrochloride, hydrobromide, sulfate, phosphate, lactate, citrate, tartrate, malate, maleate, fumarate, ascorbate, gluconate, asparate, salicylate, edisylate, laurate, palmitate, nitrate, borate, acetate and oleate. The amount of tacrine 15 in dosage form 10 is 100 ng to 500 mg, which is delivered over an extended period of 30 minutes up to 30 hours. Tacrine 15 is present in dosage form 10 in individual doses of, for example, 25, 40, 60, 80, 85, 100, 128, 150, 170, 250, 300, 400, and 500 mg dose of tacrine. Internal compartment 14 comprises additionally tacrine compositional-forming means 16 to effect the delivery of tacrine 15. The compositional-forming means 16 are provided by the invention because tacrine 15 has a low osmotic pressure of 10 atmospheres, which leads against incorporating it in, and dispensing tacrine from, an osmotic form, since the osmotic pressure of the gastrointestinal tract is equal to or in excess of 10 atmospheres. This environment leads away from dispensing tacrine 15 from an osmotic dosage form 10. This invention unexpectedly found that tacrine 15 can be delivered from osmotic dosage form 10 by formulating a composition that is a tacrine drug core, which tacrine 15 core generates an osmotic pressure inside dosage form 10, characterized by an osmotic pressure needed for the delivery of tacrine. The drug tacrine 15 has a low osmotic pressure of 10 atmospheres, and it

requires means 16 for generating an osmotic pressure inside dosage form 10 greater than the osmotic pressure of the gastrointestinal tract. The osmotic pressure of gastrointestinal tract artificial gastric fluid is about 11 atmospheres, and artificial intestinal fluid is about 9 atmospheres. The low osmotic pressure of tacrine inside the dosage form is insufficient to deliver tacrine unaided from the dosage form at a controlled rate independent of the higher and constantly changing osmotic pressure of the gastrointestinal tract. The physiology of the gastrointestinal tract is influenced by the temporary storage of ingested food as it is reduced to a semiliquid state, the secretion of chemicals and enzymes to assist in ingestion, and contractions of different durations of the gastrointestinal wall, all of which influence the unpredictability of the osmotic pressure of the gastrointestinal tract. The presence of means 16 is for generating an osmotic pressure higher than the gastrointestinal environment. It can be measured by using an osmometer such as a Model 320B, Vapor Pressure Osmometer from the Hewlett-Packard Co., Avondale, Pa. The osmotic pressure .pi., is expressed in atmospheres, atm. The osmotic pressure is measured in a commercially available osmometer that measures the vapor pressure difference between water and the solution to be analyzed, and according to standard thermodynamic principles the vapor pressure ratio is converted into osmotic pressure. Another osmometer that can be used for this purpose is the Model 1001-A Knauer Vapor Pressure Osmometer from Utopia Instrumenting, Joliet, Ill. The osmotic pressure is measured as one of the colligative properties of a solution and calibrated in a recorder so that the output, I mVFS, directly gives the osmolality value: 1 osmole/kg water FS according to thermodynamic principles. The values are converted into osmotic pressure. Representative of means 16 are nontoxic compounds that generate an osmotic pressure of 10 atm or greater. Representative of means 16 comprises a member selected from the group consisting of inorganic salt, organic salt, monosaccharide, disaccharide, pentose, hexose, inorganic acid, organic acid, oxide, esters, alcohol, amines and imides, as further exemplified by sodium phosphate monobasic of 28 atm, sodium phosphate dibasic 29 atm, sodium phosphate dibasic 31 atm, sodium phosphate dibasic 31 atm, sodium phosphate tribasic 36 atm, potassium sulfate 39 atm, dextrose 82 atm, glucose 83 atm, sucrose 85 atm, mannitol sucrose combination 170 atm, dextrose sucrose combination 190 atm, mannitol dextrose combination 225 atm, lactose dextrose combination 225 atm, potassium chloride 245 atm, lactose sucrose 250 atm, fructose 355 atm, sodium chloride 356 atm, mannitol fructose 415 atm, sucrose fructose combination 430 atm, dextrose fructose combination 450 atm, and lactose fructose combination 500 atm; and further, means 16 embraces magnesium sulfate, magnesium chloride, lithium sulfate, potassium acid phosphate, inositol, magnesium succinate, tartaric acid, raffinose and sorbitol. The amount of osmotic pressure-generating means 16 present in the tacrine core is 2 to 75 wt %.

8 The tacrine core composition comprises 0.0 to 20 wt % of a binding agent. In a present manufacture, the drug core comprises 0.25 to 20 wt % of a binding agent represented by a vinyl polymer of 5,000 to 350,000 viscosity-average molecular weight. The vinyl polymers are selected from noncross-linked poly-n-vinylamide, poly-n-vinylacetamide, poly(vinylpyrrolidone), also known as poly-n-vinylpyrrolidone, poly-n-vinylcaprolactone, poly-n-vinyl-5-methyl-2-pyrrolidone, and poly-n-vinylpyrrolidone copolymers, with a member selected from the group consisting of vinyl acetate, vinyl alcohol, vinyl chloride, vinyl fluoride, vinyl butyrate, vinyl laurate and vinyl stearate. The binders include also cross-linked, insoluble polymeric N-vinyl-2-pyrrolidone possessing a molecular weight of 1,000,000 to 7,500,000. The crosslinked polymers are commonly referred to as crospovidone. Representative of other binders are acacia, starch and gelatin.

9 The therapeutic tacrine composition comprises 0.01 to 10 wt % of a lubricant 18. the lubricants comprise a member selected from the group consisting of stearic acid, magnesium stearate, magnesium oleate, magnesium palmitate, calcium oleate, oleic acid, sodium stearyl fumarate, potassium palmate and caprylic acid. The lubricant is used during manufacture to prevent sticking to manufacturing equipment, including die walls and punch faces.

10 The therapeutic composition comprises 0 to 20 wt % of a suspending agent 19, and in a present manufacture 0.25 to 20 wt % of suspending agent 19. The suspending

agents are represented by cellulose ethers. The cellulose ethers comprise a hydroxypropylalkylcellulose of 9,000 to 250,000 number-average molecular weight, selected from the group consisting of hydroxypropylmethylcellulose, hydroxypropylethylcellulose, hydroxypropylisopropylcellulose, hydroxypropylbutylcellulose, hydroxypropylpentylcellulose, and hydroxypropylhexylcellulose. The cellulose ether comprises hydroxyalkylcellulose of 7,500 to 150,000 viscosity-average number molecular weight, as represented by a member selected from the group consisting of hydroxymethylcellulose, hydroxyethylcellulose, hydroxypropylcellulose, hydroxybutylcellulose, hydroxypentylcellulose, and hydroxyhexylcellulose. The weight of all components in the tacrine composition is equal to 100 wt %.

11 In drawing FIG. 3, dosage form 10 is seen in opened section. Dosage form 10 comprises a body 11 and a semipermeable wall 12 that surrounds and defines an internal compartment 14. Internal compartment 14 communicates through exit port 13 with the exterior of dosage form 10. Tacrine 15 is present in a core-layer 20, and layer 20 comprises tacrine 15, osmotic means 16 for generating osmotic pressure in compartment 14, a binding agent 17, a lubricant 18, and a suspending agent 19. Compartment 14 comprises a displacement layer 21. Displacement layer 21 is a push layer that cooperates with tacrine-core layer 20 to successfully deliver tacrine 15 from dosage form 10. Displacement layer 21 comprises an osmopolymer, also known as an osmogel, which imbibes fluid, swells and expands, and thereby occupies space for pushing or displacing the tacrine composition through exit 13 from dosage form 10. The displacement layer 21 comprises 30 to 99 wt % of an osmopolymer. The osmopolymer 22 are represented by poly(alkylene oxide) comprising a 1,000,000 to 10,000,000 molecular weight, such as poly(ethylene oxide), poly(propylene oxide), poly(butylene oxide), poly(pentylene oxide), oxide); and an osmopolymer) and poly(hexylene oxide); and an osmopolymer 22 in displacement layer 21 comprising a carboxyalkylcellulose of 200,000 to 7,500,000 weight-average molecular weight. Representative carboxyalkylcellulose comprises a member selected from the group consisting of alkali carboxyalkylcellulose, sodium carboxymethylcellulose, potassium carboxymethylcellulose, sodium carboxyethylcellulose, lithium carboxymethylcellulose, potassium carboxyethylcellulose, sodium carboxyalkylhydroxyalkylcellulose, carboxymethylhydroxyethylcellulose, carboxyethylhydroxyethylcellulose and sodium carboxymethylhydroxypropylcellulose.

12 Displacement layer 21 comprises 0.5 to 40 wt % a fluid-imbibing compound 25 comprising a member selected from the group consisting of an inorganic salt, organic salt, acid, ester, carbohydrate, oxide, magnesium sulfate, magnesium chloride, sodium chloride, lithium chloride, potassium chloride, potassium sulfate, sodium sulfate, sodium sulfite, lithium sulfate, potassium lactate, mannitol, urea, magnesium succinate, tartaric acid, citric acid, lactic acid, raffinose, sorbitol, sucrose, fructose and glucose. The fluid-imbibing compounds are known as osmotically effective compounds, osmotic solutes and osmagents. These osmotic compounds imbibe an environmental aqueous or biological fluid, for example, gastrointestinal fluid, into dosage form 10 for contributing to the delivery kinetics of displacement layer 21. this fluid activity further enables layer 21 to expand and push the tacrine composition from the dosage form.

13 The displacement layer 21 comprises 0 to 3 wt %, and in a present operation 0.1 to 3 wt %, of a lubricant selected from the group consisting of sodium stearate, potassium stearate, magnesium stearate, stearic acid, calcium stearate, sodium oleate, calcium palmitate, sodium laurate, sodium ricinoleate, and potassium linoleate. Displacement layer 21 comprises 0 to 20 wt % of a hydroxypropylalkylcellulose and/or a hydroxyalkylcellulose. In many manufactures displacement layer 21 comprises 0.01 to 20 wt % of a hydroxypropylalkylcellulose of 9,000 to 375,000 number-average molecular weight, and/or a hydroxyalkylcellulose of 7,500 to 375,000 viscosity-average molecular weight. The hydroxypropylalkylcellulose, and the hydroxyalkylcellulose are manufacturing excipients, and they possess osmotic properties. The total weight of all ingredients is equal to 100 wt %.

14 Dosage form 10, as seen in drawing FIG. 4, illustrates another manufacture provided by this invention. Dosage form 10 comprises an external coat 27 on the exterior surface of dosage form 10. Coat 27 is an overcoat, and it is a

therapeutic composition that delivers a pulse dose from the exterior surface. Overcoat 21 comprises 1 to 125 mg of a member selected from tacrine 15 and its pharmaceutically acceptable salts. The overcoat comprises also pulse doses, represented by 15 mg of tacrine, 22.5 mg of tacrine, 30 mg of tacrine, or 40 mg of tacrine. The pulse dose is released instantly when contact by a biological fluid. The pulsed-release of tacrine is followed by an extended-release of tacrine by dosage form 10. Representative of pulsed-release, extended-release comprise 15 mg pulsed-released dose of tacrine followed by 85 mg extended-release dose of tacrine over 24 hours; 22.5 mg pulsed-release dose of tacrine followed by 127.5 mg extended-release dose of tacrine; and 30 mg pulsed-release dose of tacrine followed by 170 mg extended-release dose of tacrine.

15 Dosage form 10, as seen in FIG. 4, can comprise the tacrine in the overcoat and tacrine in the dosage form. Dosage form 10 can comprise also a different drug in the overcoat for the treatment of Alzheimer's disease. Representative of these drugs comprise a member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, relnacrine, alpha-tocopherol, aminopyridone, cytisine, 1-hydroxy-tacrine, 9-amino-3,4-dihydroaridine, estrogen, and donepezil.

16 The therapeutic composition 27, as seen in FIG. 4, comprises tacrine blended with a pharmaceutically acceptable carrier, which carrier is a tacrine-releasing carrier in aqueous, including biological fluids. The carrier comprises 1 to 125 mg of a member selected from the group consisting of alkyl cellulose, hydroxyalkylcellulose, hydroxypropylalkylcellulose, pectin, locus bean gum, gum tragacanth, guar gum, carrageenan, acacia, alginate, xanthan gum, and agar, which gums possess a 5,000 to 4,000,000 number-average molecular weight. Therapeutic composition 27, in another manufacture, comprises 0.25 to 17.5 mg of polyethylene glycol of 100 to 5,000 viscosity-number average molecular weight. The polyethylene glycol functions as a binder in the overcoat. The polyethylene glycol as used herein does not include polyethylene oxide. Therapeutic composition 27 optionally comprises 0.25 to 17.5 mg of acetylated triglyceride. Therapeutic composition 27 provides a dose amount of tacrine as composition 27 dissolves or undergoes dissolution in the gastrointestinal tract in the presence of gastrointestinal fluid of a tacrine receiving patient. Coat 27 provides pulsed tacrine instantly and up to 1 hour of tacrine on entrance of the dosage form into the gastrointestinal tract.

17 Drawing FIG. 5 illustrates dosage form 10 designed, shaped and adapted as a caplet for orally administering tacrine to a patient, for slowing the progression of Alzheimer's disease. In drawing FIG. 5, dosage caplet 10 comprises a body 11, a wall 12, a passageway 13, a lead end 28 and a trailing, or rear end 29. Caplet 10 can administer tacrine alone, or optionally with another anti-Alzheimer's medication. Caplet 10 can comprise tacrine, and, optionally, selegiline, vitamin E and donepezil. The therapy can be administered as a therapeutic pair, for example, tacrine and selegiline, tacrine and vitamin E, tacrine and estrogen, and tacrine and donepezil.

18 Drawing FIG. 6 illustrates dosage form 10 of drawing FIG. 5 in opened section. In drawing FIG. 6, dosage form 10 comprises a caplet shape adapted and sized for oral admittance into the gastrointestinal tract of a human. The dosage form caplet is illustrated for delivering the maximum dose of tacrine 15. The dosage form caplet 10 substantially delivers 100% of tacrine from dosage caplet 10. Dosage caplet 10 comprises a single unit body 11, comprising a lead end 28 and a rear end 29, which in one embodiment are round or oval shaped to increase delivery of tacrine 15 and for ease of oral administration. Dosage form 10 comprises a semipermeable wall 12 that surrounds an internal compartment 14. Semipermeable wall 12 is permeable to the passage of a fluid, an aqueous or biological fluid present in an environment of use, such as an animal, including a human. The semipermeable wall 12 is nontoxic, substantially inert, and it maintains its physical and chemical integrity during the tacrine-dispensing life of dosage caplet 10.

19 Compartment 14 comprises a tacrine composition present as a tacrine layer 9, comprising 100 ng to 500 mg of a member selected from the group consisting of

tacrine and its pharmaceutically acceptable salt. The tacrine layer 9 can comprise optionally 100 to 500 mg of a tacrine-supporting drug for treating neurological diseases, selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, reinacrine, alpha-tocopherol, aminopyridine, cytisine, estrogen, 1-hydroxy-tacrine, and 9-amino-3,4-dihydroacredine. In a manufacture wherein the dosage form comprises two anti-Alzheimer's drugs, the total dose in dosage form 10 is 200 ng to 500 mg of drug.

20 Compartment 14 comprises 20 to 350 mg of an osmopolymer 30, selected from the group consisting of alkali carboxyalkylcellulose, sodium carboxymethylcellulose, potassium carboxymethylcellulose and sodium carboxyethylcellulose of 25,000 to 175,000 molecular weight; a polyalkylene oxide of 75,000 to 750,000 molecular weight comprising a member selected from the group consisting of polyethylene oxide, polypropylene oxide, polyisopropyline oxide, polybutylene oxide, polypentylene oxide, and polyhexylene oxide, which polyalkylene oxides perform as osmogels, that is, hydrogels with osmotic properties thereby distinguished from water-soluble polyethylene glycols; 1 to 120 mg of an alcohol 31 of the formula (CH.sub.2 OH)(CHOH).sub.n (CH.sub.2 OH), wherein n is 2 to 5 as represented by sorbitol, mannitol and malititol, 0.01 mg to 30 mg of a binding agent 32 selected from the group consisting of poly(vinyl pyrrolidone), poly(vinyl carbazole), poly(vinyl pyridine), poly(vinyl oxazole), poly(vinyl methyloxozolidone), poly(vinyl formyl), copolymer of polyvinylpyrrolidone with vinyl acetate, copolymer of polyvinylpyrrolidone and vinyl alcohol, copolymer of polyvinylpyrrolidone with vinyl chloride, copolymer of polyvinylpyrrolidone with vinyl fluoride, copolymer of polyvinylpyrrolidone with vinyl butyrate, copolymer of polyvinylpyrrolidone with vinyl laurate, copolymer of polyvinylpyrrolidone with vinyl stearate, and poly(vinyl butyrol) of 5,000 to 350,000 viscosity-average molecular weight; and 0.025 mg to 5 mg of a lubricant selected from the group consisting of calcium stearate, magnesium stearate, sodium stearate, potassium stearate, stearic acid, potassium oleate, potassium laurate, and sodium linoleate. A colorant or dye can be present in compartment 14 for aiding in identifying tacrine 16 present in osmotic caplet 10.

21 Osmotic dosage caplet 10 comprises a displacement or expandable driving layer 33 that imbibes fluid and increases in volume thereby operating to push the tacrine composition through exit passageway 13 from dosage caplet 10. Displacement layer 33 comprises 20 to 375 mg of an osmotic fluid-imbibing hydrogel 34 selected from the group consisting of alkali carboxyalkylcellulose, carboxymethylcellulose, sodium carboxymethylcellulose, potassium carboxymethylcellulose, sodium carboxyethylcellulose, sodium carboxypropylcellulose, calcium carboxymethylcellulose, potassium carboxyisopropylcellulose, sodium carboxymethylethylcellulose, and sodium carboxymethylhydroxyethylcellulose having a 200,000 to 7,500,000 viscosity-average molecular weight; a polyalkylene oxide of 1,000,000 to 10,000,000 molecular weight selected from the group consisting of polyethylene of 1,000,000 molecular weight and a 2% concentration exhibiting a viscosity at 25.degree. C. of cps of 400-800; a polyethelene oxide of 2,000,000 molecular weight, exhibiting a viscosity at 25.degree. C. of 2,000 to 4,000 cps; a polyethylene oxide of 4,000,000 molecular weight with a 1% concentration is aqueous fluid exhibiting a viscosity at 25.degree. C. of 1,650 to 5,000 cps; a polyethylene oxide of 7,000,000 molecular weight and a polyethylene oxide of 7,500,000 molecular weight; 5 to 100 mg of an osmotic aqueous imbibing compound 35, selected from the group consisting of salt, monosaccharide, disaccharide, ester, acid, ether, amide, imide, and oxide; 0 to 30 mg of a hydroxyalkylcellulose 36, comprising a 7,500 to 150,000 viscosity-average molecular weight; 1 to 75 mg of a hydroxypropylalkylcellulose 37 comprising a 9,200 to 250,000 molecular weight; 0.01 to 3.0 mg of a lubricant 38; and 0 to 2 mg of a colorant; such as ferric oxide.

22 Dosage caplet 10, in another manufacture, comprises an inner coat 39. Coat 39 surrounds the tacrine composition layer 9 and the displacement layer 33. Coat 39 is positioned between the inside surface of wall 12, in contact with both inside wall 12, layer 9, and layer 33. Coat 39 comprises a coat-forming fluid permeable composition selected from the group consisting of 100 wt % gelatin having a

viscosity of 10 to 40 centipoise and a bloom value of 160 to 250; a coat comprising 60% to 99 wt % gelatin and 1 to 40 wt % of a polysaccharide selected from the group consisting of agar, acacia, karaya, tragacanth, algin and guar; and a coat comprising 40 to 80 wt % hydroxypropylcellulose and 20 to 50 wt % hydroxypropylalkylcellulose, represented by hydroxypropylmethylcellulose. The total weight of all components in coat 39 is equal to 100 wt %.

23 The phrases "controlled-release" and "extended-release" as used herein indicate that control is exercised over both the duration and the profile of the tacrine-release pattern. The expression "passageway" as used for the purpose of this invention includes aperture, orifice, bore, pore, porous element through which the tacrine can be pumped, diffuse, travel or migrate, a hollow fiber, capillary tube, porous overlay, porous insert, microporous member, and porous composition. The expression also includes a passageway formed by a compound that erodes or is leached from wall 12 in the fluid environment of use, to produce at least one passageway 13 in dosage form 10. Representative compounds suitable for forming at least one passageway, or a multiplicity of passageways, includes an erodible poly(glycolic) acid or poly(lactic) acid member in the wall; a gelatinous filament; a water-removable poly(vinyl alcohol); and leachable compounds such as fluid removable pore-forming polysaccharides, acids, salts, or oxides. A passageway or a plurality of passageways can be formed by leaching a compound such as sorbitol, sucrose, lactose, fructose or the like from the wall to provide a controlled-release dimensioned pore-passageway. The passageway can have any shape, such as round, triangular, square, elliptical, and the like, for assisting in the controlled-metered release of tacrine from dosage form 10. Dosage form 10 can be constructed with one or more passageways in spaced apart relation to one or more surfaces of a dosage form 10. Passageway 13 and equipment for forming passageways are disclosed in U.S. Pat. Nos. 3,845,770 and 3,916,899 by Theeuwes and Higuchi; in U.S. Pat. No. 4,063,064 by Saunders et al.; and in U.S. Pat. No. 4,088,864 by Theeuwes et al. Passageways comprising controlled releasing dimension, sized, shaped and adapted as a releasing-pore formed by aqueous leaching of a compound to provide a releasing-pore of controlled release-rate are disclosed in U.S. Pat. No. 4,200,098 by Ayer and Theeuwes; and in U.S. Pat. No. 4,285,987 by Ayer and Theeuwes.

24 Wall 12 is manufactured in one process by an air suspension process. This process consists in suspending and tumbling a compressed tacrine core comprising a single layer as seen in the above figures, or a bilayer core, as seen in the above figures, in a current of air and wall forming composition until a wall is applied to the dosage form compartment. The air suspension procedure is well suited for independently forming the wall. The air suspension procedure is described in U.S. Pat. No. 2,799,241; J Am Pharm Assoc., Vol. 48, pp. 451-454 (1959); and ibid., Vol. 49, pp. 82-84 (1960). Dosage form 10 can be coated also with a wall-forming composition in a Wurster.RTM. air suspension coater, using, for example, methylene dichloride-methanol cosolvent, 80:20, wt:wt; an ethanol-water; or acetone-water cosolvent, for example, 95:5 wt:wt using 2.5 to 4% solids. An Aeromatic.RTM. air suspension coater using a methylene dichloride-methanol cosolvent for example, 80:20 wt:wt, can be used for applying wall 12. Other wall forming techniques such as a pan-coating system, wherein wall forming compositions are deposited by successive spraying of the composition on the drug-core compartment, accompanied by tumbling in a rotating pan. Finally, the wall-coated compartments are dried in a forced air over at 30 to 50.degree. C. for up to a week to free dosage form 10 of solvent. Generally, the walls formed by these techniques have a thickness of 1 to 30 mils (0.0254 to 0.762 mm).

25 Dosage form 10 of the invention is manufactured by standard manufacturing techniques. For example, in one manufacture the tacrine and other core-forming ingredients comprising a single drug layer or bilayer tacrine-displacement core with the tacrine layer facing the exit means 13 are blended and pressed into a solid layer, or a solid bilayer. The tacrine and other ingredients can be dry-blended or blended with a solvent and mixed into a solid or semi-solid formed by convention methods such as ballmilling, calendaring, stirring, roll-milling or churning and then pressed into a preselected shape adopted for use in the gastrointestinal tract. The layer possesses dimensions that correspond to the internal dimensions of the area the layer is to occupy in the dosage form, and in

a bilayer dosage form it also possesses dimensions corresponding to the second layer for forming a contacting arrangement therewith. Next, in a bilayer core, the push layer is placed in contact with the tacrine layer. The push layer is manufactured using techniques for providing the tacrine layer. The layering of the tacrine layer and the push layer can be fabricated by convention press-layering techniques. Finally, a single tacrine layer or the two tacrine displacement layer compartment forming members are surrounded with an outer wall. A passageway is laser drilled through the wall. The dosage form is optically oriented automatically by the laser equipment for forming the passageway on the preselected surface for forming the passageway.

26 In another manufacture, dosage form 10 is manufactured by a wet granulation technique. Granulation is a process of size enlargement, whereby small particles are gathered into larger aggregates in which the original particles can still be identified as reported in Encyclopedia of Pharmaceutical Technology, Vol. 7, pp. 121-160 (1993). In a wet granulation technique, for example, tacrine and the ingredients comprising the tacrine-forming layer are blended using poly(vinylpyrrolidone) added to a solvent, such as ethyl alcohol-water 98:2 v:v (volume: volume), as the granulation fluid. Other granulating fluid, such as denatured alcohol 100%, can be used for this purpose. The ingredients forming the tacrine layer are individually passed through a mesh screen, usually 40 mesh, and then thoroughly blended in a mixer. Next, other ingredients comprising the tacrine layer are dissolved in a portion of the granulation fluid, such as the cosolvent described above. Then, the latter prepared wet blend is slowly added to the tacrine blend with continual mixing in the blender. The granulating fluid is added until a wet blend is produced, which wet mass then is forced through a 20-mesh screen onto oven trays. The blend is dried for 18 to 24 hours at 30 to 50.degree. C. The dry granules are then sized, then usually with a 20-mesh screen. Next, a lubricant is passed through a screen, such as an 80-mesh screen, and added to the dry screen granule blend. The granulation is placed in a blender and blended for 1 to 10 minutes. A push layer is made by the same wet granulation techniques. The compositions are compressed into their individual layers as a bilayer core in a layer press.

27 Another manufacturing process that can be used for providing the compartment-forming composition layers comprises blending the powdered ingredients for each layer independently in a fluid bed granulator. After the powders are dry blended in the granulator, a binder fluid, for example, poly(vinylpyrrolidone) in water, or in denatured alcohol, or in 95:5 ethyl alcohol/water, or blends of ethanol and water, is sprayed on the powders. Optionally, the ingredients can be dissolved or suspended in the granulating fluid. The granules are then dried in the fluid-bed granulator. This process granulates all the ingredients present therein while adding the granulating fluid. After the granules are dried and discharged from the fluid bed granulator, a lubricant such as stearic acid or magnesium stearate is added to the granulator. The granules for each separate layer are compressed into bilayer cores in the manner described above.

28 Dosage form 10 of the invention can be manufactured by mixing tacrine with composition-forming ingredients and pressing the composition into a layer possessing dimensions that correspond to the internal dimensions of the compartment of dosage form 10. In another manufacture, the tacrine and other tacrine composition-forming ingredients and a solvent are mixed into a solid, or a semisolid, by conventional methods, such as ballmilling, shaking, calendaring, tumbling, stirring or rollmilling, and then pressed into a preselected layer-forming shape. Next, a layer of a composition comprising an expandable hydrogel and an optional, fluid-imbibing compound are placed in contact with the tacrine layer. The layering of the first layer comprising tacrine and the second layer comprising the osmopolymer-hydrogel and an optional fluid imbibing compound can be accomplished by using a conventional layer press technique. The wall can be applied by molding, brushing, spraying or dipping the pressed bilayer's shapes with wall-forming materials. Another technique that can be used for applying the wall is the air-suspension coating procedure. This procedure consists in suspending and tumbling the two contacting layers in a current of air solution spray until the wall-forming composition surrounds the layers. The air suspension procedure is described in U.S. Pat. No. 2,799,241; J Am Pharm Assoc., Vol. 48, pp.

451-454 (1979); and ibid., Vol. 49, pp. 82-84 (1960). Other standard manufacturing procedures are described in Modern Plastics Encyclopedia, Vol. 46, pp. 62-70 (1969); and in Pharmaceutical Sciences, by Remington, 14th Ed, pp. 1626-1678 (1970), published by Mack Publishing Co, Easton, Pa.

29 The present invention manufactures a dosage form caplet 10 by surrounding a pressed solid caplet-shaped solid body 11 with a semipermeable wall 12, or first with inner coat 39 followed by a semipermeable wall 12. One method of manufacture comprises inserting a pressed body into a caplet channel machine leaving one end exposed, which is dipped into wall-forming bath to coat the exposed end, followed by dipping the other uncoated end into the bath to surround the end with a wall-forming composition. In one manufacture, the caplet is coated with a semipermeable wall and then permitted to dry with rotation for evenly spreading the wall-forming semipermeable wall around the body of the caplet. In another manufacture, a subcoat is applied to the body of the caplet. Next, after the caplet is permitted to dry it is followed by coating the body of the caplet in a semipermeable wall-forming bath. Inner coat 39, in this manufacture, serves as a lubricating coat to facilitate high drug loading of caplet 10 and to facilitate the uninhibited delivery of tacrine 16 from dosage form caplet 10. That is, by lubricating wall 12, it substantially eliminates internal resistance of tacrine delivery from caplet 10.

30 Another manufacture comprises filling a caplet die with the composition to be compressed into a shape corresponding to the die cavity, and then removing the compressed body from the cavity. The die cavity is lubricated prior to filling the cavity to prevent sticking and to make it easy to remove the compressed caplet-shaped body from the die cavity. The die cavity may be lubricated with a lubricant such as stearic acid, magnesium stearate, calcium stearate, sodium lauryl sulfate or potassium lauryl sulfate. Next, the caplet body is surrounded with a wall. A wall can be applied by using standard wall-coating equipment. Equipment that can be used for coating the compressed body include standard equipment such as the Accela-Cota.RTM. coater, High-Coater.RTM. coater or the Wurster.RTM. suspension coater. The coaters comprise a vaporizer to facilitate drying, and an exhaust system designed to remove solvent vapors and any possible dust. The coating can be effected by using spray guns and atomizing equipment to introduce a wall-forming solution into a coating pan, or to introduce a wall-forming solution into an air suspension column. Optionally, cold or warm air can be introduced into the spraying cycle to regulate the coating and/or drying of the coated caplet. The coating solution can be applied by using a peristaltic spray pump or a pneumatic displacement pump in continuous or interrupted spray and dry patterns. The coating composition is sprayed to a preselected desired thickness, usually 0.01 to 5 mm for each separate wall.

31 Another manufacture that can be used for coating a pressed caplet body previously pressed in a plate process, rotary die process, reciprocating die process, continuous rotary press, high pressure station rotary press, or high pressure station rotary press, in one manufacture comprises placing a caplet-forming film over a lower mold with the caplet-forming formulation poured onto the film. Then, a film of a wall-forming composition is placed over the caplet body, followed by the top mold. The mold is placed under a press and pressure applied with or without heat to form the caplet. The caplet can be made with a passageway. The passageway is formed integrally by the mold set equipped with a passageway-forming area that prevents coating in the passageway area.

32 Another manufacture of caplet 10, is manufactured by standard granulation techniques. For example, the caplet-forming ingredients are formulated by the wet granulation technique using an organic cosolvent, such as isopropyl alcohol-methylene dichloride, 80:20, v:v, (volume:volume) as the granulating fluid. The ingredients forming the caplet comprising tacrine and other caplet-forming ingredients are individually passed through a 40-mesh screen and then thoroughly blended in a blender. The screens used herein are U.S. Standard Sieves. Next, a polymer, for example, poly(vinylpyrrolidone), is dissolved in a portion of granulation fluid in the cosolvent described above. Then, the poly(vinylpyrrolidone) solution is slowly added to the dry powder blend with continual mixing in a blender. The granulation fluid is added until a wet blend is

produced, generally about 400 cc of granulating fluid per kilogram of blend. The wet mass blend then is forced through a 16 to 30 mesh screen onto trays and dried for 18 to 30 hours at 40 to 60.degree. C. The dried granules are sized with a 20-mesh screen. Next, a lubricant, such as magnesium stearate passed through an 80-mesh screen, is added to the dry screened granular blend and blended for 1 to 5 minutes.

33 In another process, other caplet-forming compositions are blended in a fluid bed granulator. After the powders are dry blended, a granulation fluid comprising an aqueous granulation fluid is sprayed onto the powders and dried in the granulator. This process granulates all of the ingredients together, while adding the granulation solution. After the granules are dried, a lubricant such as magnesium stearate is added to the granulation. The caplet-forming blend, in either of the above processes, is then pressed into a caplet using a tablet press. The speed of the press is set optionally at 30 rpm, and the maximum load set at 0.5 to 20 tons. Then, the caplet body is surrounded with a wall. The dosage form caplet, in another manufacture, is made by mixing tacrine with fluid-imbibing compound and/or a hydrogel, and pressed into a solid possessing dimensions that correspond to the internal dimensions of the caplet; or, tacrine and other caplet formulation forming ingredients and a solvent are mixed by conventional methods, such as ballmilling, calendaring, stirring or rollmilling, and then pressed into a preselected shape. Next, a layer of a composition comprising a fluid-imbibing compound and/or a hydrogel is placed in contact with a layer of tacrine formulation, and then the two contacting layers, except for a caplet mouth, are surrounded with a semipermeable wall. The wall can be applied by protecting the caplet orifice to keep it open and free from coating by a semipermeable wall-forming material. The wall can be applied by molding, spraying, or dipping the pressed shapes into wall-forming materials. Another and presently preferred technique that can be used for applying the wall is the air suspension coating procedure. This procedure consists in suspending and tumbling the pressed compositions in a current of air and a wall forming composition until the wall surrounds the two, pressed compositions. The air suspension procedure is described in U.S. Pat. No. 2,799,241; J Am Pharm Assoc., Vol. 48, pp. 451-59 (1979); and ibid., Vol. 49, pp. 82-84 (1960). Other standard manufacturing procedures are described in Modern Plastics Encyclopedia, Vol. 46, pp. 62-70 (1969); and in Pharmaceutical Sciences, by Remington 14th Ed, pp. 1626-1978 (1970), published by Mack Publishing Co., Easton, Pa.

34 Exemplary solvents suitable for the manufacturing process include inert inorganic and organic solvents that do not adversely harm the materials and the final dosage form. The solvents broadly include members selected from the group consisting of aqueous solvents, alcohols, ketones, esters, ethers, aliphatic hydrocarbons, halogenated solvents, cycloaliphatic hydrocarbons, halogenated solvents, cycloaliphatics, aromatics, heterocyclic solvents, and mixtures thereof. Typical solvents include acetone, diacetone, alcohol, methanol, ethanol, isopropyl alcohol, butyl alcohol, methyl acetate, ethyl acetate, isopropyl acetate, n-butyl acetate, methyl isobutyl ketone, methyl propyl ketone, n-hexane, n-heptane, ethylene glycol monoethyl ether, ethylene glycol monoethyl acetate, methylene dichloride, ethylene dichloride, propylene dichloride, carbon tetrachloride, chloroform, nitroethane, nitropropane, tetrachloroethane, ethyl ether, isopropyl ether, cyclohexane, cyclooctane, benzene, toluene, naphtha, tetrahydrofuran, diglyme, aqueous and nonaqueous mixtures thereof, such as acetone and water, acetone and methanol, acetone and ethyl alcohol, methylene dichloride and methanol, and ethylene dichloride and methanol.

35 DETAILED DISCLOSURE OF EXAMPLES OF THE INVENTION

36 The following examples are merely illustrative of the present invention, and they should not be considered as limiting the scope of the invention in any way, as these examples and other equivalents thereof will become apparent to those versed in the art in the light of the present disclosure, the drawings, and the accompanying claims.

37 Example 1

38 A dosage form is manufactured for orally dispensing tacrine to the gastrointestinal tract of a human patient. The dosage form for dispensing tacrine to the gastrointestinal tract is unexpected, as tacrine has a low osmotic pressure that is substantially equivalent to the normal osmotic pressure of 8 plus atmospheres of the gastrointestinal tract. The osmotic pressure of the gastrointestinal tract is unpredictable and variable, attributed to diet, health and peristaltic mobility. Thus, a dosage form provided by this invention must develop an internal osmotic pressure greater than the osmotic pressure of the gastrointestinal tract, which, for this invention, is at least 10 atmospheres or higher in the dosage form, to provide a controlled rate of delivery of tacrine over a prolonged time. This invention effects an internal osmotic pressure of 10 atmospheres or more by blending tacrine, for example tacrine hydrochloride, with a fluid-imbibing, osmotically effective compound possessing an osmotic pressure gradient across a semipermeable wall of 10 atmospheres or more, for example, mannitol, to provide a combined tacrine hydrochloride fluid imbibing composition of 20 atmospheres. The mutual solubilities of tacrine hydrochloride and fluid imbibing mannitol, which has an osmotic pressure of 40 atmospheres, exhibited an unsuspected osmotic pressure of 58 atmospheres. The mutual solubilities of tacrine-fluid imbibing osmotic pressure generating compound in water at 37.degree. C. at saturation, are in one embodiment in a ratio of 1:1 by weight, or a molar ratio of 0.72:1. The invention prepares an osmotic core formulation by combining tacrine, presently tacrine hydrochloride monohydrate, with the osmotic pressure generating compound mannitol in a 1:1 ratio by weight to produce a homogenous blend. The blend is converted into a wet granulation by wetting the blend with a binding composition comprising poly(vinylpyrrolidone) and ethanol. The fresh mass is passed through a 20-mesh screen and oven dried at 50.degree. C. overnight. Next, the dry granules are passed through a 20-mesh screen and a lubricant, magnesium stearate, is added to the dry granules and blended for an additional 5 minutes. The composition is compressed into single layer tacrine cores to provide the two separate core formulations: (1) a core comprising 86.15 mg of tacrine hydrochloride, 86.15 mg of mannitol, 7.25 mg of poly(vinylpyrrolidone) and 1.81 mg of magnesium stearate; and (2) a core comprising 65.24 mg of tacrine hydrochloride monohydrate, 65.24 mg of mannitol, 3.47 mg of poly(vinylpyrrolidone), 0.69 mg of hydroxypropylmethylcellulose and 4.16 mg of magnesium stearate.

39 Next, a semipermeable wall is coated around the individual, separate cores. The semipermeable wall forming composition comprises 80 wt % cellulose acetate having a 39.8% acetyl content and 20 wt % poly(vinylpyrrolidone). The cores are coated in a 305 mm pan. The final semipermeable wall coated cores are dried for 18 hours at 45.degree. C. in a light current of air. An exit passageway is drilled through the semipermeable wall connecting the tacrine with the exterior of each dosage form. The exit port has a diameter of 30 mils (0.76 mm) and each dosage form dispenses tacrine for 24 hours.

40 Example 2

41 The dosage form of Example 1 is manufactured with a dose of tacrine coated on the exterior surface of the semipermeable wall. The dose of tacrine on the exterior wall comprises a pulsed dose of 15 mg of tacrine.

42 Example 3

43 A dosage form adapted, designed and shaped as an osmotic tacrine dosage form is manufactured as follows: first, 3,290 g of tacrine hydrochloride and 3,290 g of mannitol are added to a Freund Flow-Coater bowl, a fluid bed granulator. The bowl is attached and the granulation process is initiated. Next, the dry materials are air suspended and mixed for 7 to 8 minutes. Then, a solution prepared by dissolving 175 g of poly(vinylpyrrolidone) having a molecular weight of 40,000 in 260 g of distilled water is sprayed onto the materials. The blending conditions are monitored during the process of spraying the aqueous poly(vinylpyrrolidone) at a solution spray rate of 125 g/min with an inlet temperature of 45.degree. C. and an air flow of 1,000 cfm. Next, the granules are blended with 35 g of hydroxypropylmethylcellulose and 210 mg of magnesium stearate and the granulation transferred to a Rotocone mixer and mixed to provide homogenous granules.

44 Next, a hydrogel expansion composition is prepared as follows: first, 950 g of pharmaceutically acceptable poly(ethylene oxide) comprising a 5,000,000 molecular weight, 35 g of microcrystalline cellulose, 25 g sodium chloride, 5.15 g hydroxypropylcellulose of 50,000 molecular weight, 5.15 g of hydroxypropylmethylcellulose of 11,200 molecular weight, and 1.44 g of ferric oxide are blended with all the ingredients and separately screened through a 40-mesh screen. Then, all the materials are transferred to a mixer and mixed for 5 minutes. Then, 400 ml of denatured ethyl alcohol is added to the mixed powders in the mixer and the mixing continued for 3 minutes. The homogenous mixed mass is passed through a 16-mesh screen and allowed to dry at room temperature for 16 hours and then rescreened through a 20-mesh screen. The screened granulation is mixed with 2.5 g magnesium stearate in a roller mill for 6 minutes.

45 Next, the tacrine composition and the hydrogel composition are compressed into a bilayer core. First, 420 mg of the tacrine composition is added as a first layer to a punch and tamped, then 215 mg of the hydrogel composition is added as a second layer to the punch. The layers are compressed under a compression force of two tons into contacting, layered arrangement.

46 Then, the bilayered cores are surrounded with a semipermeable wall. The wall-forming composition comprises 60 wt % cellulose acetate having a 39.8% acetyl content, 25 wt % hydroxypropylcellulose having a 18,500 molecular weight, and 15 wt % polyethylene glycol 3,350. The wall-forming composition is dissolved in an acetone: methanol (80:20 wt:wt) cosolvent to make 4.5% solids solution. The wall-forming composition is sprayed onto and around the bilayer cores in a 24 inch (60 cm) Vector.RTM. Hi-Coater.

47 Next, two 25-mil (0.635-mm) exit passageways are drilled through the semipermeable wall to connect the tacrine layer with the exterior of the dosage form. The residual solvent is removed by drying for 48 hours at 50.degree. C. and 50% humidity. Next, the dosage forms are dried a minimum of 4 hours at 50.degree. C. to remove excess moisture. The dosage form, on oral admittance into the gastrointestinal tract, provides tacrine to an Alzheimer patient.

48 The dosage form provided by this invention is unexpected, as tacrine experimentally exhibits a low osmotic pressure. The solubility of tacrine at 37.degree. C. in water is 212 mg/ml with an osmotic pressure of less than 10 atmospheres, the solubility of tacrine in artificial gastric fluid is 168 mg/ml with an osmotic pressure of 19 atmospheres and the solubility of tacrine in artificial intestinal fluid is 205 mg/ml with an osmotic pressure of 18 atmospheres. This data leads-away from providing a dosage form comprising tacrine because of the much higher osmotic pressure of the environment of the gastrointestinal tract, combined with the therapeutic dose required, and the unknown and variable osmotic pressure of the gastrointestinal tract, which often result from fluid fluctuation, digestion and absorption in the gastrointestinal tract. This invention enhances tacrine osmotic pressure by augmenting tacrine's osmotic pressure by 20 atmospheres to effect the delivery at a controlled rate of tacrine from the dosage form.

49 Example 4

50 The dosage form according to Example 3 wherein a therapeutic composition comprising 1 to 125 mg of tacrine is overcoated as a pulsed dose on the exterior surface of the semipermeable wall.

51 Example 5

52 An osmotic dosage form possessing a length greater than its cross-section is manufactured as a caplet for delivering substantially 100% of its tacrine. The osmotic caplet comprises an internal coat to improve the structure and the performance of the osmotic caplet and to provide tacrine in a controlled-programmable rate. An osmotic caplet is manufactured by blending 9.0 g of tacrine hydrochloride monohydrate, 12.9 g sodium carboxymethylcellulose of 90,000 molecular weight, and 6.60 g of sorbitol in a roll mill for 15 minutes. Next, 1.20 g of poly(vinylpyrrolidone) of 35,000 molecular weight dissolved in 10

ml of ethyl alcohol is added to the blend and granulation continued for 5 to 8 minutes. The wet granulation is screened through a 20-mesh screen and dried over night for 18 hours at 25.degree. C. Then, 0.30 g of magnesium stearate is added to the dry granules and blended for an additional 5 minutes to yield a tacrine composition.

53 Next, a displacement composition is prepared by blending 4,112.5 g of sodium carboxymethylcellulose of 700,000 molecular weight, 2,100.0 g of sodium chloride, and 350.0 g of hydroxypropylcellulose of 60,000 molecular weight in a fluid bed granulator, and all the ingredients blended for 5 to 10 minutes. Then, a granulation fluid comprising 350.0 g of hydroxypropylmethylcellulose of 11,200 molecular weight as a 5% aqueous solution is added to the fluid bed. The granulation fluid is added slowly by spraying it onto the fluidizing bed. Fluidization is continued for an additional 15 minutes. Next, the granules are passed through a 16-mesh screen.

54 Next, a number of solid caplets are prepared by pressing tacrine compositions comprising 108.00 mg of tacrine hydrochloride monohydrate, 154.80 mg of sodium carboxymethylcellulose, 79.20 mg of sorbitol, 14.40 mg of poly(vinylpyrrolidone) and 3.60 mg of magnesium stearate, against the displacement composition comprising 84.60 mg of sodium carboxymethylcellulose, 43.20 mg of sodium chloride, 7.20 mg of hydroxypropylcellulose, 7.20 mg of hydroxypropylmethylcellulose, and 0.36 mg of magnesium stearate compositions. Then, the tacrine composition and the displacement composition are added separately to the cavity of a caplet mold and the two compositions compressed into a two-layer core that is coated first with a subcoat composition comprising 70:30 hydroxypropylcellulose having a 80,000 molecular weight and hydroxypropylcellulose having a 9,600 molecular weight applied as an 8% solid aqueous solution. The coat is applied using a 12-inch (30-cm) pan coater. Next, a semipermeable membrane comprising 88:12 (wt:wt) mixture of cellulose acetate comprising a 39.8% acetyl content and polyethylene glycol of 4,000 molecular weight dissolved in 80/20 acetone methanol as 4% solid solution is coated as a semipermeable exterior wall over the interior subcoat. The semipermeable wall applied is 40.3 mg. Next, a 40 mil (1.01 mm) orifice is drilled through the tacrine end of the semipermeable wall and the internal subcoat for delivering tacrine from the caplet. The caplet prepared by this example comprises in the tacrine layer, that is a tacrine composition comprising 108.00 mg tacrine hydrochloride monohydrate, 154.80 mg of sodium carboxymethylcellulose of 90,000 molecular weight, 79.20 mg of sorbitol, 14.40 mg of poly(vinylpyrrolidone) and 3.60 mg of magnesium stearate; the displacement composition, an osmotic layer, comprises 84.60 mg of sodium carboxymethylcellulose of 700,000 molecular weight 43.20 mg of sodium chloride, 7.20 mg of hydroxypropylcellulose, 7.20 mg of hydroxypropylmethylcellulose and 0.36 mg of magnesium stearate; the subcoat comprises 8.26 mg of hydroxypropylcellulose and 3.54 mg of hydroxypropylmethylcellulose; and the semipermeable wall comprises 32.24 mg of cellulose acetate with a 39.8% acetyl content and 8.06 mg of polyethylene glycol having a 4000 molecular weight. The dosage caplet has a mean release rate of 10.37 mg/hr over 24 hours.

55 Example 6

56 The procedure of Example 5 is followed in this example, with the manufacturing conditions as described, except that in this example the tacrine composition comprises poly(ethylene oxide) having a 200,000 molecular weight as a replacement for the sodium carboxymethylcellulose, and the displacement layer comprises poly(ethylene oxide) having a 5,000,000 molecular weight that replaces the sodium carboxymethylcellulose.

57 Example 7

58 The procedure of Example 5 is followed in this example, with the manufacturing conditions as described, except that in this example, the tacrine composition comprises a poly(ethylene oxide) having a 100,000 molecular weight as a replacement for the sodium carboxymethylcellulose, the displacement layer comprises poly(ethylene oxide) having a 2,000,000 molecular weight that replaces the sodium carboxymethylcellulose, and an immediate or pulsed release dose of

tacrine hydrochloride is coated onto the semipermeable wall, comprising 15 to 30 mg of tacrine.

59 Example 8

60 The procedure of Example 7 is followed to provide a dosage form comprising a pulsed-release dose of 15 to 30 mg of tacrine and an extended release dose of 85 to 150 mg of tacrine and 2 to 20 mg of selegiline.

61 Example 9

62 The procedure of Example 4 is followed in this example, with the manufacturing steps as set forth, except that in this example the tacrine composition comprises poly(ethylene oxide) of 300,000 molecular weight and the displacement composition comprises poly(ethylene oxide) of 7,800,000 molecular weight.

63 Example 10

64 A dosage form adapted, designed and shaped as an osmotic drug delivery device for oral administration to a patient having a neurological disease, is manufactured as follows: first, a drug granulation is made, by preparing a binder solution. The binder solution is prepared by dissolving 4,800 g of hydroxypropylmethylcellulose possessing a molecular weight of 11,200 and 1,600 g of poly(vinylpyrrolidone) having an average molecular weight of 40,000 in 73,600 g of water.

65 Next, 47,600 g of tacrine hydrochloride and 79,800 g of mannitol are sized using a 20 mesh screen. Then, the screened materials are added to a granulator bowl. The bowl is attached to the granulator and the granulation process initiated. Next, the dry powders are air suspended and mixed for 3 minutes. Then, the binder solution is sprayed onto powder to produce granules of tacrine and mannitol granulated with the binder ingredients. The processing conditions are as follows: a total solution spray rate of 900 g/minute, an inlet temperature of 65.degree. C., and a process air flow of 1000 to 2500 m.sup.3 /hr.

66 After the 69,800 g of solution is sprayed, the granules are further processed by drying for 35 minutes. Next, the granules are removed from the granulator, and sized through an 8 mesh screen. Then, the granulation is transferred to a tumbler, and mixed with 4,200 g of crospovidone, cross-linked poly(vinylpyrrolidone), for 15 minutes. Next, 1,400 g of magnesium stearate is added to the tumbler and mixing continued for 2 minutes.

67 Next, the tacrine composition is compressed into tablets in a tablet press. First, 638 mg of the tacrine composition is added to the die cavity, then the composition is pressed under a pressure of approximately 1,000 pounds using a 0.436 inch (1.11 cm) standard round concave tool. The concentration of tacrine in the tablet is 170 mg.

68 The tablets then are coated with a semipermeable wall. The wall-forming composition comprises 1,898 g of cellulose acetate having a 32.0% acetyl content, and 100 g of polyethylene glycol having a molecular weight of 3350. The wall-forming composition is dissolved in acetone:water (88:12 wt:wt) cosolvent to provide a 4% solids solution. The wall-forming composition is sprayed onto and around the tablets in a coater.

69 Then, one 9 mil (0.227 mm) exit passageway is drilled through the semipermeable wall to connect the tacrine composition with the exterior of the dosage form. The residual coating solvent is removed by drying for at least 48 hours at 45.degree. C. and 45% relative humidity. Next, dosage forms are dried for an additional 4 hours at 45.degree. C. to remove excess moisture.

70 The dosage forms are divided into two groups: one group administrable as manufactured, and one group is provided with an overcoat of tacrine. The group provided with a pulsed-released tacrine overcoat consists of 1,600 g of tacrine hydrochloride, 360 g of hydroxypropylmethylcellulose possessing an average molecular weight of 11,200 and 400 g of polyethylene glycol of 3350 molecular

weight. The pulse-releasable tacrine overcoat composition is dissolved in 8,000 g of water, heated to 37.degree. C. to make 20% solids solution. The pulsed-releasable tacrine overcoat is applied by spray-coating in a coater. The dose of pulsed-releasable tacrine on each dosage form is 30 mg, and it is pulsed-released in from instantly to 30 minutes.

71 The dosage forms are coated with a color, tastemask outermost overcoat consisting of 975 g of white colorant dispersed in 6,525 g of water. The colorant is applied in a standard coater.

72 The dosage forms produced by this manufacture have the following composition: the tacrine drug composition comprises 34.0% tacrine hydrochloride, 57.0% mannitol, 3.0% hydroxypropylmethylcellulose possessing a 11,200 average molecular weight, 1.0% noncross-linked poly(vinylpyrrolidone) possessing a 40,000 molecular weight, 3.0% cross-linked poly(vinylpyrrolidone) of 1,000,000 molecular weight, and 1% magnesium stearate. The semipermeable wall comprises 95.0% cellulose acetate having a 32.0% acetyl content and 5% polyethylene glycol having a 3350 molecular weight. The dosage forms manufactured with the pulsed-released tacrine overcoat composition comprise 80.0% tacrine hydrochloride, 18.0% hydroxypropylmethylcellulose of 11,200 average molecular weight and 20% polyethylene glycol of 3,350 molecular weight.

73 The dosage form is a pulsed-released, extended-released dosage form. The pulsed-released 30 mg dose is administered instantly to thirty minutes and the extended-released dose administered as follows: from 0 to 2 hours the dosage form released 20 to 50 mg of tacrine; from 0 to 8 hours the dosage form released 60 to 120 mg of tacrine; from 0 to 14 hours the dosage form released 110 to 170 mg of tacrine; and from 0 to 24 hours the dosage form release is equal to or greater than 170 to 200 mg of tacrine.

74 Example 11

75 The procedure of Example 10 is followed for manufacturing a dosage form comprising a pulsed-released dose of tacrine of 22.5 mg and an extended-release dose of 127.5 mg of tacrine.

76 Example 12

77 The procedure of Example 10 is followed for manufacturing a dosage form comprising a pulsed-released dose of 10 mg of selegiline and an extended-release dose of 85 mg of tacrine.

78 Example 13

79 The procedure of Example 10 is followed for manufacturing a dosage form comprising a pulsed-released dose of 5 mg of donepezil hydrochloride and an extended-release dose of 40 mg of tacrine hydrochloride.

80 Example 14

81 The procedure of Example 10 is followed for manufacturing a dosage form comprising 15 to 30 mg of a pulsed-released dose of tacrine and 85 to 170 mg of tacrine and 1,500 to 2,500 units of vitamin E extended-release dose.

82 Example 15

83 A tacrine extended-release dosage form is manufactured according to the above examples wherein the dose-kinetics of administration for a 200 mg dose of tacrine is as follows: 0 to 2 hours 10 to 25% delivered; 0 to 8 hours 30 to 60% delivered; 0 to 14 hours 55 to 85% delivered; and 0 to 24 hours 85 to 100% delivered to a patient orally in need of tacrine therapy.

84 Example 16

85 A drug delivery system is prepared according to the procedure of Example 9. The

delivery systems are manufactured in this example with a cosmetic colorant overcoat formed from 975 g of Opadry No. YS-1-12660 dispersed in 6,525 g of water, and commercially available from Colorcon Inc, West Point, Pa. The color composition is sprayed onto and around the delivery systems in a coater. The overcoat of color also provides an improved surface for receiving an immediate release dose of tacrine to adhere to.

86 Next, the systems are coated with an immediate release tacrine coat that consists of 1,600 g of tacrine pharmaceutically acceptable salt, 300 g of hydroxypropylmethylcellulose possessing an 11,200 average molecular weight, and 40 g of polyethylene glycol having a 3,350 molecular weight. The immediate release tacrine outermost-overcoat composition is dissolved in 8,000 g of water heated to 37.degree. C. to provide a 20% solids solution. The tacrine composition is applied by spraying in a standard coater. The dose of tacrine on an immediate release delivery system is 22.5 mg.

87 Finally, the dosage systems are coated with a second colored coat comprising the colorant, as identified above. The colorant is formed from a composition comprising 975 g of colorant in 6,525 g of water. The colorant is applied by spraying in a standard coater.

88 The dosage form produced by this manufacture comprises the following: the tacrine drug composition comprises 34% tacrine hydrochloride, the pharmaceutically acceptable salt, 57% mannitol, 3% hydroxypropylmethylcellulose possessing an 11,200 average molecular weight, 1.0% of poly(vinylpyrrolidone) of 40,000 average molecular weight, 3.0% g of crosslinked poly(vinylpyrrolidone) of 1,000,000 molecular weight, and 1% magnesium stearate. The wall comprises a semipermeable composition 95% cellulose acetate having a 32% acetyl content, and 5% polyethylene glycol of 3,350 molecular weight. The first color overcoat in contact with the exterior surface of the semipermeable wall comprises 100% colorant. The immediate release tacrine overcoat composition comprises 80% tacrine hydrochloride, 18% hydroxypropylmethylcellulose of 11,200 average molecular weight, and 2% polyethylene glycol of 3,350 molecular weight. The second color overcoat in contrast with the exterior, or outer surface of the immediate release tacrine dose comprises 100% colorant. The dosage form comprises a 9 mil (0.227 mm) passageway.

89 The dose release pattern from the dosage form is as follows: 15 to 38 mg in 0 to 2 hours, 45 to 90 mg in 0 to 8 hours, 82 to 128 mg in 0 to 14 hours, and 128 to 150 mg in 0 to 24 hours. The dose release rate expressed in percent is as follows: 10 to 25% in 0 to 2 hours, 30 to 60% in 0 to 8 hours, 55 to 85% in 0 to 14 hours, and 85 to 100% in 0 to 24 hours.

90 Example 17

91 The dosage form according to Example 9, wherein the tacrine in the dosage form is present with an additional or second adjunct drug for ameliorating neurological disease exhibiting a slowing of nerve impulse transmissions symptoms.

92 Example 18

93 The dosage form according to claim 17 wherein the adjunct drug is selected from the group consisting of monoaminopyridine, cytisine, diaminopyridine, physostigmine, ozacylic, aniracetam, bifemelane, phosphatidylserine, pramiracetam, fampridine, linopirdine, selegiline, estrogen, nimoldipine, propentofylline and relnacrine. The dose of adjunct anti-Alzheimer's drug in the dosage form is 100 ng to 500 mg, with a total dose of anti-Alzheimer's drug for two or more drugs equal to 200 ng to 500 mg.

94 METHOD OF USING THE INVENTION

95 This invention pertains further to the use of the dosage forms and the therapeutic compositions for the treatment of neurological diseases including Alzheimer's disease. The use of the invention comprises a method of orally administering to a patient having a neurological disease such as Alzheimer's disease an extended-release dosage form comprising 1 to 500 mg of tacrine administered at a

dose rate 10 to 25% in 0 to 2 hours, 25 to 60% in 0 to 8 hours, 55 to 85% in 0 to 14 hours and 85 to 100% in 0 to 24 hours for the management of the neurological disease.

96 The invention pertains further to the use of a dosage form for delivering tacrine orally to the gastrointestinal tract of a patient in need of tacrine therapy, wherein the use comprises: (1) admitting an osmotic caplet orally into the patient, which caplet comprises: (a) 100 ng to 1,500 mg of tacrine composition; (b) a displacement composition for imbibing fluid to increase in volume and push the tacrine composition from the caplet; (c) a semipermeable wall that surrounds the tacrine and displacement compositions; (d) a passageway in the caplet for delivering the tacrine to the patient; (2) imbibing fluid through the semipermeable wall into the caplet; thereby; (3) delivering the tacrine to the patient to provide the needed therapy over an extended release to 24 hours. The use includes also the caplet wherein a subcoat comprising a hydrophobic composition surrounds the tacrine composition and the displacement composition. The use includes further the caplet wherein an overcoat comprising an immediate-release composition surrounds the semipermeable wall.

97 The invention pertains further to the use of a dosage form comprising a tacrine composition, wherein the dosage form comprises: (1) a tacrine composition comprising 10 ng to 1,200 mg of tacrine; (2) a wall comprising a semipermeable composition that surrounds the tacrine composition; (3) an exit in the dosage form for delivering the tacrine to a patient; (4) imbibing an exterior fluid into the dosage form to occupy space in the dosage form; and thereby deliver the tacrine orally to the patient at an extended release rate of 0.40 ng/hr to 50 mg/hr for an extended time up to 24 hours to provide the intended tacrine therapy.

98 In summary, it will be appreciated the present invention contributes to the tacrine dispensing art by providing an unexpected and unique dosage form that possesses a practical utility, and can administer tacrine at a metered extended-release rate up to 24 hours for preselected tacrine therapy. While the invention has been described and pointed out in detail with reference to operative embodiments thereof, it will be understood by those skilled in the art that various changes, modifications, substitutions and omissions can be made without departing from the spirit of the invention. It is intended therefore, that the invention embraces those equivalents within the scope of the claims which follow.

CLAIMS:

What is claimed is:

1. A method for treating Alzheimer's disease, wherein the method comprises administering orally to a patient having Alzheimer's disease a pulsed-release dose of tacrine for treating the disease and an extended-release dose of tacrine administered with a therapeutic member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, alpha-tocopherol, estrogen, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil, for treating the Alzheimer's disease.

2. A method for treating Alzheimer's disease wherein the method comprises administering orally to a patient having Alzheimer's disease a pulsed-release dose of tacrine for treating the disease administered with a therapeutic member selected from the group consisting of selegiline, alpha-tocopherol, 1-hydroxy-tacrine, and donepezil, and an extended-release dosage of tacrine, for treating the Alzheimer's disease, wherein the tacrine and said therapeutic member are present in combination in a dosage form.

3. A method for treating Alzheimer's disease wherein the method comprises administering orally to a patient having Alzheimer's disease a dose of tacrine administered with a dose of a member selected from the group consisting of selegiline, alpha-tocopherol, 1-hydroxy-tacrine, and donepezil for treating the disease, and an extended release dose of a therapeutic member selected from the group consisting of aniracetam, tacrine, bifemelane, phosphatidylserine,

pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, alpha-tocopherol, estrogen, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil, for treating the Alzheimer's disease.

4. A method for treating a neurological disease wherein the method comprises administering orally to a patient having a neurological disease a dose of tacrine for treating the disease administered at a dose corresponding to the following therapeutic program: from 20 to 50 mg of tacrine in 0 to 2 hours, from 60 to 120 mg in 0 to 8 hours, from 110 to 170 mg in 0 to 14 hours, and from 170 to 200 mg in 0 to 24 hours, accompanied by a therapeutic member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, estrogen, propentofylline, alpha-tocopherol, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil, for treating the neurological disease.

5. A method for treating a neurological disease wherein the method comprises administering orally to a patient having a neurological disease a pulsed-release dose of tacrine for treating the disease accompanied by the administration of a therapeutically effective dose of a member selected from the group consisting of selegiline, alpha-tocopherol, 1-hydroxy-tacrine, and donepazil, and an extended-release dose of tacrine administered according to the following therapeutic pattern: from 0 to 2 hours the administered dose is 10 to 25%, from 0 to 8 hours the administered dose is 30 to 60%, from 0 to 14 hours the administered dose is 55 to 85%, and from 0 to 24 hours the administered dose is greater than 85% of the tacrine, accompanied by a dose of a member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, estrogen, propentofylline, alpha-tocopherol, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil, for the treatment of the neurological disease.

6. A dosage form comprising a therapeutically effective dose of a member selected from the group consisting of tacrine and a pharmaceutically acceptable salt that is administered in from instantly to thirty minutes accompanied by a therapeutically effective dose of a member selected from the group consisting of selegiline, alpha-tocopherol, 1-hydroxy-tacrine, and donepezil for treating a neurological disease, and an extended-release therapeutically effective dose of a member selected from the group consisting of tacrine and a pharmaceutically acceptable salt that is administered from thirty minutes to 24 hours accompanied by a therapeutically effective dose of a member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, alpha-tocopherol, estrogen, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil for treating the neurological disease.

7. A caplet for delivering a therapeutically effective composition orally to an Alzheimer's patient, wherein the caplet comprises:

(a) a therapeutic composition comprising 100 ng to 500 mg of tacrine and a therapeutic member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, alpha-tocopherol, estrogen, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil for treating the Alzheimer's patient;

(b) an expandable composition that imbides fluid and increases in volume for displacing the therapeutic composition from the caplet;

(c) a wall comprising a composition permeable to fluid and impermeable to the therapeutic composition that surrounds the therapeutic composition;

(d) an overcoat on the surface of the caplet comprising a therapeutically effective dose of a member selected from the group consisting of selegiline, tacrine alpha-tocopherol, 1-hydroxy-tacrine, and donepezil for treating the Alzheimer's patient; and,

(e) a passageway in the wall for delivering the therapeutic composition from the caplet to the patient.

8. A dosage form for delivering a therapeutically effective composition orally to the gastrointestinal environment of an Alzheimer's patient, wherein the dosage form comprises:

(a) a therapeutic composition comprising 100 ng to 500 mg of a member selected from the group consisting of tacrine and tacrine pharmaceutically acceptable salt, and a therapeutically effective dose of a therapeutic member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, propentofylline, alpha-tocopherol, estrogen, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil for treating Alzheimer's disease,

(b) a wall comprising a semipermeable composition permeable to gastrointestinal fluid and impermeable to the therapeutic composition that surrounds the therapeutic composition;

(c) an overcoat on the wall comprising a therapeutically effective dose of a member selected from the group consisting of selegiline, tacrine, alpha-tocopherol, 1-hydroxy-tacrine, and donepezil;

(d) an exit in the dosage form wall for delivering therapeutic composition to the patient; and,

(e) wherein when the dosage form is administered to an Alzheimer's patient, the dosage form delivers the therapeutic composition over a 24 hour period at a therapeutic rate to slow the progression of Alzheimer's disease in the patient.

9. An osmotic dosage form for delivering tacrine to an Alzheimer's patient's gastrointestinal tract, wherein the dosage form comprises:

(a) a tacrine composition comprising 108 mg of tacrine hydrochloride, 154.80 mg of sodium carboxymethylcellulose, 79.20 mg of sorbitol, 14.40 mg of poly(vinylpyrrolidone), and 3.60 mg of magnesium stearate;

(b) a displacement composition comprising 84.60 mg of sodium carboxymethylcellulose, 43.20 mg of sodium chloride, 7.20 mg of hydroxypropylcellulose, 7.20 mg of hydroxypropylmethylcellulose, and 0.36 mg of magnesium stearate, that develops an osmotic pressure greater than the gastrointestinal tract;

(c) a wall that surrounds the tacrine composition and the displacement composition, said wall comprising an 88:12 (wt:wt) mixture of cellulose acetate and polyethylene glycol; and,

(d) an exit passageway in the wall that connects the tacrine composition with the exterior of the dosage form for delivering tacrine over 24 hours.

10. A dosage form for delivering tacrine to an Alzheimer's patient, wherein the dosage form comprises:

(a) a tacrine composition comprising 34% tacrine, 57% mannitol, 3% hydroxypropylmethylcellulose, 1% noncrossed-linked poly(vinylpyrrolidone), 3% crosslinked poly(vinylpyrrolidone), and 1% magnesium stearate,

(b) a wall comprising 95% cellulose acetate and 5% polyethylene glycol that surrounds the tacrine composition; and,

(c) an exit through the wall for delivering tacrine from the dosage form.

11. A dosage form for delivering tacrine according to claim 10, wherein an overcoat is coated onto the wall, which overcoat comprises 80% tacrine, 18% hydroxypropylmethylcellulose and 2% polyethylene glycol.

12. A dosage form for delivering tacrine according to claim 10, wherein the tacrine is a member selected from the group consisting of tacrine base, tacrine salt, tacrine hydrochloride, tacrine hydrobromide, tacrine sulfate, tacrine phosphate, tacrine lactate, tacrine citrate, tacrine tartrate, tacrine malate, tacrine maleate, tacrine fumarate, tacrine ascorbate, tacrine gluconate, tacrine asparate, tacrine salicylate, tacrine edisylate, tacrine laurate, tacrine palmitate, tacrine nitrate, tacrine borate, tacrine acetate and tacrine oleate.

13. A dosage form for delivering a therapeutic composition to an Alzheimer's patient, wherein the dosage form comprises:

(a) a therapeutic composition comprising 100 ng to 500 mg of a member selected from the group consisting of tacrine and its pharmaceutically acceptable salt, and a therapeutically effective dose comprising member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam, physostigmine, fampridine, linopirdine, selegiline, nimodipine, estrogen, propentofylline, alpha-tocopherol, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil; 2 to 60 wt % of an osmotically active agent, 0.25 to 15 wt % of a poly(vinylpyrrolidone), 0 to 20 wt % of a cellulose ether, and 0.01 to 10 wt % of a lubricant, with the weight of all components in the composition equal to 100 wt %;

(b) a wall that surrounds the composition, said wall permeable to fluid;

(c) an overcoat on the wall comprising a therapeutically effective dose of a member selected from the group consisting of selegiline, tacrine, alpha-tocopherol, 1-hydroxy-tacrine, and donepezil indicated for the management of Alzheimer's disease; and,

(d) an exit passageway in the wall that connects the therapeutic composition with the exterior of the dosage form for delivering the therapeutic composition over 24 hours to the patient for the management of Alzheimer's disease.

14. A caplet for delivering a therapeutic composition orally to an Alzheimer's patient, for the management of Alzheimer's disease, wherein the caplet comprises:

(a) a therapeutic composition comprising 10 ng to 500 mg of a member selected from the group consisting of tacrine and its pharmaceutically acceptable salt, and a therapeutically effective dose of a member selected from the group consisting of aniracetam, bifemelane, phosphatidylserine, pramiracetam physostigmine, fampridine, linopirdine, selegiline, nimodipine, estrogen, propentofylline, alpha-tocopherol, aminopyridine, cytisine, 1-hydroxy-tacrine, and donepezil;

(b) a subcoat comprising a hydrophilic composition that surrounds the therapeutic composition;

(c) a wall comprising a semipermeable composition that surrounds the subcoat;

(d) a passageway in the caplet for delivering the therapeutic composition; and

(e) an overcoat that surrounds the wall comprising 1 to 125 mg of a member selected from the group consisting of tacrine and its pharmaceutically acceptable salt, accompanied by a therapeutically effective dose of a member selected from the group consisting of selegiline, alpha-tocopherol, 1-hydroxy-tacrine, and donepazil, indicated for the management of Alzheimer's disease.

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☐ 91. Document ID: US 5783189 A

L18: Entry 91 of 119 File: USPT Jul 21, 1998

US-PAT-NO: 5783189
DOCUMENT-IDENTIFIER: US 5783189 A

TITLE: Method for treating alcohol dependence

DATE-ISSUED: July 21, 1998

INVENTOR-INFORMATION:

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US-CL-CURRENT: 514/23; 514/811

ABSTRACT:

Isoflavonoids containing a carbon-carbon linked .beta.-D-glucose moiety at the C-8 position and isolated from the Chinese herbal plant Pueraria lobata are useful for treating alcohol dependence.

11 Claims, 7 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 92. Document ID: US 5780058 A

L18: Entry 92 of 119 File: USPT Jul 14, 1998

US-PAT-NO: 5780058
DOCUMENT-IDENTIFIER: US 5780058 A

TITLE: Oral delivery of discrete units

DATE-ISSUED: July 14, 1998

INVENTOR-INFORMATION:

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US-CL-CURRENT: 424/473; 424/438, 424/451, 424/464

ABSTRACT:

The present invention is directed to an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber (10) containing the active agent formulation and having a fluid passing active agent formulation retainer (14) is placed at one end (16) into a fluid and at a second end (18) into a patient's mouth. The active agent is delivered when the patient sips on the end of the chamber.

15 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 93. Document ID: US 5773031 A

L18: Entry 93 of 119 File: USPT Jun 30, 1998

US-PAT-NO: 5773031
DOCUMENT-IDENTIFIER: US 5773031 A

TITLE: Acetaminophen sustained-release formulation

DATE-ISSUED: June 30, 1998

INVENTOR-INFORMATION:

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US-CL-CURRENT: 424/497; 424/468

ABSTRACT:

An orally administrable sustained-release dosage form includes particles of an active pharmaceutical ingredient which is coated with a polymeric material that is water-insoluble, but water-permeable and water-swellable, so that the sustained-release dosage form provides controlled release which is independent of certain variable physiological factors such as pH. In accordance with one aspect of the invention, the active pharmaceutical ingredient is acetaminophen and the coated acetaminophen particles are combined with uncoated acetaminophen particles to provide a combination immediate-release/sustained-release dosage form. In accordance with another aspect of the invention, the active pharmaceutical ingredient is coated with a methacrylate ester copolymer, and the coated particles are combined with uncoated particles of an active pharmaceutical ingredient to provide a combination immediate-release/sustained-release dosage form, wherein the sustained-release component provides a release rate which is substantially independent of physiological factors such as pH. The final orally administrable dosage form can be appeared as compressed tablets, capsules or pouches.

7 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 94. Document ID: US 5763493 A

L18: Entry 94 of 119 File: USPT Jun 9, 1998

US-PAT-NO: 5763493
DOCUMENT-IDENTIFIER: US 5763493 A

TITLE: Stabilized pharmaceutical

DATE-ISSUED: June 9, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ruff; Michael D.	Greenville	NC		
Kalidindi; Sanvasi R.	Edison	NJ		
Sutton, Jr.; Joel Elmore	Greenville	NC		

US-CL-CURRENT: 514/617

ABSTRACT:

This application discloses a method of inhibiting degradation of the antidepressant bupropion hydrochloride in a solid pharmaceutical formulation, so that the pharmaceutical formulation will maintain at least 80% of its initial bupropion potency after one year.

12 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw. Desc | Image

☐ 95. Document ID: US 5731000 A

L18: Entry 95 of 119 File: USPT Mar 24, 1998

US-PAT-NO: 5731000
DOCUMENT-IDENTIFIER: US 5731000 A

TITLE: Stabilized pharmaceutical composition containing bupropion

DATE-ISSUED: March 24, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ruff; Michael David	Greenville	NC		
Kalidindi; Sanyasi Raju	Edison	NJ		
Sutton, Jr.; Joel Elmore	Greenville	NC		

US-CL-CURRENT: 424/451; 424/434, 424/453, 424/456, 424/457, 424/465, 424/476, 424/489

ABSTRACT:

This application discloses a method of inhibiting degradation of the antidepressant bupropion hydrochloride in a solid pharmaceutical formulation, so that the pharmaceutical formulation will maintain at least 80% of its initial bupropion potency after one year.

22 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 96. Document ID: US 5667804 A

L18: Entry 96 of 119 File: USPT Sep 16, 1997

US-PAT-NO: 5667804
DOCUMENT-IDENTIFIER: US 5667804 A

TITLE: Banded prolonged release active agent dosage form

DATE-ISSUED: September 16, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Palo Alto	CA		
Edgren; David Emil	El Granada	CA		
Dong; Liang C.	Sunnyvale	CA		
Ferrari; Vincent Joseph	Foster City	CA		

US-CL-CURRENT: 424/472; 424/463, 424/464, 424/467, 424/468, 424/473, 424/484, 424/486

ABSTRACT:

The present invention is directed to an active agent dosage form which is useful for the prolonged delivery of an active agent formulation to a fluid environment of use. The active agent dosage form is a matrix that has on its surface two or more insoluble bands. The invention is also directed to the method for making the active agent dosage form.

10 Claims, 20 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 97. Document ID: US 5573776 A

L18: Entry 97 of 119 File: USPT Nov 12, 1996

US-PAT-NO: 5573776
DOCUMENT-IDENTIFIER: US 5573776 A

TITLE: Oral osmotic device with hydrogel driving member

DATE-ISSUED: November 12, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Harrison; Juan M. E.	Mountain View	CA		
Barclay; Brian L.	Sunnyvale	CA		
Childers; Jerry D.	Menlo Park	CA		
Wright; Jeri D.	Dublin	CA		
Place; Virgil A.	Kawaihae	HI		
Wong; Patrick S.	Palo Alto	CA		

US-CL-CURRENT: 424/435; 424/434, 424/468, 424/473

ABSTRACT:

An osmotic device (10) for delivering an ergot alkaloid into the mouth of a human patient is disclosed. The device (10) has a size and shape adapting it to be comfortably retained in the mouth for extended periods of time. The device (10) comprises a wall (12) surrounding a compartment (13) housing a layer of an ergot alkaloid (14) and a layer (16) of a fluid swellable, hydrophilic polymer. A passageway (17) in the wall (12) connects the ergot alkaloid (14) with the exterior of the device (10). The wall (12) is permeable to the passage of aqueous biological fluid but substantially impermeable to the passage of the hydrophilic polymer (16). In one embodiment the ergot alkaloid (14) has a different color than the hydrophilic polymer (16). The wall (12) is sufficiently translucent to permit the patient to see the amount of ergot alkaloid (14) remaining to be delivered. Marking lines (19) may be provided on the wall (12) indicating the amount of ergot alkaloid (14) which has been delivered and/or the amount remaining to be delivered.

16 Claims, 7 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

☐ 98. Document ID: US 5541231 A

L18: Entry 98 of 119 File: USPT Jul 30, 1996

US-PAT-NO: 5541231
DOCUMENT-IDENTIFIER: US 5541231 A

TITLE: Stabilized Pharmaceutical

DATE-ISSUED: July 30, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ruff; Michael D.	Greenville	NC		
Kalidindi; Sanvasi R.	Edison	NJ		
Sutton, Jr.; Joel E.	Greenville	NC		

US-CL-CURRENT: 514/649; 514/769, 514/772

ABSTRACT:

This application discloses a method of inhibiting degradation of the antidepressant bupropion hydrochloride in a solid pharmaceutical formulation, so that the pharmaceutical formulation will maintain at least 80% of its initial bupropion potency after one year.

6 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 99. Document ID: US 5534263 A

L18: Entry 99 of 119 File: USPT Jul 9, 1996

US-PAT-NO: 5534263
DOCUMENT-IDENTIFIER: US 5534263 A

TITLE: Active agent dosage form comprising a matrix and at least two insoluble bands

DATE-ISSUED: July 9, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Palo Alto	CA		
Edgren; David E.	El Granada	CA		
Dong; Liang C.	Sunnyvale	CA		
Ferrari; Vincent J.	Foster City	CA		

US-CL-CURRENT: 424/473; 424/484, 424/486

ABSTRACT:

The present invention is directed to an active agent dosage form which is useful for the prolonged delivery of an active agent formulation to a fluid environment of use. The active agent dosage form is a matrix that has on its surface two or more insoluble bands. The invention is also directed to the method for making the active agent dosage form.

19 Claims, 20 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 100. Document ID: US 5508043 A

L18: Entry 100 of 119 File: USPT Apr 16, 1996

US-PAT-NO: 5508043
DOCUMENT-IDENTIFIER: US 5508043 A

TITLE: Controlled release matrix for pharmaceuticals

DATE-ISSUED: April 16, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Krishnamurthy; Thinnayam N.	Ontario			CA

US-CL-CURRENT: 424/484; 424/451, 424/464, 424/488, 514/770, 514/779

ABSTRACT:

The controlled release of therapeutically active agents is achieved from a controlled release matrix of sodium alginate and a calcium salt. When the composition is to be administered rectally, the matrix is combined with a therapeutically active agent and a suitable suppository base. When the composition is to be administered orally, the matrix further includes a higher aliphatic alcohol.

12 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 101. Document ID: US 5472954 A

L18: Entry 101 of 119 File: USPT Dec 5, 1995

US-PAT-NO: 5472954
DOCUMENT-IDENTIFIER: US 5472954 A

TITLE: Cyclodextrin complexation

DATE-ISSUED: December 5, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Loftsson; Thorsteinn	Reykjavik			IS

US-CL-CURRENT: 514/58; 514/772.2, 514/772.3, 514/772.6, 514/773, 514/777, 514/779, 514/781, 536/103

ABSTRACT:

The invention provides a method for enhancing the complexation of a cyclodextrin with a lipophilic and/or water-labile active ingredient which is a drug, cosmetic additive, food additive or agrochemical, comprising combining from about 0.1 to about 70% (weight/volume) of a cyclodextrin, from about 0.001 to about 5% (weight/volume) of a pharmacologically inactive water-soluble polymer acceptable for use in a pharmaceutical, cosmetic, food or agricultural composition, and said lipophilic and/or water-labile active ingredient in an aqueous medium, the polymer and cyclodextrin being dissolved in the aqueous medium before the active ingredient is added, the aqueous medium which comprises the polymer and cyclodextrin being maintained at from about 30.degree. to 150.degree. C. for a period of from about 0.1 to about 100 hours before, during and/or after the active ingredient is added, optionally followed by removal of water. Related methods, co-complexes of active ingredient/cyclodextrin/polymer, pharmaceutical, cosmetic, food and agricultural compositions and cyclodextrin/polymer complexing agents are also provided.

119 Claims, 5 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 5

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 102. Document ID: US 5462747 A

L18: Entry 102 of 119 File: USPT Oct 31, 1995

US-PAT-NO: 5462747
DOCUMENT-IDENTIFIER: US 5462747 A

TITLE: Pharmaceutical sustained release matrix

DATE-ISSUED: October 31, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Radebaugh; Galen W.	Maple Glen	PA		
Julian; Thomas N.	Horsham	PA		
Glinecke; Robert	Glenside	PA		

US-CL-CURRENT: 424/465; 424/468, 424/469, 424/470, 424/472

ABSTRACT:

A pharmaceutical sustained release homogeneous tablet or homogeneous tablet layer is formed by making a wet granulation using povidone (PVP) in alcohol as the granulating fluid which is mixed with a pharmaceutical active, ethylcellulose, a wicking agent, e.g. microcrystalline cellulose, an erosion promoter, e.g. pregelatinized starch, then drying and milling the granulation and blending with a dry powdered erosion promotor, wicking agent, lubricant, e.g. magnesium stearate and glidant, e.g. silicon dioxide, and compressing the resultant granulation, which upon administration results in a long-lasting slow and relatively regular incremental release of the pharmaceutical active, and multi-layered pharmaceutical active tablets comprising immediate release and/or sustained release layers.

7 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 103. Document ID: US 5427798 A

L18: Entry 103 of 119 File: USPT Jun 27, 1995

US-PAT-NO: 5427798
DOCUMENT-IDENTIFIER: US 5427798 A

TITLE: Controlled sustained release tablets containing bupropion

DATE-ISSUED: June 27, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ludwig; Jennie Sue G.	Greenville	NC		
Bass, Jr.; William L.	Farmville	NC		
Sutton, Jr.; Joel E.	Greenville	NC		

US-CL-CURRENT: 424/464; 424/465, 424/468, 424/474, 514/772, 514/781, 514/960, 514/970

ABSTRACT:

A controlled sustained release tablet having at least one year shelf life and containing bupropion hydrochloride, hydroxypropyl methylcellulose and cysteine hydrochloride or glycine hydrochloride with the tablet having a surface area to volume ratio to effectively control bupropion hydrochloride release in the body.

19 Claims, 6 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Drawi Desc | Image

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☐ 104. Document ID: US 5358970 A

L18: Entry 104 of 119 File: USPT Oct 25, 1994

US-PAT-NO: 5358970
DOCUMENT-IDENTIFIER: US 5358970 A

TITLE: Pharmaceutical composition containing bupropion hydrochloride and a stabilizer

DATE-ISSUED: October 25, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ruff; Michael D.	Greenville	NC		
Kalidindi; Sanyasi R.	Edison	NJ		
Sutton, Jr.; Joel E.	Greenville	NC		

US-CL-CURRENT: 514/649; 514/769, 514/772

ABSTRACT:

This application discloses a method of inhibiting degradation of the antidepressant bupropion hydrochloride in a solid pharmaceutical formulation, so that the pharmaceutical formulation will maintain at least 80% of its initial bupropion potency after one year.

17 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Drawi Desc | Image

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☐ 105. Document ID: US 5348746 A

L18: Entry 105 of 119 File: USPT Sep 20, 1994

US-PAT-NO: 5348746
DOCUMENT-IDENTIFIER: US 5348746 A

TITLE: Method for administering drug

DATE-ISSUED: September 20, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Francisco	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S. L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473; 424/434, 424/489, 604/892.1

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

12 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 106. Document ID: US 5338550 A

L18: Entry 106 of 119 File: USPT Aug 16, 1994

US-PAT-NO: 5338550
DOCUMENT-IDENTIFIER: US 5338550 A

TITLE: Stereoisomer therapy

DATE-ISSUED: August 16, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Bhatti; Gurdish K.	Fremont	CA		
Magruder; Judy A.	Mountain View	CA		

US-CL-CURRENT: 424/473; 424/468, 424/472

ABSTRACT:

A dosage form is disclosed comprising a first layer and a second layer. The first layer provides immediate therapy and comprises a drug stereoisomer and the second layer provides prolonged therapy and comprises a drug racemate.

7 Claims, 5 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 107. Document ID: US 5324718 A

L18: Entry 107 of 119 File: USPT Jun 28, 1994

US-PAT-NO: 5324718
DOCUMENT-IDENTIFIER: US 5324718 A

TITLE: Cyclodextrin/drug complexation

DATE-ISSUED: June 28, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Loftsson; Thorsteinn	IS-101 Reykjavik			IS

US-CL-CURRENT: 514/58; 514/772.2, 514/772.3, 514/772.6, 514/773, 514/777, 514/779, 514/781, 536/103

ABSTRACT:

The invention provides a method for enhancing the complexation of a cyclodextrin with a lipophilic and/or water-libile drug, comprising combining from about 0.1 to about 70% (weight/volume) of a cyclodextrin and from about 0.01 to about 5% (weight/volume) of a pharmaceutically acceptable, pharmacologically inactive, water-soluble polymer in an aqueous medium with a lipophilic and/or water-labile drug to form a drug complex, optionally followed by removal of water. Related methods, co-complexes of drug/cyclodextrin/polymer, pharmaceutical compositions and cyclodextrin/polymer complexing agents are also provided.

52 Claims, 3 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 108. Document ID: US 5266332 A

L18: Entry 108 of 119 File: USPT Nov 30, 1993

US-PAT-NO: 5266332
DOCUMENT-IDENTIFIER: US 5266332 A

TITLE: Method for administering anti-Parkinson drug

DATE-ISSUED: November 30, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Francisco	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S.-L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473; 424/489

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

7 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 109. Document ID: US 5254349 A

L18: Entry 109 of 119 File: USPT Oct 19, 1993

US-PAT-NO: 5254349
DOCUMENT-IDENTIFIER: US 5254349 A

TITLE: Process for lessening irritation caused by drug

DATE-ISSUED: October 19, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Francisco	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S. L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473; 424/468

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

2 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 110. Document ID: US 5215758 A

L18: Entry 110 of 119 File: USPT Jun 1, 1993

US-PAT-NO: 5215758
DOCUMENT-IDENTIFIER: US 5215758 A

TITLE: Controlled release matrix suppository for pharmaceuticals

DATE-ISSUED: June 1, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Krishnamurthy; Thinnayam N.	Ontario			CA

US-CL-CURRENT: 424/488; 424/422, 424/436, 424/484, 424/DIG.15, 514/770, 514/779, 514/786, 514/965, 514/966

ABSTRACT:

The controlled release of therapeutically active agents is achieved from a controlled release matrix of sodium alginate and a calcium salt. When the composition is to be administered rectally, the matrix is combined with a therapeutically active agent and a suitable suppository base. When the composition is to be administered orally, the matrix further includes a higher aliphatic alcohol.

13 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 111. Document ID: US 5204116 A

L18: Entry 111 of 119 File: USPT Apr 20, 1993

US-PAT-NO: 5204116
DOCUMENT-IDENTIFIER: US 5204116 A

TITLE: Dosage form providing immediate therapy followed by prolonged therapy

DATE-ISSUED: April 20, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Bhatti; Gurdish K.	Fremont	CA		
Magruder; Judy A.	Mountain View	CA		

US-CL-CURRENT: 424/473; 424/468, 424/472

ABSTRACT:

A dosage form is disclosed comprising a first layer and a second layer. The first layer provides immediate therapy and comprises a drug stereoisomer and the second layer provides prolonged therapy and comprises a drug racemate.

4 Claims, 5 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 112. Document ID: US 5200195 A

L18: Entry 112 of 119 File: USPT Apr 6, 1993

US-PAT-NO: 5200195
DOCUMENT-IDENTIFIER: US 5200195 A

TITLE: Process for improving dosage form delivery kinetics

DATE-ISSUED: April 6, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Francisco	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S. L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473; 424/464

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

3 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 113. Document ID: US 4963367 A

L18: Entry 113 of 119 File: USPT Oct 16, 1990

US-PAT-NO: 4963367
DOCUMENT-IDENTIFIER: US 4963367 A

TITLE: Drug delivery compositions and methods

DATE-ISSUED: October 16, 1990

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ecanow; Bernard	Wilmette	IL		

US-CL-CURRENT: 424/485; 128/200.16, 264/4.1, 264/4.32, 264/4.7, 424/455, 424/460, 424/484, 424/486, 428/402.2, 514/832, 514/833, 514/911, 514/944, 514/947, 514/959, 514/963, 514/965, 514/975, 604/892.1

ABSTRACT:

Drug delivery compositions yeild new and unexpected degrees of stabilization, solubilization and delivery of incorporated medicaments, drugs, or other physiologically-active compounds. The compositions enable administration of drugs and

other medically useful compounds via a variety of routes. More particularly, a drug delivery system or composition including one or more monomeric or polymerized surface active agents allows for rapid dissolution and smooth liberation of any desired incorporated drug or combinations, and the method of preparing the drug composition. In one embodiment, the physiologically-active compound is encapsulated by a coacervate-derived film, and the finished product is suitable for transmucosal administration. Other formulations of this invention may be administered via inhalation, oral, parenteral and by transdermal and transmucosal routes.

45 Claims, 0 Drawing figures
Exemplary Claim Number: 1,27

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 114. Document ID: US 4946685 A

L18: Entry 114 of 119 File: USPT Aug 7, 1990

US-PAT-NO: 4946685
DOCUMENT-IDENTIFIER: US 4946685 A

TITLE: Cellulosic dosage form

DATE-ISSUED: August 7, 1990

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Magruder; Judy A.	Mt. View	CA		
Bhatti; Gurdish K.	Fremont	CA		

US-CL-CURRENT: 424/472; 424/473

ABSTRACT:

A bilaminate dosage form is disclosed comprising a first lamina and a second lamina with each lamina comprising a cellulose ether composition, and wherein a drug is present in at least one of the lamina. An optional coat is disclosed that surrounds the bilaminate form.

14 Claims, 11 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 5

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 115. Document ID: US 4915954 A

L18: Entry 115 of 119 File: USPT Apr 10, 1990

US-PAT-NO: 4915954
DOCUMENT-IDENTIFIER: US 4915954 A

TITLE: Dosage form for delivering a drug at two different rates

DATE-ISSUED: April 10, 1990

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ayer; Atul D.	Palo Alto	CA		
Jordan; Maureen L.	Mt. View	CA		
Magruder; Paul R.	Mt. View	CA		
Edgren; David E.	El Granada	CA		

US-CL-CURRENT: 424/473; 424/467, 424/472, D24/101

ABSTRACT:

A dosage form is disclosed comprising a wall that surrounds a compartment with an exit means in the wall. The compartment comprises a first or fast releasing lamina and a second or short releasing lamina that are delivered through the exit means over two different periods of time.

3 Claims, 7 Drawing figures
Exemplary Claim Number: 1,2,3
Number of Drawing Sheets: 3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 116. Document ID: US 4915953 A

L18: Entry 116 of 119 File: USPT Apr 10, 1990

US-PAT-NO: 4915953
DOCUMENT-IDENTIFIER: US 4915953 A

TITLE: Dosage form for delivering acetaminophen or phenylpropanolamine

DATE-ISSUED: April 10, 1990

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jordan; Maureen L.	Mt. View	CA		
Ayer; Atul D.	Palo Alto	CA		
Magruder; Paul R.	Palo Alto	CA		
Edgren; David E.	El Granada	CA		

US-CL-CURRENT: 424/473; 424/467, 424/472

ABSTRACT:

A dosage form is disclosed comprising a wall that surrounds a compartment with an exit means in the wall. The compartment comprises a first or fast releasing lamina and a second or short releasing lamina that are delivered through the exit means over two different periods of time.

2 Claims, 7 Drawing figures
Exemplary Claim Number: 1,2
Number of Drawing Sheets: 3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 117. Document ID: US 4871548 A

L18: Entry 117 of 119 File: USPT Oct 3, 1989

US-PAT-NO: 4871548
DOCUMENT-IDENTIFIER: US 4871548 A

TITLE: Controlled release dosage form comprising different cellulose ethers

DATE-ISSUED: October 3, 1989

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Magruder; Judy A.	Palo Alto	CA		
Bhatti; Gurdish K.	Fremont	CA		

US-CL-CURRENT: 424/488; 424/451, 424/464, 424/470

ABSTRACT:

A dosage form is disclosed comprising a low number average molecular weight hydroxypropylmethylcellulose, a high number average molecular weight hydroxypropylmethylcellulose, and a beneficial drug.

3 Claims, 6 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 118. Document ID: US 4814181 A

L18: Entry 118 of 119 File: USPT Mar 21, 1989

US-PAT-NO: 4814181
DOCUMENT-IDENTIFIER: US 4814181 A

TITLE: Dosage form comprising fast agent delivery followed by slow agent delivery

DATE-ISSUED: March 21, 1989

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jordan; Maureen L.	Mt. View	CA		
Ayer; Atul D.	Palo Alto	CA		
Magruder; Paul R.	Palo Alto	CA		
Edgren; David E.	El Granada	CA		

US-CL-CURRENT: 424/473; 424/467, 424/472

ABSTRACT:

A dosage form is disclosed comprising a wall that surrounds a compartment with an exit means in the wall. The compartment comprises a first or fast releasing lamina and a second or short releasing lamina that are delivered through the exit means over two different periods of time.

20 Claims, 7 Drawing figures

Exemplary Claim Number: 1
Number of Drawing Sheets: 3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 119. Document ID: US 4786503 A

L18: Entry 119 of 119 File: USPT Nov 22, 1988

US-PAT-NO: 4786503
DOCUMENT-IDENTIFIER: US 4786503 A

TITLE: Dosage form comprising parallel lamine

DATE-ISSUED: November 22, 1988

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Magruder; Judy A.	Palo Alto	CA		
Bhatti; Gurdish K.	Fremont	CA		

US-CL-CURRENT: 424/443; 424/472

ABSTRACT:

A bilaminated dosage form is disclosed comprising at least 30 weight percent cellulose ether, a first lamina and a second lamina with each lamina comprising a different cellulose ether formulation, and a drug in at least one of the lamina.

26 Claims, 6 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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Term	Documents
(17 AND 16).USPT,PGPB,JPAB,EPAB,DWPI.	119
(L17 AND L16).USPT,PGPB,JPAB,EPAB,DWPI.	119

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☐ 61. Document ID: US 6242496 B1

L18: Entry 61 of 119 File: USPT Jun 5, 2001

US-PAT-NO: 6242496
DOCUMENT-IDENTIFIER: US 6242496 B1

TITLE: Pharmaceutical composition containing bupropion hydrochloride and a stabilizer

DATE-ISSUED: June 5, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kulkarni; Prakash Shriram	Parsippany	NJ		
Shah; Bharat Bhogilal	Ridgefield	NJ		
Maitra; Amitava	Sayreville	NJ		
DeVito; Joseph Michael	Middletown	NY		

US-CL-CURRENT: 514/649; 514/769, 514/772

ABSTRACT:

Novel, stable formulations of bupropion hydrochloride are provided which will maintain at least 80% of initial bupropion hydrochloride potency after one year. Methods of inhibiting degradation of bupropion hydrochloride and methods of preparing stable formulations of bupropion hydrochloride are also provided.

2 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 62. Document ID: US 6224908 B1

L18: Entry 62 of 119 File: USPT May 1, 2001

US-PAT-NO: 6224908
DOCUMENT-IDENTIFIER: US 6224908 B1

TITLE: Flow controller configurations for an active agent delivery device

DATE-ISSUED: May 1, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Burlingame	CA		
Ferrari; Vincent J.	Foster City	CA		
Etter; Jeffrey W.	Castro Valley	CA		
Martin; Miriam A.	Los Altos	CA		
Roth; Nathan	San Francisco	CA		
Ohms; Christopher M. G.	San Mateo	CA		
Poutiatine; Andrew I.	Menlo Park	CA		
Horvath; James	San Jose	CA		

US-CL-CURRENT: 424/473; 424/438, 424/451, 424/464

ABSTRACT:

Oral active agent delivery system having improved flow controllers. A hollow tubular member containing the active agent formulation and having a fluid passing controller is placed at one end into a fluid and at a second end into a patient's mouth. The active agent is delivered when the patient sips on the end of the chamber. The improved controllers prevent leakage of the active agent formulation.

17 Claims, 33 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 63. Document ID: US 6221917 B1

L18: Entry 63 of 119 File: USPT Apr 24, 2001

US-PAT-NO: 6221917
DOCUMENT-IDENTIFIER: US 6221917 B1

TITLE: Pharmaceutical composition containing bupropion hydrochloride and a stabilizer

DATE-ISSUED: April 24, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Maitra; Amitava	Sayreville	NJ		
Kulkarni; Prakash Shriram	Parsippany	NJ		
Shah; Bharat Bhogilal	Ridgefield	NJ		
DeVito; Joseph Michael	Middletown	NY		

US-CL-CURRENT: 514/649; 424/464

ABSTRACT:

Novel, stable formulations of bupropion hydrochloride are provided which will maintain at least 80% of initial bupropion hydrochloride potency after one year. Methods of inhibiting degradation of bupropion hydrochloride and methods of preparing stable formulations of bupropion hydrochloride are also provided.

5 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 64. Document ID: US 6210713 B1

L18: Entry 64 of 119 File: USPT Apr 3, 2001

US-PAT-NO: 6210713
DOCUMENT-IDENTIFIER: US 6210713 B1

TITLE: Oral delivery of discrete units

DATE-ISSUED: April 3, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Burlingame	CA		
Rosen; Howard B.	Woodside	CA		
Roth; Nathan	San Francisco	CA		
Gardner; Phyllis I.	Stanford	CA		

US-CL-CURRENT: 424/473; 424/489, 604/58, 604/85

ABSTRACT:

The present invention is an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber containing the active agent formulation and having a fluid passing active agent formulation retainer is placed at a first end into a fluid and at a second end into a patient's mouth. The active agent is delivered when the patient sips on the second end of the chamber.

42 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 65. Document ID: US 6210712 B1

L18: Entry 65 of 119 File: USPT Apr 3, 2001

US-PAT-NO: 6210712
DOCUMENT-IDENTIFIER: US 6210712 B1

TITLE: Dosage form having first and second coats

DATE-ISSUED: April 3, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Skluzacek; Robert R.	Newark	CA		

US-CL-CURRENT: 424/473; 424/472, 424/480, 424/482

ABSTRACT:

A dosage form comprising a composition comprising a drug surrounded by a first coat

and a second coat with an exit for administering the drug to a patient; and a method of using the dosage form are disclosed for an indicated therapy.

13 Claims, 3 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 66. Document ID: US 6203820 B1

L18: Entry 66 of 119 File: USPT Mar 20, 2001

US-PAT-NO: 6203820
DOCUMENT-IDENTIFIER: US 6203820 B1

TITLE: Compositions and methods for enhancing protein anabolism and detoxification

DATE-ISSUED: March 20, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Vickery; Brice E.	Parachute	CO	81635	

US-CL-CURRENT: 424/646; 514/400, 514/561, 514/562, 514/565

ABSTRACT:

A composition for enhancing protein anabolism and detoxification comprises molybdenum and at least two amino acids selected from the group consisting of L-arginine, L-cystine, L-histidine, L-isoleucine, L-leucine, L-lysine, L-methionine, L-phenylalanine, L-threonine, L-tryptophan, L-tyrosine, and L-valine. Preferably the composition further comprises creatine and/or sulfur. Preferably the amino acids are all free form amino acids. The composition is provided in the form of a powder, which is preferably encapsulated in a gelatin capsule. Methods for enhancing protein anabolism and/or detoxification in a patient comprise administering to the patient an effective amount of a composition as described above. The composition is preferably administered orally in an amount of from about 3 grams/day to about 10.5 grams/day.

43 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 67. Document ID: US 6187802 B1

L18: Entry 67 of 119 File: USPT Feb 13, 2001

US-PAT-NO: 6187802
DOCUMENT-IDENTIFIER: US 6187802 B1

TITLE: Substituted 4-arylmethylene-2-imino-2,3-dihydrothiazoles and derivatives and their pharmaceutical use

DATE-ISSUED: February 13, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cheetham; Sharon Crawford	Nottingham			GB
Kerrigan; Frank	Nottingham			GB
Jones; Colin Gerhart Pryce	Nottingham			GB

US-CL-CURRENT: 514/370; 514/259.2, 514/333, 544/281, 546/256, 548/154, 548/184, 548/190, 548/193

ABSTRACT:

Compounds of Formula I ##STR1##

including pharmaceutically acceptable salts thereof in the form of individual enantiomers, racemates, or other mixtures of enantiomers, in which

Ar is phenyl, naphthyl or benzo[b]thiophenyl, each of which may be optionally substituted; R.sub.1 and R.sub.2, which may be the same or different, independently are a) H, b) an alkyl group containing 1 to 6 carbon atoms, c) an alkenyl group containing 3 to 6 carbon atoms, d) a cycloalkyl group containing 3 to 7 carbon atoms, e) a cycloalkylmethyl group in which the ring contains 3 to 7 carbon atoms, f) an aryl or heteroaryl group optionally substituted g) an arylalkyl or heteroarylalkyl group each optionally substituted; or R.sub.1 and R.sub.2 form an alkylene chain optionally substituted by one or more alkyl groups each containing 1 to 3 carbon atoms, such that, together with the atoms to which they are attached, they form a 5 or 6 membered ring; R.sub.3 is a) H, b) an aryl or heteroaryl group each optionally substituted c) an optionally substituted arylmethyl group; or d) an alkoxyalkyl group containing 3 to 6 carbon atoms; and R.sub.4 and R.sub.5, which may be the same or different, independently are an alkyl group containing 1 to 3 carbon atoms, or R.sub.4 and R.sub.5 together with the atom to which they are attached form a cycloalkyl ring containing 3 to 6 carbon atoms; processes to prepare such compounds; compositions containing such compounds and their use in the treatment of depression, anxiety, Parkinson's disease, obesity, cognitive disorders, seizures, neurological disorders and as neuroprotective agents; are described.

26 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments

KWIC | Draw Desc | Image

☐ 68. Document ID: US 6126969 A

L18: Entry 68 of 119 File: USPT Oct 3, 2000

US-PAT-NO: 6126969
DOCUMENT-IDENTIFIER: US 6126969 A

TITLE: Immediate release/sustained release compressed tablets

DATE-ISSUED: October 3, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Shah; Shirish A.	Kalamazoo	MI		
Ho; Chris Y.	Kalamazoo	MI		

US-CL-CURRENT: 424/468

ABSTRACT:

An orally administrable sustained-release dosage form includes particles of an active pharmaceutical ingredient which is coated with a polymeric material that is water-insoluble, but water-permeable and water-swellable, so that the sustained-release dosage form provides controlled release which is independent of certain variable physiological factors such as pH. In accordance with one aspect of the invention, the active pharmaceutical ingredient is acetaminophen and the coated acetaminophen particles are combined with uncoated acetaminophen particles to provide a combination immediate-release/sustained-release dosage form. In accordance with another aspect of the invention, the active pharmaceutical ingredient is coated with a methacrylate ester copolymer, and the coated particles are combined with uncoated particles of an active pharmaceutical ingredient to provide a combination immediate-release/sustained-release dosage form, wherein the sustained-release component provides a release rate which is substantially independent of physiological factors such as pH. The final orally administable dosage form can be appeared as compressed tablets, capsules or pouches.

7 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw. Desc | Image

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☐ 69. Document ID: US 6120803 A

L18: Entry 69 of 119 File: USPT Sep 19, 2000

US-PAT-NO: 6120803
DOCUMENT-IDENTIFIER: US 6120803 A

TITLE: Prolonged release active agent dosage form adapted for gastric retention

DATE-ISSUED: September 19, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S. L.	Burlingame	CA		
Dong; Liang-Chang	Sunnyvale	CA		
Edgren; David E.	El Granada	CA		
Theeuwes; Felix	Los Altos	CA		
Gardner; Phyllis I.	Stanford	CA		
Jao; Francisco	San Jose	CA		
Wan; Jason J.	Palo Alto	CA		

US-CL-CURRENT: 424/473; 424/468, 424/469, 424/470, 424/486, 424/488, 514/772.2, 514/772.3, 514/777, 514/778, 514/781, 514/782, 514/784

ABSTRACT:

The present invention is directed to an active agent dosage form which is adapted for retention in the stomach and useful for the prolonged delivery of an active agent formulation to a fluid environment of use. The active agent dosage form is a polymer matrix that swells upon contact with the fluids of the stomach. A portion of the polymer matrix is surrounded by a band of insoluble material that prevents the covered portion of the polymer matrix from swelling and provides a segment of the dosage form that is of sufficient rigidity to withstand the contractions of the stomach and delay expulsion of the dosage form from the stomach until substantially all of the active agent has been dispensed.

12 Claims, 18 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 70. Document ID: US 6110973 A

L18: Entry 70 of 119 File: USPT Aug 29, 2000

US-PAT-NO: 6110973
DOCUMENT-IDENTIFIER: US 6110973 A

TITLE: Methods for treating obesity and weight gain using optically pure (-)-bupropion

DATE-ISSUED: August 29, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/649

ABSTRACT:

Methods are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating obesity and weight gain.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 71. Document ID: US 6106845 A

L18: Entry 71 of 119 File: USPT Aug 22, 2000

US-PAT-NO: 6106845
DOCUMENT-IDENTIFIER: US 6106845 A

TITLE: Oral delivery of discrete units

DATE-ISSUED: August 22, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S. -L.	Palo Alto	CA		
Rosen; Howard B.	Los Gatos	CA		
Roth; Nathan	San Francisco	CA		
Gardner; Phyllis I.	Stanford	CA		

US-CL-CURRENT: 424/400; 424/439, 424/451, 424/464, 424/473, 424/489, 604/500, 604/85

ABSTRACT:

The present invention is directed to an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber containing the active agent formulation and having a fluid passing active agent formulation retainer is placed at a first end into a fluid and at

a second end into a patient's mouth. The active agent is delivered when the patient sips on the second end of the chamber.

15 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments IDMC | Draw. Desc | Image

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☐ 72. Document ID: US 6103265 A

L18: Entry 72 of 119 File: USPT Aug 15, 2000

US-PAT-NO: 6103265
DOCUMENT-IDENTIFIER: US 6103265 A

TITLE: Flow controller configurations for an active agent delivery device

DATE-ISSUED: August 15, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Burlingame	CA		
Ferrari; Vincent J.	Foster City	CA		
Etter; Jeffrey W.	Castro Valley	CA		
Martin; Miriam A.	Los Altos	CA		
Roth; Nathan	San Francisco	CA		
Ohms; Christopher M. G.	San Mateo	CA		
Poutiatine; Andrew I.	Menlo Park	CA		
Horvath; James	San Jose	CA		

US-CL-CURRENT: 424/473; 424/438, 424/451, 424/464

ABSTRACT:

The present invention is directed to an oral active agent delivery system comprising improved flow controllers. A hollow tubular member (10) containing the active agent formulation and having a fluid passing controller (14) is placed at one end (16) into a fluid and at a second end (18) into a patient's mouth. The active agent is delivered when the patient sips on the end of the chamber. The improved controllers prevent leakage of the active agent formulation.

17 Claims, 33 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments IDMC | Draw. Desc | Image

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☐ 73. Document ID: US 6080736 A

L18: Entry 73 of 119 File: USPT Jun 27, 2000

US-PAT-NO: 6080736
DOCUMENT-IDENTIFIER: US 6080736 A

TITLE: Methods and compositions for treating and preventing anxiety and anxiety

disorders using optically pure (R) tofisopam

DATE-ISSUED: June 27, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Landry; Donald W.	New York	NY		
Klein; Donald F.	New York	NY		

US-CL-CURRENT: 514/221

ABSTRACT:

Methods are disclosed utilizing the R enantiomer of tofisopam. This compound is useful in the treatment or prevention of anxiety or anxiety disorders while substantially reducing adverse effects associated with racemic tofisopam.

37 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 3

☐ 74. Document ID: US 6080426 A

L18: Entry 74 of 119 File: USPT Jun 27, 2000

US-PAT-NO: 6080426
DOCUMENT-IDENTIFIER: US 6080426 A

TITLE: Process for encapsulation of caplets in a capsule and solid dosage forms obtainable by such process

DATE-ISSUED: June 27, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Amey; James	Greenwood	SC		
Cade; Dominique	Colmar			FR
Maes; Paul	Mortsel			BE
Scott; Robert	Waasmunster			BE

US-CL-CURRENT: 424/456; 424/451, 424/452, 424/453, 424/454, 424/463, 514/770, 514/772.3, 514/773, 514/774, 514/775, 514/778, 514/779, 514/781, 514/782, 514/783, 514/784

ABSTRACT:

A process for encapsulation of caplets in a capsule comprises the following steps: a. providing empty capsule parts; b. filling at least one of said capsule parts with one or more caplets; c. putting said capsule parts together; and d. treating the combined parts by cold shrinking. The solid dosage forms obtainable by such aprocess are tamper-proof in that they cannot be opened in a way to be reassembled without showing such opening process.

38 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 75. Document ID: US 6063313 A

L18: Entry 75 of 119 File: USPT May 16, 2000

US-PAT-NO: 6063313
DOCUMENT-IDENTIFIER: US 6063313 A

TITLE: Process for the preparation of fine particle pharmaceutical formulations

DATE-ISSUED: May 16, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Briskin; Jacqueline E.	Buffalo Grove	IL		
Gupta; Pramod K.	Gurnee	IL		
Loyd; Claud	Beach Park	IL		
Kohler; Robert W.	Waukegan	IL		
Semla; Susan J.	Evanston	IL		

US-CL-CURRENT: 264/15

ABSTRACT:

A process for preparing fine particle pharmaceutical formulations having improved throughput and producing greater uniformity of particle size. The process relates to adding to the dry components of the formulation prior to the steps of wetting, extrusion and spheronization, an extrusion aid material selected from pharmaceutically acceptable oils and waxes having a drop point between about 15.degree. C. and 115.degree. C.

7 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 76. Document ID: US 6048879 A

L18: Entry 76 of 119 File: USPT Apr 11, 2000

US-PAT-NO: 6048879
DOCUMENT-IDENTIFIER: US 6048879 A

TITLE: Methods for treating apnea, apnea disorders, bulimia, and other disorders using optically pure (+) norcisapride

DATE-ISSUED: April 11, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Rubin; Paul D.	Sudbury	MA		
Barberich; Timothy J.	Concord	MA		

US-CL-CURRENT: 514/327

ABSTRACT:

Methods for the prevention, treatment or management of apnea, apnea disorders, bulimia nervosa, irritable bowel syndrome, urinary incontinence, bradycardia, bradyarrhythmia, syncope, other disorders, or symptoms thereof using (+) norcisapride, or a pharmaceutically acceptable salt thereof, substantially free of its (-) stereoisomer.

10 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 77. Document ID: US 6034085 A

L18: Entry 77 of 119 File: USPT Mar 7, 2000

US-PAT-NO: 6034085
DOCUMENT-IDENTIFIER: US 6034085 A

TITLE: Salt form of nefazodone for use in extended release formulations

DATE-ISSUED: March 7, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Joshi; Hemant N.	Dayton	NJ		
Wilson; Terry D.	Albany	NY		
Patel; Jatin M.	Lawrenceville	NJ		

US-CL-CURRENT: 514/254.05; 544/366

ABSTRACT:

The crystalline, stable methanesulfonate salt of nefazodone showed significantly higher intrinsic dissolution in water and THAM buffer (pH 7.5) compared to other salts of nefazodone. The faster dissolution rate of this salt at neutral pH suggests better dissolution and absorption in the intestine, allowing controlled release of nefazodone for oral formulations.

3 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 78. Document ID: US 6020000 A

L18: Entry 78 of 119 File: USPT Feb 1, 2000

US-PAT-NO: 6020000
DOCUMENT-IDENTIFIER: US 6020000 A

TITLE: Banded prolonged release active agent dosage form

DATE-ISSUED: February 1, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Palo Alto	CA		
Edgren; David Emil	El Granada	CA		
Dong; Liang C.	Sunnyvale	CA		
Ferrari; Vincent Joseph	Foster City	CA		

US-CL-CURRENT: 424/472; 424/463, 424/464, 424/467, 424/468, 424/474, 424/484, 424/486, 424/488

ABSTRACT:

The present invention is directed to an active agent dosage form that is useful for the prolonged delivery of an active agent formulation to a fluid environment of use. The active agent dosage form is a matrix that has an insoluble material circumscribing a portion of the surface of the matrix. The invention is also directed to a method of making the active agent dosage form.

17 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments DWC | Draw Desc | Image

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☐ 79. Document ID: US 6013280 A

L18: Entry 79 of 119 File: USPT Jan 11, 2000

US-PAT-NO: 6013280
DOCUMENT-IDENTIFIER: US 6013280 A

TITLE: Immediate release dosage forms containing microspheres

DATE-ISSUED: January 11, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Frisbee; Steven E.	Reston	VA		
Barrow; Deirdre M.	Fairfax	VA		
Cascone; Joseph	Chantilly	VA		
McCarthy; Barry D.	Centreville	VA		
Kiernan; Bernard M.	Ashburn	VA		
Anwar; Hanan S.	Reston	VA		

US-CL-CURRENT: 424/464; 424/451, 424/489, 424/490, 424/497, 514/951

ABSTRACT:

The invention deals with microspheres which are useful in pharmaceutical dosage forms. The microspheres contain active agents and solubilizing agents which have been processed via liquiflash techniques.

20 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments DWC | Draw Desc | Image

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☐ 80. Document ID: US 6004582 A

L18: Entry 80 of 119 File: USPT Dec 21, 1999

US-PAT-NO: 6004582
DOCUMENT-IDENTIFIER: US 6004582 A

TITLE: Multi-layered osmotic device

DATE-ISSUED: December 21, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Faour; Joaquina	Buenos Aires			AR
Mayorga; Jorge	Buenos Aires			AR

US-CL-CURRENT: 424/473; 424/468, 424/472, 424/474, 424/475, 424/476, 424/479, 424/482

ABSTRACT:

The present invention provides a simple and improved multi-layered osmotic device (1) that is capable of delivering a first active agent in an outer lamina (2) to one environment of use and a second active agent in the core (5) to another environment of use. Particular embodiments of the invention provide osmotic devices in which the first and second active agents are similar or dissimilar. An erodible polymer coat (3) between an internal semipermeable membrane (4) and a second active agent-containing external coat (2) comprises poly(vinylpyrrolidone)-(vinyl acetate) copolymer. This particular erodible polymer results in an improved multi-layered osmotic device possessing advantages over related devices known in the art. The active agent in the core (5) is delivered through a pore (6) containing an erodible plug (7). The osmotic device (1) can be coated by a final finish coat (8).

23 Claims, 2 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 81. Document ID: US 5989590 A

L18: Entry 81 of 119 File: USPT Nov 23, 1999

US-PAT-NO: 5989590
DOCUMENT-IDENTIFIER: US 5989590 A

TITLE: Oral delivery of discrete units

DATE-ISSUED: November 23, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Palo Alto	CA		
Rosen; Howard B.	Los Gatos	CA		
Roth; Nathan	San Francisco	CA		
Gardner; Phyllis I.	Stanford	CA		

US-CL-CURRENT: 424/473; 424/439, 424/451, 424/464, 424/489, 604/519, 604/85

ABSTRACT:

The present invention is directed to an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber containing the active agent formulation and having a fluid passing active agent formulation retainer is placed at one end into a fluid and at a second end into a patient's mouth. The active agent is delivered when the patient sips on the end of the chamber.

12 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments Draw Desc | Image

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☐ 82. Document ID: US 5985324 A

L18: Entry 82 of 119 File: USPT Nov 16, 1999

US-PAT-NO: 5985324
DOCUMENT-IDENTIFIER: US 5985324 A

TITLE: Flow controller configurations for an active agent delivery device

DATE-ISSUED: November 16, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Burlingame	CA		
Ferrari; Vincent J.	Foster City	CA		
Etter; Jeffrey W.	Castro Valley	CA		
Martin; Miriam A.	Los Altos	CA		
Roth; Nathan	San Francisco	CA		
Ohms; Christopher M. G.	San Mateo	CA		
Poutiatine; Andrew I.	Menlo Park	CA		
Horvath; James	San Jose	CA		

US-CL-CURRENT: 424/473; 424/438, 424/451, 424/464

ABSTRACT:

The present invention is directed to an oral active agent delivery system comprising improved flow controllers. A hollow tubular member (10) containing the active agent formulation and having a fluid passing controller (14) is placed at one end (16) into a fluid and at a second end (18) into a patient's mouth. The active agent is delivered when the patient sips on the end of the chamber. The improved controllers prevent leakage of the active agent formulation.

24 Claims, 33 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments Draw Desc | Image

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☐ 83. Document ID: US 5985282 A

L18: Entry 83 of 119 File: USPT Nov 16, 1999

US-PAT-NO: 5985282
DOCUMENT-IDENTIFIER: US 5985282 A

TITLE: Herbal appetite suppressant and weight loss composition

DATE-ISSUED: November 16, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Haveson; Brian	Yardley	PA		

US-CL-CURRENT: 424/730; 514/263.31, 514/653

ABSTRACT:

The present invention is directed to herbal compositions which reduce weight, maintain weight loss over an extended period of time, and act as an appetite suppressant. The composition consists of St. John's Wort with or without caffeine or other appetite suppressants known in the art, and also a composition comprising St. John's Wart (Hypericum perforatum) and Mahuang (Ephedra sinica or ephedrine). Another composition disclosed comprises a combination of the above herbs with caffeine.

17 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 84. Document ID: US 5968553 A

L18: Entry 84 of 119 File: USPT Oct 19, 1999

US-PAT-NO: 5968553
DOCUMENT-IDENTIFIER: US 5968553 A

TITLE: Pharmaceutical composition containing bupropion hydrochloride and an inorganic acid stabilizer

DATE-ISSUED: October 19, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Maitra; Amitava	Sayreville	NJ		
Kulkarni; Prakash Shriram	Parsippany	NJ		
Shah; Bharat Bhogilal	Ridgefield	NJ		
DeVito; Joseph Michael	Middletown	NJ		

US-CL-CURRENT: 424/474; 424/463, 424/464, 424/465, 424/490, 514/649, 514/769, 514/970

ABSTRACT:

Novel, stable formulations of bupropion hydrochloride are provided which will maintain at least 80% of initial bupropion hydrochloride potency after one year. Methods of inhibiting degradation of bupropion hydrochloride are also provided.

14 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 85. Document ID: US 5897878 A

L18: Entry 85 of 119 File: USPT Apr 27, 1999

US-PAT-NO: 5897878
DOCUMENT-IDENTIFIER: US 5897878 A

TITLE: Method for administering steroid

DATE-ISSUED: April 27, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Franciscso	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S.-L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

7 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 86. Document ID: US 5869492 A

L18: Entry 86 of 119 File: USPT Feb 9, 1999

US-PAT-NO: 5869492
DOCUMENT-IDENTIFIER: US 5869492 A

TITLE: Condensed thiazole derivatives, having 5-HT receptor affinity

DATE-ISSUED: February 9, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kerrigan; Frank	Nottingham			GB3
Cheetham; Sharon Crawford	Nottingham			GB3
Davies; Roy Victor	Nottingham			GB3

US-CL-CURRENT: 514/259.2; 514/368, 544/278, 548/154

ABSTRACT:

Compounds of formula I ##STR1## in which A is S(O).sub.p or O;

p is 0, 1 or 2;

g is 0, 1, 2, 3, or 4;

n is 2 or 3; and

R.sub.1, R.sub.2, R.sub.3, R.sub.4 and R.sub.5 are optional substituents have utility in the treatment of central nervous system disorders, for example depression, anxiety, psychoses (for example schizophrenia), tardive dyskinesia, obesity, drug addiction, drug abuse, cognitive disorders, Alzheimer's disease, senile dementia, cerebral ischemia, obsessive-compulsive behavior, panic attacks, social phobias, eating disorders and anorexia, non-insulin dependent diabetes mellitus, hyperglycemia, and stress.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 87. Document ID: US 5869097 A

L18: Entry 87 of 119 File: USPT Feb 9, 1999

US-PAT-NO: 5869097
DOCUMENT-IDENTIFIER: US 5869097 A

TITLE: Method of therapy comprising an osmotic caplet

DATE-ISSUED: February 9, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Palo Alto	CA		
Theeuwes; Felix	Los Altos Hills	CA		
Guittard; George V.	Cupertino	CA		
Ayer; Atul D.	Palo Alto	CA		

US-CL-CURRENT: 424/473; 424/469

ABSTRACT:

An osmotic caplet is disclosed comprising an osmotic caplet exit for delivering a preselected dose of drug to a patient in need of therapy.

8 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 88. Document ID: US 5830501 A

L18: Entry 88 of 119 File: USPT Nov 3, 1998

US-PAT-NO: 5830501
DOCUMENT-IDENTIFIER: US 5830501 A

TITLE: Dosage form comprising hydrophilic polymer

DATE-ISSUED: November 3, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dong; Liang C.	Mountain View	CA		
Dealey; Michael H.	San Francisco	CA		
Burkoth; Terry L.	Palo Alto	CA		
Wong; Patrick S. -L.	Palo Alto	CA		
Childers; Jerry D.	Sunnyvale	CA		
Barclay; Brian L.	Sunnyvale	CA		

US-CL-CURRENT: 424/473; 424/472

ABSTRACT:

A dosage form is disclosed comprising means for lessening the tackiness and/or irritation of the components of the dosage form to mucosal tissue. The dosage form provides means for forming in the dosage form a floc comprising a drug, which floc, when delivered from the dosage form, lessens the tackiness and/or irritation of the mucosal tissue of a warm-blooded recipient.

1 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 7

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 89. Document ID: US 5811126 A

L18: Entry 89 of 119 File: USPT Sep 22, 1998

US-PAT-NO: 5811126
DOCUMENT-IDENTIFIER: US 5811126 A

TITLE: Controlled release matrix for pharmaceuticals

DATE-ISSUED: September 22, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Krishnamurthy; Thinnayam N.	Ontario			CA

US-CL-CURRENT: 424/498; 424/459, 424/468, 424/487, 427/2.21, 427/212

ABSTRACT:

A controlled release pharmaceutical composition for oral administration in humans or animals, comprising a controlled release matrix comprising a pharmaceutically acceptable sodium alginate, a pharmaceutically acceptable water swellable polymer, a pharmaceutically acceptable C.sub.2 -C.sub.50 edible hydrocarbon derivative having a melting point ranging from 25.degree. C. and 90.degree. C. and a pharmaceutically

acceptable divalent salt selected from the group consisting of an iron salt, a zinc salt, a magnesium salt, an aluminum salt and a calcium salt and mixtures of any of the foregoing and a therapeutically active agent to be administered and a lubricant or lubricants suitable for forming the composition into tablets or capsules and methods of making and using the same.

26 Claims, 5 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 5

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 90. Document ID: US 5798101 A

L18: Entry 90 of 119 File: USPT Aug 25, 1998

US-PAT-NO: 5798101
DOCUMENT-IDENTIFIER: US 5798101 A

TITLE: Herbal appetite suppressant and weight loss composition

DATE-ISSUED: August 25, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Haveson; Brian	Yardley	PA		

US-CL-CURRENT: 424/730; 514/653

ABSTRACT:

The present invention is directed to herbal compositions which reduce weight, maintain weight loss over an extended period of time, and act as an appetite suppressant. The composition consists of St. John's Wort with or without caffeine or other appetite suppressants known in the art, and also a composition comprising St. John's Wart (hypericin) and Mahuang (Ephedra sinica or ephedrine). Another composition disclosed comprises a combination of the above herbs with caffeine.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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Term	Documents
(17 AND 16).USPT,PGPB,JPAB,EPAB,DWPI.	119
(L17 AND L16).USPT,PGPB,JPAB,EPAB,DWPI.	119

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☐ 31. Document ID: US 20020006965 A1

L18: Entry 31 of 119 File: PGPB Jan 17, 2002

PGPUB-DOCUMENT-NUMBER: 20020006965
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020006965 A1

TITLE: Methods and compositions for treating depression and other disorders using optically pure (-)-bupropion

PUBLICATION-DATE: January 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Young, James W.	Palo Alto	CA	US	

US-CL-CURRENT: 514/649

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating depression, Parkinson's disease, obesity, weight gain and other disorders.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 32. Document ID: US 20010021721 A1

L18: Entry 32 of 119 File: PGPB Sep 13, 2001

PGPUB-DOCUMENT-NUMBER: 20010021721
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20010021721 A1

TITLE: Pharmaceutical composition containing bupropion hydrochloride and a stabilizer

PUBLICATION-DATE: September 13, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Kulkarni, Prakash Shriram	Parsippany	NJ	US	
Shah, Bharat Bhogilal	Ridgefield	NJ	US	
Maitra, Amitava	Sayreville	NJ	US	
DeVito, Joseph Michael	Middletown	NY	US	

US-CL-CURRENT: 514/649

ABSTRACT:

Novel, stable formulations of bupropion hydrochloride are provided which will maintain at least 80% of initial bupropion hydrochloride potency after one year. Methods of inhibiting degradation of bupropion hydrochloride and methods of preparing stable formulations of bupropion hydrochloride are also provided.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 33. Document ID: US 20010011103 A1

L18: Entry 33 of 119 File: PGPB Aug 2, 2001

PGPUB-DOCUMENT-NUMBER: 20010011103
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20010011103 A1

TITLE: Methods and compositions for aiding in smoking cessation and for treating pain and other disorders using optically pure (+)-bupropion

PUBLICATION-DATE: August 2, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
McCullough, John R.	Hudson	MA	US	
Rubin, Paul D.	Sudbury	MA	US	

US-CL-CURRENT: 514/649

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (+)-isomer of bupropion to assist in smoking cessation, for treating smoking and nicotine addiction, and for treating pain, including, but not limited to, chronic pain, neuropathetic pain and reflex sympathetic dystrophy, and other disorders such as narcolepsy, chronic fatigue syndrome, fibromyalgia, seasonal affective disorder and premenstrual syndrome, while avoiding adverse affects associated with racemic bupropion.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 34. Document ID: US 20010002262 A1

L18: Entry 34 of 119 File: PGPB May 31, 2001

PGPUB-DOCUMENT-NUMBER: 20010002262
PGPUB-FILING-TYPE: new-utility
DOCUMENT-IDENTIFIER: US 20010002262 A1

TITLE: Oral delivery of discrete units

PUBLICATION-DATE: May 31, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wong, Patrick S. L.	Burlingame	CA	US	
Rosen, Howard B.	Woodside	CA	US	
Roth, Nathan	San Francisco	CA	US	
Gardner, Phyllis I.	Stanford	CA	US	

US-CL-CURRENT: 424/451; 424/400, 424/489

ABSTRACT:

The present invention is directed to an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber containing the active agent formulation and having a fluid passing active agent formulation retainer is placed at a first end into a fluid and at a second end into a patient's mouth. The active agent is delivered when the patient sips on the second end of the chamber.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 35. Document ID: US 6465470 B2

L18: Entry 35 of 119 File: USPT Oct 15, 2002

US-PAT-NO: 6465470
DOCUMENT-IDENTIFIER: US 6465470 B2

TITLE: R-hydroxynefazodone

DATE-ISSUED: October 15, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Barberich; Timothy J.	Concord	MA		
Rubin; Paul D.	Sudbury	MA		
Yelle; William E.	Littleton	MA		

US-CL-CURRENT: 514/254.05

ABSTRACT:

The R-isomer of the hydroxy metabolite of nefazodone, R-hydroxynefazodone, is an effective treatment for depression which does not give rise to the adverse effects associated with nefazodone. R-hydroxynefazodone is also useful in the treatment of migraine headaches, panic disorders, post traumatic stress disorder and sleep disorders.

18 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 36. Document ID: US 6465469 B1

L18: Entry 36 of 119 File: USPT Oct 15, 2002

US-PAT-NO: 6465469
DOCUMENT-IDENTIFIER: US 6465469 B1

TITLE: S-hydroxynefazodone

DATE-ISSUED: October 15, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Barberich; Timothy J.	Concord	MA		
Rubin; Paul D.	Sudbury	MA		
Yelle; William E.	Littleton	MA		

US-CL-CURRENT: 514/254.05; 544/366

ABSTRACT:

The S-isomer of the hydroxy metabolite of nefazodone, S-hydroxynefazodone, is an effective treatment for depression which does not give rise to the adverse effects associated with nefazodone. S-hydroxynefazodone is also useful in the treatment of migraine headaches, panic disorders, post traumatic stress disorder and sleep disorders.

20 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 37. Document ID: US 6462237 B1

L18: Entry 37 of 119 File: USPT Oct 8, 2002

US-PAT-NO: 6462237
DOCUMENT-IDENTIFIER: US 6462237 B1

TITLE: Cyclodextrin stabilized pharmaceutical compositions of bupropion hydrochloride

DATE-ISSUED: October 8, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Gidwani; Suresh Kumar	Mumbai			IN
Singnurkar; Purushottam	Mumbai			IN
Tewari; Prashant Kumar	Mumbai			IN

US-CL-CURRENT: 564/345; 424/488, 564/343

ABSTRACT:

An inclusion complex of bupropion hydrochloride with beta cyclodextrin that stabilizes the bupropion hydrochloride against degradation. A method of preparing an inclusion complex of bupropion hydrochloride with beta cyclodextrin that stabilizes the bupropion hydrochloride against degradation. A novel stabilized sustained-release pharmaceutical composition of bupropion hydrochloride containing an inclusion complex of bupropion hydrochloride with beta cyclodextrin. A method of preparing a novel stabilized sustained-release pharmaceutical composition containing an inclusion complex of bupropion hydrochloride with beta cyclodextrin.

15 Claims, 8 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 8

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 38. Document ID: US 6458374 B1

L18: Entry 38 of 119 File: USPT Oct 1, 2002

US-PAT-NO: 6458374
DOCUMENT-IDENTIFIER: US 6458374 B1

TITLE: Methods and compositions for treating chronic disorders using optically pure (+)-bupropion

DATE-ISSUED: October 1, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
McCullough; John R.	Hudson	MA		
Rubin; Paul D.	Sudbury	MA		

US-CL-CURRENT: 424/423; 424/443, 424/451, 424/464

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (+)-isomer of bupropion to assist in smoking cessation, for treating smoking and nicotine addiction, and for treating pain, including, but not limited to, chronic pain, neuropathetic pain and reflex sympathetic dystrophy, and other disorders such as narcolepsy, chronic fatigue syndrome, fibromyalgia, seasonal affective disorder and premenstrual syndrome, while avoiding adverse affects associated with racemic bupropion.

17 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 39. Document ID: US 6451860 B1

L18: Entry 39 of 119 File: USPT Sep 17, 2002

US-PAT-NO: 6451860
DOCUMENT-IDENTIFIER: US 6451860 B1

TITLE: Methods for treating depression and other disorders using optically pure (-)-bupropion

DATE-ISSUED: September 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/649

ABSTRACT:

Methods are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating depression, Parkinson's disease, obesity, weight gain and other disorders.

10 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 40. Document ID: US 6403597 B1

L18: Entry 40 of 119 File: USPT Jun 11, 2002

US-PAT-NO: 6403597
DOCUMENT-IDENTIFIER: US 6403597 B1

TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation

DATE-ISSUED: June 11, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wilson; Leland F.	Menlo Park	CA		
Doherty, Jr.; Paul C.	Cupertino	CA		
Place; Virgil A.	Kawaihae	HI		
Smith; William L.	Montclair	NJ		
Abdel-Hamid Abdou Ali; Ibrahim AbouBakr	Mansoura			EG

US-CL-CURRENT: 514/256

ABSTRACT:

A method is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided.

94 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 41. Document ID: US 6399826 B1

L18: Entry 41 of 119 File: USPT Jun 4, 2002

US-PAT-NO: 6399826
DOCUMENT-IDENTIFIER: US 6399826 B1

TITLE: Salts of sibutramine metabolites, methods of making sibutramine metabolites and intermediates useful in the same, and methods of treating pain

DATE-ISSUED: June 4, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Senanayake; Chrisantha Hugh	Shrewsbury	MA		
Fang; Qun Kevin	Wellesley	MA		
Han; Zhengxu	Shrewsbury	MA		
Krishnamurthy; Dhileepkumar	Westboro	MA		

US-CL-CURRENT: 564/271; 564/302, 564/304

ABSTRACT:

Methods of making and using racemic and optically pure metabolites of sibutramine, and pharmaceutically acceptable salts, solvates, and clathrates thereof, are disclosed. Pharmaceutical compositions and dosage forms are also disclosed which comprise a dopamine reuptake inhibitor, such as a racemic or optically pure sibutramine metabolite, and optionally an additional pharmacologically active compound.

5 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 42. Document ID: US 6384037 B1

L18: Entry 42 of 119 File: USPT May 7, 2002

US-PAT-NO: 6384037
DOCUMENT-IDENTIFIER: US 6384037 B1

TITLE: R-hydroxynefazodone

DATE-ISSUED: May 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Barberich; Timothy J.	Concord	MA		
Rubin; Paul D.	Sudbury	MA		
Yelle; William E.	Littleton	MA		

US-CL-CURRENT: 514/254.05

ABSTRACT:

The R-isomer of the hydroxy metabolite of nefazodone, R-hydroxynefazodone, is an effective treatment for depression which does not give rise to the adverse effects associated with nefazodone. R-hydroxynefazodone is also useful in the treatment of migraine headaches, panic disorders, post traumatic stress disorder and sleep disorders.

6 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 43. Document ID: US 6369113 B2

L18: Entry 43 of 119 File: USPT Apr 9, 2002

US-PAT-NO: 6369113
DOCUMENT-IDENTIFIER: US 6369113 B2

TITLE: Method for treating depression using optically pure (-)-bupropion

DATE-ISSUED: April 9, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/649

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating depression.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 44. Document ID: US 6369079 B1

L18: Entry 44 of 119 File: USPT Apr 9, 2002

US-PAT-NO: 6369079
DOCUMENT-IDENTIFIER: US 6369079 B1

TITLE: Methods for treating irritable bowel syndrome using optically pure (+) norcisapride

DATE-ISSUED: April 9, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Rubin; Paul D.	Sudbury	MA		
Barberich; Timothy J.	Concord	MA		

US-CL-CURRENT: 514/327

ABSTRACT:

Methods for the prevention, treatment, or management of apnea, apnea disorders, bulimia nervosa, irritable bowel syndrome, urinary incontinence, bradycardia, bradyarrhythnia, syncope, other disorders, or symptoms thereof using (+) norcisapride, or a pharmaceutically acceptable salt thereof, substantially free of its (-) stereoisomer.

10 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 45. Document ID: US 6365183 B1

L18: Entry 45 of 119 File: USPT Apr 2, 2002

US-PAT-NO: 6365183
DOCUMENT-IDENTIFIER: US 6365183 B1

TITLE: Method of fabricating a banded prolonged release active agent dosage form

DATE-ISSUED: April 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Edgren; David E.	El Granada	CA		
Wong; Patrick S.-L.	Burlingame	CA		
Jao; Francisco	San Jose	CA		
Puga; Yolanda M.	Morgan Hill	CA		

US-CL-CURRENT: 424/468; 424/464, 424/467

ABSTRACT:

The present invention is directed to an active agent dosage form and methods of its fabrication which is useful for the prolonged delivery of an active agent formulation to a fluid environment of use. The active agent dosage form is a matrix that has on its surface one or more insoluble bands located in complementary grooves. The invention is also directed to articles of manufacture, methods and systems for fabricating the active agent dosage form.

30 Claims, 11 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 46. Document ID: US 6352721 B1

L18: Entry 46 of 119 File: USPT Mar 5, 2002

US-PAT-NO: 6352721
DOCUMENT-IDENTIFIER: US 6352721 B1

TITLE: Combined diffusion/osmotic pumping drug delivery system

DATE-ISSUED: March 5, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Faour; Joaquina	Buenos Aires			AR

US-CL-CURRENT: 424/473; 424/422, 424/423, 424/424, 424/427, 424/435, 424/436, 424/437, 424/468, 424/472, 514/772.3, 514/781, 514/784, 514/785, 514/786

ABSTRACT:

Delivery devices capable of delivering one or more active substances by diffusion through plural micropores in the membrane or by osmotic pumping through one or more preformed passageways in the membrane are provided. The device has an about centrally located expandable core completely surrounded by an active substance-containing layer,

which is completely surrounded by the membrane. The device is capable of delivering insoluble, slightly soluble, sparingly soluble and very soluble active substances to an environment of use. The preferred delivery rate is zero order. The device can deliver an active substance for a period of about 12-24 hours.

37 Claims, 5 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 47. Document ID: US 6342533 B1

L18: Entry 47 of 119 File: USPT Jan 29, 2002

US-PAT-NO: 6342533
DOCUMENT-IDENTIFIER: US 6342533 B1

TITLE: Derivatives of (-)-venlafaxine and methods of preparing and using the same

DATE-ISSUED: January 29, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jerussi; Thomas P.	Framingham	MA		
Senanayake; Chrisantha H.	Shrewsbury	MA		

US-CL-CURRENT: 514/649; 564/336

ABSTRACT:

Methods of preparing, and compositions comprising, derivatives of (-)-venlafaxine are disclosed. Also disclosed are methods of treating and preventing diseases and disorders including, but not limited to, affective disorders such as depression, bipolar and manic disorders, attention deficit disorder, attention deficit disorder with hyperactivity, Parkinson's disease, epilepsy, cerebral function disorders, obesity and weight gain, incontinence, dementia and related disorders.

17 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 48. Document ID: US 6342496 B1

L18: Entry 48 of 119 File: USPT Jan 29, 2002

US-PAT-NO: 6342496
DOCUMENT-IDENTIFIER: US 6342496 B1

TITLE: Bupropion metabolites and methods of use

DATE-ISSUED: January 29, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jerussi; Thomas P.	Framingham	MA		
McCullough; John R.	Hudson	MA		
Senanayake; Chrisantha H.	Shrewsbury	MA		
Fang; Qun K.	Wellesley	MA		

US-CL-CURRENT: 514/231.2; 514/649, 514/653

ABSTRACT:

Methods are disclosed which utilize metabolites of bupropion for treating disorders ameliorated by inhibition of neuronal monoamine reuptake. Such disorders include, cerebral function disorders, cigarette smoking, and incontinence.

19 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 49. Document ID: US 6342249 B1

L18: Entry 49 of 119 File: USPT Jan 29, 2002

US-PAT-NO: 6342249
DOCUMENT-IDENTIFIER: US 6342249 B1

TITLE: Controlled release liquid active agent formulation dosage forms

DATE-ISSUED: January 29, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S. -L.	Burlingame	CA		
Edgren; David E.	Los Altos	CA		
Dong; Liang C.	Sunnyvale	CA		
Pollock-Dove; Crystal	Mountain View	CA		

US-CL-CURRENT: 424/473; 424/468, 424/472

ABSTRACT:

Controlled release of liquid, active agent formulations is provided by dispersing porous particles containing the liquid active agent formulation in osmotic, push-layer dosage forms. The dosage forms may provide for continuous or pulsatile delivery of active agents.

22 Claims, 14 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 8

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 50. Document ID: US 6339106 B1

L18: Entry 50 of 119 File: USPT Jan 15, 2002

US-PAT-NO: 6339106
DOCUMENT-IDENTIFIER: US 6339106 B1

TITLE: Methods and compositions for the treatment and prevention of sexual dysfunction

DATE-ISSUED: January 15, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jerussi; Thomas P.	Framingham	MA		
Senanayake; Chrisantha H.	Shrewsburry	MA		
Fang; Qun K.	Wellesley	MA		

US-CL-CURRENT: 514/646

ABSTRACT:

Methods are disclosed for the treatment and prevention of sexual. The methods comprise the administration of a dopamine reuptake inhibitor and optionally an additional pharmacologically active compound. Pharmaceutical compositions and dosage forms are also disclosed that comprise a dopamine reuptake inhibitor and optionally an additional pharmacologically active compound. Preferred dopamine reuptake inhibitors are racemic or optically pure sibutramine metabolites and pharmaceutically acceptable salts, solvates, and clathrates thereof. Preferred additional pharmacologically active compounds include drugs that affect the central nervous system, such as 5-HT.sub.3 antagonists.

26 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 51. Document ID: US 6337328 B1

L18: Entry 51 of 119 File: USPT Jan 8, 2002

US-PAT-NO: 6337328
DOCUMENT-IDENTIFIER: US 6337328 B1

TITLE: Bupropion metabolites and methods of use

DATE-ISSUED: January 8, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Fang; Qun Kevin	Wellesley	MA		
Senanayake; Chrisantha Hugh	Shrewsbury	MA		
Grover; Paul	Franklin	MA		

US-CL-CURRENT: 514/231.2; 514/649, 514/653

ABSTRACT:

Methods are disclosed which utilize metabolites of bupropion for treating sexual dysfunction.

94 Claims, 1 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 52. Document ID: US 6333332 B1

L18: Entry 52 of 119 File: USPT Dec 25, 2001

US-PAT-NO: 6333332
DOCUMENT-IDENTIFIER: US 6333332 B1

TITLE: Stabilized pharmaceutical compositions containing bupropion hydrochloride

DATE-ISSUED: December 25, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Han; Chien-Hsuan	Sunnyvale	CA		
Liaw; Gary	Torrance	CA		

US-CL-CURRENT: 514/276; 424/451, 424/464, 424/465, 424/489, 514/345, 514/565, 514/649

ABSTRACT:

This invention is directed to stabilized pharmaceutical preparations containing bupropion hydrochloride. The preferred stabilizers comprise salts of organic bases including those selected from the group consisting of creatinine hydrochloride, pyridoxine hydrochloride, and thiamine hydrochloride. Another stabilizer utilized includes a salt of an inorganic acid such as potassium phosphate monobasic. The compositions retain at least 80% of the initial potency of bupropion hydrochloride after one week at 60.degree. and 75% relative humidity (RH), as well as four or twelve weeks at 40.degree. C. and 75% RH.

55 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 53. Document ID: US 6333050 B1

L18: Entry 53 of 119 File: USPT Dec 25, 2001

US-PAT-NO: 6333050
DOCUMENT-IDENTIFIER: US 6333050 B1

TITLE: Oral delivery of discrete units

DATE-ISSUED: December 25, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Burlingame	CA		
Rosen; Howard B.	Woodside	CA		
Roth; Nathan	San Francisco	CA		
Gardner; Phyllis I.	Stanford	CA		

US-CL-CURRENT: 424/473; 424/489, 604/58, 604/85

ABSTRACT:

The present invention is directed to an oral active agent delivery system and method for delivering discrete units of an active agent formulation to a patient. An active agent formulation chamber containing the active agent formulation and having a fluid passing active agent formulation retainer is placed at a first end into a fluid and at a second end into a patient's mouth. The active agent is delivered when the patient sips on the second end of the chamber.

2 Claims, 15 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 54. Document ID: US 6331571 B1

L18: Entry 54 of 119 File: USPT Dec 18, 2001

US-PAT-NO: 6331571
DOCUMENT-IDENTIFIER: US 6331571 B1

TITLE: Methods of treating and preventing attention deficit disorders

DATE-ISSUED: December 18, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Jerussi; Thomas P.	Framingham	MA		
Senanayake; Chrisantha H.	Shrewsbury	MA		
Fang; Qun K.	Wellesley	MA		

US-CL-CURRENT: 514/646

ABSTRACT:

Methods are disclosed for the treatment and prevention of affective disorders with racemic or optically pure sibutramine metabolites and pharmaceutically acceptable salts, solvates, and clathrates thereof.

4 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 55. Document ID: US 6316028 B1

L18: Entry 55 of 119 File: USPT Nov 13, 2001

US-PAT-NO: 6316028
DOCUMENT-IDENTIFIER: US 6316028 B1

TITLE: Banded prolonged release active agent dosage form

DATE-ISSUED: November 13, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wong; Patrick S.-L.	Mountain View	CA	94039-7210	
Edgren; David Emil	Mountain View	CA	94039-7210	
Dong; Liang C.	Mountain View	CA	94039-7210	
Ferrari; Vincent Joseph	Foster City	CA	94404	

US-CL-CURRENT: 424/473; 424/484, 424/486

ABSTRACT:

The present invention is directed to an active agent dosage that is useful for the prolonged delivery of an active agent formulation to fluid environment of use. The active agent dosage form is a matrix that has an insoluble material circumscribing a portion of the surface of the matrix. The invention is also directed to a method of making the active agent dosage form.

18 Claims, 20 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

☐ 56. Document ID: US 6309663 B1

L18: Entry 56 of 119 File: USPT Oct 30, 2001

US-PAT-NO: 6309663
DOCUMENT-IDENTIFIER: US 6309663 B1

TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents

DATE-ISSUED: October 30, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Mahesh V.	Salt Lake City	UT		
Chen; Feng-Jing	Salt Lake City	UT		

US-CL-CURRENT: 424/450; 424/435, 424/451, 424/455, 424/456, 424/463, 424/464, 424/489, 424/499, 424/502, 514/937, 514/938, 514/939, 514/940, 514/941, 514/942, 514/943, 514/975

ABSTRACT:

The present invention relates to pharmaceutical compositions, pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. Compositions and systems of the present invention include an absorption enhancing carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the composition, or can be co-administered with the composition as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compositions and systems.

170 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 57. Document ID: US 6300343 B1

L18: Entry 57 of 119 File: USPT Oct 9, 2001

US-PAT-NO: 6300343
DOCUMENT-IDENTIFIER: US 6300343 B1

TITLE: Method of treatment

DATE-ISSUED: October 9, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Steiner; Martin X.	Paoli	PA		

US-CL-CURRENT: 514/321

ABSTRACT:

The present invention is directed to a method for promoting somking cessation or reduction or preventing relapse smoking, comprising administering an effective, non-toxic amount of paroxetine or a pharmaceutically acceptable salt or solvate thereof, to a human in need thereof.

8 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 58. Document ID: US 6277887 B1

L18: Entry 58 of 119 File: USPT Aug 21, 2001

US-PAT-NO: 6277887
DOCUMENT-IDENTIFIER: US 6277887 B1

TITLE: Methods for treating Parkinson's disease using optically pure (-)-bupropion

DATE-ISSUED: August 21, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; James W.	Palo Alto	CA		

US-CL-CURRENT: 514/649

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating, Parkinson's disease.

11 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 59. Document ID: US 6267985 B1

L18: Entry 59 of 119 File: USPT Jul 31, 2001

US-PAT-NO: 6267985
DOCUMENT-IDENTIFIER: US 6267985 B1

TITLE: Clear oil-containing pharmaceutical compositions

DATE-ISSUED: July 31, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Chen; Feng-Jing	Salt Lake City	UT		
Patel; Mahesh V.	Salt Lake City	UT		

US-CL-CURRENT: 424/451; 424/43, 424/433, 424/436, 424/441, 424/443, 424/455, 424/456, 424/458, 424/463, 424/464, 424/465 , 424/489, 424/490, 424/731, 424/735, 424/750, 424/757, 424/764, 514/44, 514/772.2, 514/772.3, 514/777, 514/778, 514/779, 514/781, 514/783, 514/784, 514/785, 514/786, 514/937, 514/944

ABSTRACT:

The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a triglyceride and a carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the triglyceride and surfactants. An optional therapeutic agent can be incorporated into the composition, or can be co-administered with the composition. The invention also provides methods of enhancing triglyceride solubility and methods of treatment with therapeutic agents using these compositions.

184 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 60. Document ID: US 6245350 B1

L18: Entry 60 of 119 File: USPT Jun 12, 2001

US-PAT-NO: 6245350
DOCUMENT-IDENTIFIER: US 6245350 B1

TITLE: Process for encapsulation of caplets in a capsule and solid dosage forms obtainable by such process

DATE-ISSUED: June 12, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Amey; James	Greenwood	SC		
Cade; Dominique	Colmar			FR
Maes; Paul	Mortsel			BE
Scott; Robert	Waasmunster			BE

US-CL-CURRENT: 424/456; 424/451, 424/452, 424/453, 424/454, 424/463, 514/770, 514/772.3, 514/773, 514/774, 514/775, 514/778, 514/779, 514/781, 514/782, 514/783, 514/784

ABSTRACT:

A process for encapsulation of caplets in a capsule comprises the following steps: a. providing empty capsule parts; b. filling at least one of said capsule parts with one or more caplets; c. putting said capsule parts together; and d. treating the combined parts by cold shrinking. The solid dosage forms obtainable by such a process are tamper-proof in that they cannot be opened in a way to be reassembled without showing such opening process.

50 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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Term	Documents
(17 AND 16).USPT,PGPB,JPAB,EPAB,DWPI.	119
(L17 AND L16).USPT,PGPB,JPAB,EPAB,DWPI.	119

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☐ 1. Document ID: US 20020147220 A1

L18: Entry 1 of 119 File: PGPB Oct 10, 2002

PGPUB-DOCUMENT-NUMBER: 20020147220
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020147220 A1

TITLE: Methods for treating apnea and apnea disorders using optically pure (+) norcisapride

PUBLICATION-DATE: October 10, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Rubin, Paul D.	Sudbury	MA	US	
Barberich, Timothy J.	Concord	MA	US	

US-CL-CURRENT: 514/317

ABSTRACT:

Methods for the prevention, treatment, or management of apnea, apnea disorders, bulimia nervosa, irritable bowel syndrome, urinary incontinence, bradycardia, bradyarrhythmia, syncope, other disorders, or symptoms thereof using (+) norcisapride, or a pharmaceutically acceptable salt thereof, substantially free of its (-) stereoisomer.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 2. Document ID: US 20020132005 A1

L18: Entry 2 of 119 File: PGPB Sep 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020132005
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020132005 A1

TITLE: Combined diffusion / osmotic pumping drug delivery system

PUBLICATION-DATE: September 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Faour, Joaquina	Buenos Aires		AR	

US-CL-CURRENT: 424/473

ABSTRACT:

Delivery devices capable of delivering one or more active substances by diffusion through plural micropores in the membrane (4) or by osmotic pumping through one or more preformed passageways (5) in the membrane are provided. The device (1) has an about centrally located expandable core (2) completely surrounded by an active substance-containing layer (3), which is completely surrounded by the membrane. The device is capable of delivering insoluble, slightly soluble, sparingly soluble and very soluble active substances to an environment of use. The preferred delivery rate is zero order. The device can deliver an active substance for a period of about 12-24 hours.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments IMAGE | Draw Desc | Image

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☐ 3. Document ID: US 20020132002 A1

L18: Entry 3 of 119 File: PGPB Sep 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020132002
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020132002 A1

TITLE: Sustained release pharmaceutical formulation

PUBLICATION-DATE: September 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Gutierrez-Rocca, Jose	Miami	FL	US	
Rios, Saul	Miramar	FL	US	

US-CL-CURRENT: 424/469; 514/211.07, 514/263.32, 514/356, 514/630

ABSTRACT:

A sustained release pharmaceutical formulation is disclosed. The formulation comprises a water soluble medicament and a polymer mixture comprising a first component of about 80 weight percent polyvinylacetate combined with about 20 weight percent polyvinyl pyrrolidone, of the total weight of the first component, combined with a second component of a cellulose ether polymer.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments IMAGE | Draw Desc | Image

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☐ 4. Document ID: US 20020115727 A1

L18: Entry 4 of 119 File: PGPB Aug 22, 2002

PGPUB-DOCUMENT-NUMBER: 20020115727
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020115727 A1

TITLE: Synthesis, methods of using, and compositions of hydroxylated cyclobutylalkylamines

PUBLICATION-DATE: August 22, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Senanayake, Chris H.	Shrewsburry	MA	US	
Rubin, Paul D.	Sudbury	MA	US	
Jerussi, Thomas P.	Framingham	MA	US	

US-CL-CURRENT: 514/650; 564/338, 564/99

ABSTRACT:

The invention relates, in part, to making of making and using, and compositions comprising, racemic and stereomerically pure cyclobutylalkylamines, including hydroxylated sibutramine and hydroxylated metabolites of sibutramine. Methods of treating and preventing a variety of diseases and disorders are disclosed, as are pharmaceutical compositions and unit dosage forms that comprise compounds of the invention.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 5. Document ID: US 20020115726 A1

L18: Entry 5 of 119 File: PGPB Aug 22, 2002

PGPUB-DOCUMENT-NUMBER: 20020115726
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020115726 A1

TITLE: Methods and compositions for treating depression and other disorders using optically pure (-) -bupropion

PUBLICATION-DATE: August 22, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Young, James W.	Palo Alto	CA	US	

US-CL-CURRENT: 514/649

ABSTRACT:

Methods and compositions are disclosed utilizing the optically pure (-)-isomer of bupropion, which is a potent drug for treating depression, Parkinson's disease, obesity, weight gain and other disorders.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 6. Document ID: US 20020099361 A1

L18: Entry 6 of 119 File: PGPB Jul 25, 2002

PGPUB-DOCUMENT-NUMBER: 20020099361
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020099361 A1

TITLE: Osmotic device having a preformed passageway that increases in size

PUBLICATION-DATE: July 25, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Faour, Joaquina	Buenos Aires		AR	

US-CL-CURRENT: 604/892.1

ABSTRACT:

The present invention provides a simple and improved osmotic device (1) that is capable of providing a controlled release of active agent contained in the core (4) through a preformed passageway (5) into an environment of use. The preformed passageway (5) increases in size during use of the osmotic device (1) thereby increasing the release rate of the active agent, enabling the release of large particles containing active agent, and enabling the release of active agents that are substantially insoluble in the environment of use.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 7. Document ID: US 20020090394 A1

L18: Entry 7 of 119 File: PGPB Jul 11, 2002

PGPUB-DOCUMENT-NUMBER: 20020090394
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020090394 A1

TITLE: Paroxetine controlled release compositions

PUBLICATION-DATE: July 11, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leonard, Graham Stanley	St Albans		GB	
Elder, David Philip	Hertford		GB	

US-CL-CURRENT: 424/457; 424/468, 514/321

ABSTRACT:

A controlled release or delayed release formulation contains a selective serotonin reuptake inhibitor (SSRI) such as paroxtine.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 8. Document ID: US 20020086055 A1

L18: Entry 8 of 119 File: PGPB Jul 4, 2002

PGPUB-DOCUMENT-NUMBER: 20020086055
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020086055 A1

TITLE: Controlled release liquid active agent formulation dosage forms

PUBLICATION-DATE: July 4, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wong, Patrick S. L.	Burlingame	CA	US	
Edgren, David E.	Los Altos	CA	US	
Dong, Liang C.	Sunnyvale	CA	US	
Pollock-Dove, Crystal	Mountain View	CA	US	

US-CL-CURRENT: 424/473

ABSTRACT:

Controlled release of liquid, active agent formulations is provided by dispersing porous particles containing the liquid active agent formulation in osmotic, push-layer dosage forms. The dosage forms may provide for continuous or pulsatile delivery of active agents.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 9. Document ID: US 20020077326 A1

L18: Entry 9 of 119 File: PGPB Jun 20, 2002

PGPUB-DOCUMENT-NUMBER: 20020077326
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020077326 A1

TITLE: (S)-hydroxynefazodone antipsychotic therapy

PUBLICATION-DATE: June 20, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Currie, Mark G.	Sterling	MA	US	
Jerussi, Thomas P.	Framingham	MA	US	
Rubin, Paul D.	Sudbury	MA	US	

US-CL-CURRENT: 514/254.05

ABSTRACT:

Treatment of psychoses with (S)-hydroxynefazodone is disclosed.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 10. Document ID: US 20020065278 A1

L18: Entry 10 of 119 File: PGPB May 30, 2002

PGPUB-DOCUMENT-NUMBER: 20020065278
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020065278 A1

TITLE: Non-imidazole aryloxyalkylamines

PUBLICATION-DATE: May 30, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Apodaca, Richard	San Diego	CA	US	
Carruthers, Nicholas I.	Poway	CA	US	
Dvorak, Curt A.	San Diego	CA	US	
Rudolph, Dale A.	San Diego	CA	US	
Shah, Chandravadan R.	San Diego	CA	US	
Xiao, Wei	San Diego	CA	US	

US-CL-CURRENT: 514/235.5; 514/253.01, 514/254.01, 514/307, 514/314, 514/326, 544/129, 544/360, 546/139, 546/176, 546/194 , 546/207

ABSTRACT:

Substituted aryloxyalkylamines of formula (I), compositions containing them, and methods of making and using them to treat histamine-mediated conditions.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 11. Document ID: US 20020061339 A1

L18: Entry 11 of 119 File: PGPB May 23, 2002

PGPUB-DOCUMENT-NUMBER: 20020061339
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020061339 A1

TITLE: Compositions and methods for use of extracts of rutaceae plants

PUBLICATION-DATE: May 23, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Stogniew, Martin	Blue Bell	PA	US	
Chambliss, Walter	Memphis	TN	US	

US-CL-CURRENT: 424/725; 424/730, 424/734, 424/736, 514/159, 514/220, 514/221, 514/253.04

ABSTRACT:

The invention relates to compositions and methods for preventing, treating, or managing anxiety, pain, chronic pain, depression, and disorders such as premenstrual syndrome comprising the administration of a prophylactically and therapeutically effective amount of Rutaceae plant or extracts thereof to a mammal in need of such therapy. In a preferred embodiment, the mammal is human and the extracts are substantially free of the compounds obacunone or limonin. The invention also relates to compositions and methods for preventing, treating, or managing separation anxiety in domestic animals comprising the administration of a prophylactically and therapeutically effective amount of Rutaceae plant or extracts thereof to an animal in need of such therapy.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 12. Document ID: US 20020058675 A1

L18: Entry 12 of 119 File: PGPB May 16, 2002

PGPUB-DOCUMENT-NUMBER: 20020058675
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020058675 A1

TITLE: (R)-hydroxynefazodone antipsychotic therapy

PUBLICATION-DATE: May 16, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Currie, Mark G.	Sterling	MA	US	
Jerussi, Thomas P.	Framingham	MA	US	
Rubin, Paul D.	Sudbury	MA	US	

US-CL-CURRENT: 514/295

ABSTRACT:

Treatment of psychoses with (R)-hydroxynefazodone is disclosed.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 13. Document ID: US 20020052382 A1

L18: Entry 13 of 119 File: PGPB May 2, 2002

PGPUB-DOCUMENT-NUMBER: 20020052382
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020052382 A1

TITLE: R-hydroxynefazodone

PUBLICATION-DATE: May 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Barberich, Timothy J.	Concord	MA	US	
Rubin, Paul D.	Sudbury	MA	US	
Yelle, William E.	Littleton	MA	US	

US-CL-CURRENT: 514/254.05

ABSTRACT:

The R-isomer of the hydroxy metabolite of nefazodone, R-hydroxynefazodone, is an effective treatment for depression which does not give rise to the adverse effects associated with nefazodone. R-hydroxynefazodone is also useful in the treatment of migraine headaches, panic disorders, post traumatic stress disorder and sleep disorders.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 14. Document ID: US 20020052341 A1

L18: Entry 14 of 119 File: PGPB May 2, 2002

PGPUB-DOCUMENT-NUMBER: 20020052341
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020052341 A1

TITLE: Bupropion metabolites and methods of their synthesis and use

PUBLICATION-DATE: May 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Fang, Kevin Qun	Wellesley	MA	US	
Senanayake, Chrisantha Hugh	Shrewsbury	MA	US	
Grover, Paul	Franklin	MA	US	

US-CL-CURRENT: 514/58; 514/238.8, 514/653

ABSTRACT:

Methods and compositions are disclosed which utilize metabolites of bupropion for treating disorders ameliorated by inhibition of neuronal monoamine reuptake. Such disorders include, but are not limited to, sexual dysfunction, affective disorders, cerebral function disorders, cigarette smoking, and incontinence. Methods of making optically pure bupropion metabolites are also disclosed.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 15. Document ID: US 20020052340 A1

L18: Entry 15 of 119 File: PGPB May 2, 2002

PGPUB-DOCUMENT-NUMBER: 20020052340
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020052340 A1

TITLE: Bupropion metabolites and methods of their synthesis and use

PUBLICATION-DATE: May 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Jerussi, Thomas P.	Farmingham	MA	US	
McCullough, John R.	Hudson	MA	US	
Senanayake, Chrisantha H.	Shrewsbury	MA	US	
Fang, Qun K.	Wellesley	MA	US	

US-CL-CURRENT: 514/58; 514/649

ABSTRACT:

Methods and compositions are disclosed which utilize metabolites of bupropion for treating disorders ameliorated by inhibition of neuronal monoamine reuptake. Such disorders include, but are not limited to, erectile dysfunction, affective disorders, cerebral function disorders, cigarette smoking, and incontinence. The invention further discloses methods of making optically pure bupropion metabolites.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 16. Document ID: US 20020051815 A1

L18: Entry 16 of 119 File: PGPB May 2, 2002

PGPUB-DOCUMENT-NUMBER: 20020051815
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020051815 A1

TITLE: Methods for treating apnea and apnea disorders using optically pure R(+) ondansetron

PUBLICATION-DATE: May 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Rubin, Paul D.	Sudbury	MA	US	
Barberich, Timothy J.	Concord	MA	US	

US-CL-CURRENT: 424/452; 424/465, 514/397

ABSTRACT:

Methods for the treatment, management, or prevention of apnea and apnea disorders, or symptoms thereof, using a therapeutically effective amount of substantially optically pure R(+) ondansetron, or a pharmaceutically acceptable salt thereof, substantially free of its S(-) stereoisomer.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 17. Document ID: US 20020044962 A1

L18: Entry 17 of 119 File: PGPB Apr 18, 2002

PGPUB-DOCUMENT-NUMBER: 20020044962
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020044962 A1

TITLE: Encapsulation products for controlled or extended release

PUBLICATION-DATE: April 18, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Cherukuri, S. Rao	Frederick	MD	US	
Ravelli, Vittorino	Milano		IT	

US-CL-CURRENT: 424/459; 424/461

ABSTRACT:

A novel extended or controlled release encapsulated product is provided and includes: at least one active ingredient; at least one erodible polymer; and at least one lubricating material; wherein the encapsulated product is in the form of a caplet having a diameter of from about 1 millimeter to about 7 millimeters and a length from

about 1 millimeter to about 7 millimeters. A method for preparing the encapsulated product is also provided.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 18. Document ID: US 20020044960 A1

L18: Entry 18 of 119 File: PGPB Apr 18, 2002

PGPUB-DOCUMENT-NUMBER: 20020044960
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020044960 A1

TITLE: Drug delivery systems

PUBLICATION-DATE: April 18, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Cherukuri, S. Rao	Frederick	MD	US	

US-CL-CURRENT: 424/451

ABSTRACT:

A novel encapsulated product is provided and includes: at least one pharmaceutical; at least one compressible material; and at least one tableting material; wherein the encapsulated product is in the form of a caplet having a diameter of from about 1 millimeter to about 7 millimeters and a length from about 1 millimeter to about 7 millimeters. A method for preparing the encapsulated product is also provided.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 19. Document ID: US 20020040024 A1

L18: Entry 19 of 119 File: PGPB Apr 4, 2002

PGPUB-DOCUMENT-NUMBER: 20020040024
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020040024 A1

TITLE: Non-imidazole aryloxypiperidines

PUBLICATION-DATE: April 4, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Apodaca, Richard	San Diego	CA	US	
Carruthers, Nicholas I.	Poway	CA	US	
Dvorak, Curt A.	San Diego	CA	US	
Shah, Chandravadan R.	San Diego	CA	US	
Xiao, Wei	San Diego	CA	US	

US-CL-CURRENT: 514/235.5; 514/253.01, 514/326, 544/129, 544/360, 546/207

ABSTRACT:

Substituted non-imidazole aryloxypiperidine compounds, compositions containing them, and methods of making and using them to treat or prevent histamine-mediated conditions.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 20. Document ID: US 20020039599 A1

L18: Entry 20 of 119 File: PGPB Apr 4, 2002

PGPUB-DOCUMENT-NUMBER: 20020039599
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020039599 A1

TITLE: Methods of diagnosing and treating small intestinal bacterial overgrowth (SIBO) and SIBO-related conditions

PUBLICATION-DATE: April 4, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Lin, Henry C.	Manhattan Beach	CA	US	
Pimentel, Mark	Los Angeles	CA	US	

US-CL-CURRENT: 424/558; 514/2, 514/714

ABSTRACT:

Disclosed is a method of treating small intestinal bacterial overgrowth (SIBO) or a SIBO-caused condition in a human subject. SIBO-caused conditions include irritable bowel syndrome, fibromyalgia, chronic pelvic pain syndrome, chronic fatigue syndrome, depression, impaired mentation, impaired memory, halitosis, tinnitus, sugar craving, autism, attention deficit/hyperactivity disorder, drug sensitivity, an autoimmune disease, and Crohn's disease. Also disclosed are a method of screening for the abnormally likely presence of SIBO in a human subject and a method of detecting SIBO in a human subject. A method of determining the relative severity of SIBO or a SIBO-caused condition in a human subject, in whom small intestinal bacterial overgrowth (SIBO) has been detected, is also disclosed.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 21. Document ID: US 20020037896 A1

L18: Entry 21 of 119 File: PGPB Mar 28, 2002

PGPUB-DOCUMENT-NUMBER: 20020037896
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020037896 A1

TITLE: Bicyclic compounds

PUBLICATION-DATE: March 28, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Bogenstaetter, Michael	Del Mar	CA	US	
Chai, Wenying	San Diego	CA	US	
Kwok, Annette K.	San Diego	CA	US	

US-CL-CURRENT: 514/232.2; 514/316, 514/397, 514/422, 514/646, 544/78, 546/186, 548/312.7, 548/517

ABSTRACT:

Substituted N-substituted alkoxyphenyl compounds, compositions containing them, and methods of making and using them.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 22. Document ID: US 20020037828 A1

L18: Entry 22 of 119 File: PGPB Mar 28, 2002

PGPUB-DOCUMENT-NUMBER: 20020037828
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020037828 A1

TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation

PUBLICATION-DATE: March 28, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wilson, Leland F.	Menlo Park	CA	US	
Doherty, Paul C. JR.	Cupertino	CA	US	
Place, Virgil A.	Kawaihae	HI	US	
Smith, William L.	Montclair	NJ	US	
Abdel-Hamid Abdou Ali, Ibrahim AbouBakr	Mansoura		EG	

US-CL-CURRENT: 514/1

ABSTRACT:

A method is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 23. Document ID: US 20020036154 A1

L18: Entry 23 of 119 File: PGPB Mar 28, 2002

PGPUB-DOCUMENT-NUMBER: 20020036154
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020036154 A1

TITLE: Solid pharmaceutical dosage formulation of hydrophobic drugs

PUBLICATION-DATE: March 28, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Murari, Ramaswamy	Hillsborough	NJ	US	
Chrai, Suggy S.	Cranbury	NJ	US	
Chen, Jen-Chi	Morrisville	PA	US	
Kadare, Ashok	Highland Park	IL	US	
Parry, Gregory E.	Lawrenceville	NJ	US	
Karetny, Marc S.	Langhorne	PA	US	

US-CL-CURRENT: 206/538

ABSTRACT:

A novel solid pharmaceutical dosage formulation of hydrophobic drugs is disclosed, which provides enhanced dissolution and improved bioavailability. The formulation comprises:

a base substrate comprising a first polymer;

a deposit, comprising a therapeutic amount of a hydrophobic drug, deposited on the base substrate;

a cover substrate comprising a second polymer, the cover substrate covering the deposit and joined to the base substrate by a bond that encircles the deposit; and

a dissolution-enhancing amount of a surfactant, disposed within a carrier that is segregated from, but in contact with, the deposit.

In another embodiment, the dosage form may include any pharmaceutically acceptable additive, disposed within a carrier that is segregated from, but in contact with, the deposit.

In a preferred embodiment, the hydrophobic drug is deposited electrostatically on the base substrate.

☐ 24. Document ID: US 20020035357 A1

L18: Entry 24 of 119 File: PGPB Mar 21, 2002

PGPUB-DOCUMENT-NUMBER: 20020035357
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020035357 A1

TITLE: Osmotic device within an osmotic device

PUBLICATION-DATE: March 21, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Faour, Joaquina	Buenos Aires		AR	
Coppari, Marcelo A.	Buenos Aires		AR	

US-CL-CURRENT: 604/890.1; 424/472

ABSTRACT:

The delivery devices described herein are capable of delivering one or more active substances by osmotic pumping through preformed passageways. An osmotic device according to the invention includes a first osmotic device enclosed within a second osmotic device. In some embodiments, the semipermeable membrane of one or both of the osmotic devices completely dissolves or degrades during use. This delivery device can include an immediate release outer coat.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 25. Document ID: US 20020032197 A1

L18: Entry 25 of 119 File: PGPB Mar 14, 2002

PGPUB-DOCUMENT-NUMBER: 20020032197
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020032197 A1

TITLE: Methods and compositions for using moclobemide

PUBLICATION-DATE: March 14, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Klein, Donald F.	New York	NY	US	
Lederman, Seth	New York	NY	US	

US-CL-CURRENT: 514/237.8

ABSTRACT:

The invention relates to methods and compositions for treating, managing, and/or preventing certain pain and pain disorder, posttraumatic stress disorder (PTSD), premenstrual dysphoric disorder and premenstrual syndrome, certain sleep disorders, eating disorders, and symptoms thereof using moclobemide, a moclobemide metabolite, a moclobemide derivative or a moclobemide composition.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 26. Document ID: US 20020032171 A1

L18: Entry 26 of 119 File: PGPB Mar 14, 2002

PGPUB-DOCUMENT-NUMBER: 20020032171
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020032171 A1

TITLE: Clear oil-containing pharmaceutical compositions containing a therapeutic agent

PUBLICATION-DATE: March 14, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Chen, Feng-Jing	Salt Lake City	UT	US	
Patel, Mahesh V.	Salt Lake City	UT	US	
Fikstad, David T.	Salt Lake City	UT	US	

US-CL-CURRENT: 514/54; 424/727, 424/731, 424/750, 424/757

ABSTRACT:

The present invention relates to pharmaceutical compositions and methods for improved solubilization of triglycerides and improved delivery of therapeutic agents. Compositions of the present invention include a carrier, where the carrier is formed from a combination of a triglyceride and at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous medium, the carrier forms a clear, aqueous dispersion of the triglyceride and surfactants.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 27. Document ID: US 20020028242 A1

L18: Entry 27 of 119 File: PGPB Mar 7, 2002

PGPUB-DOCUMENT-NUMBER: 20020028242
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020028242 A1

TITLE: PAROXETINE CONTROLLED RELEASE COMPOSITIONS

PUBLICATION-DATE: March 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
LEONARD, GRAHAM STANLEY	HERTFORDSHIRE		GB	
ELDER, DAVID PHILIP	HERTFORDSHIRE		GB	

US-CL-CURRENT: 424/482

ABSTRACT:

A controlled release or delayed release formulation contains a selective serotonin reuptake inhibitor (SSRI) such as paroxetine.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 28. Document ID: US 20020015731 A1

L18: Entry 28 of 119 File: PGPB Feb 7, 2002

PGPUB-DOCUMENT-NUMBER: 20020015731
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020015731 A1

TITLE: Hydrogel-Driven Drug Dosage Form

PUBLICATION-DATE: February 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Appel, Leah E.	Bend	OR	US	
Beyerinck, Ronald A.	Bend	OR	US	
Chidlaw, Mark B.	Bend	OR	US	
Curatolo, William J.	Niantic	CT	US	
Friesen, Dwayne T.	Bend	OR	US	
Smith, Kelly L.	Bend	OR	US	
Thombre, Avinash G.	East Lyme	CT	US	

US-CL-CURRENT: 424/473

ABSTRACT:

A controlled release dosage form has a coated core with the core comprising a drug-containing composition and a water-swellable composition, each occupying separate regions within the core. The drug-containing composition comprises a low-solubility drug and a drug-entraining agent. The coating around the core is water-permeable, water-insoluble and has at least one delivery port therethrough. A variety of formulations having specific drug release profiles are disclosed.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 29. Document ID: US 20020013304 A1

L18: Entry 29 of 119 File: PGPB Jan 31, 2002

PGPUB-DOCUMENT-NUMBER: 20020013304
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020013304 A1

TITLE: As-needed administration of an androgenic agent to enhance female sexual desire and responsiveness

PUBLICATION-DATE: January 31, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wilson, Leland F.	Menlo Park	CA	US	
Tam, Peter Y.	Redwood City	CA	US	

US-CL-CURRENT: 514/177

ABSTRACT:

A method is provided for enhancing a female individual's sexual desire and responsiveness. The method involves administration of a pharmaceutical formulation containing an effective amount of an androgenic agent, wherein administration is on an as-needed basis rather than involving chronic pharmacotherapy. Local delivery may be accomplished via administration to the vagina, vulvar area or urethra of the individual, although oral administration is preferred for those androgenic agents that are orally active. Formulations and kits for carrying out the method are provided as well.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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☐ 30. Document ID: US 20020010198 A1

L18: Entry 30 of 119 File: PGPB Jan 24, 2002

PGPUB-DOCUMENT-NUMBER: 20020010198
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020010198 A1

TITLE: Methods of using and compositions comprising sibutramine metabolites optionally in combination with other pharmacologically active compounds

PUBLICATION-DATE: January 24, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Jerussi, Thomas P.	Framingham	MA	US	
Senanayake, Chrisantha H.	Shrewsbury	MA	US	
Fang, Qun K.	Wellesley	MA	US	

US-CL-CURRENT: 514/340; 514/650

ABSTRACT:

Methods are disclosed for the treatment and prevention of disorders and conditions such as, but are not limited to: eating disorders; weight gain; obesity; irritable bowel syndrome; obsessive-compulsive disorders; platelet adhesion; apnea; affective disorders such as attention deficit disorders, depression, and anxiety; male and female sexual function disorders; restless leg syndrome; osteoarthritis; substance abuse including nicotine and cocaine addiction; narcolepsy; pain such as neuropathic pain, diabetic neuropathy, and chronic pain; migraines; cerebral function disorders; chronic disorders such as premenstrual syndrome; and incontinence.

Pharmaceutical compositions and dosage forms are also disclosed which comprise a racemic or optically pure sibutramine metabolite and an optional additional pharmacologically active compound.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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(L17 AND L16).USPT,PGPB,JPAB,EPAB,DWPI.	119

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